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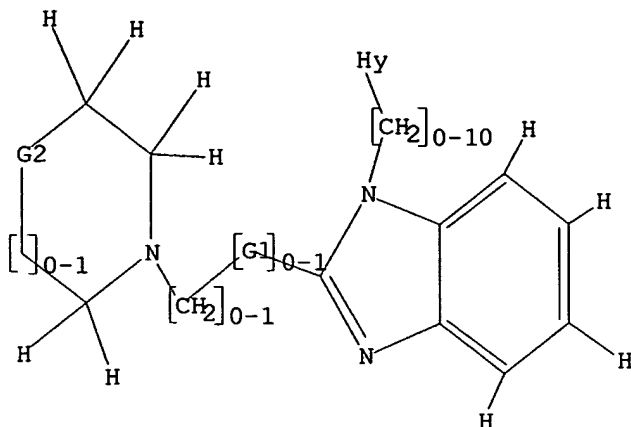
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,N,Ak,O,S,SO2,CH2

G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:23:05 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 16466 TO ITERATE

6.1% PROCESSED 1000 ITERATIONS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 321647 TO 336993
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:23:13 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 325792 TO ITERATE

100.0% PROCESSED 325792 ITERATIONS
 SEARCH TIME: 00.00.14

125 ANSWERS

L3 125 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE
 ENTRY
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TOTAL
 SESSION
 148.36

Habte

6/02/2003

FILE 'CAPLUS' ENTERED AT 16:23:32 ON 02 JUN 2003
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FILE COVERS 1907 - 2 Jun 2003 VOL 138 ISS 23
FILE LAST UPDATED: 1 Jun 2003 (20030601/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 17 L3

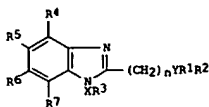
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L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:888718 CAPLUS
 DOCUMENT NUMBER: 137:384842
 TITLE: Benzimidazole compounds and antiviral uses thereof
 INVENTOR(S): Lackey, John William; Kinder, Daniel S.; Tvermoes, Nicolai A.
 PATENT ASSIGNEE(S): Trimeris, Inc., USA
 SOURCE: PCT Int. Appl., 143 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092575	A1	20021121	WO 2002-US14598	20020510

V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZH, ZW, AM, AZ, BY, BG, BR, BU, RU, TJ, TM, CV, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GQ, GW, ML, MR, NE, SN, TD, TG

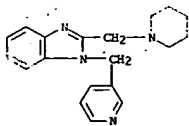
PRIORITY APPL. INFO.: US 2001-290038 P 20010511
 OTHER SOURCE(S): MARPAT 137:384842
 GI



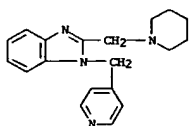
AB Title compds. I (R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxy, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, OH, alkoxy, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkylene, C=N, CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4) were prepd. for use as virucides that inhibit membrane fusion assocd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3 = 2-quinolinyl, R4-R7 = H] had IC50 of 5.16 .mu.g/ml.

IT 475646-87-8P 475646-90-3P 475646-92-5P
 475646-93-6P 475646-94-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

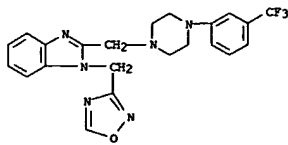
L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 475646-93-6 CAPLUS
 CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 475646-94-7 CAPLUS
 CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



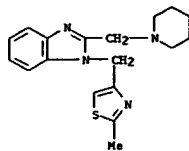
IT 475648-96-5P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)
 RN 475648-96-5 CAPLUS
 CN 1H-Benzimidazole, 1-[(1,2,4-oxadiazol-3-ylmethyl)-2-[[4-(3-(trifluoromethyl)phenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



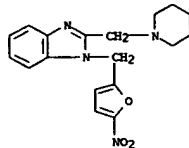
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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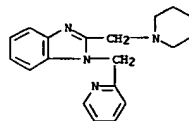
L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 (Uses)
 (prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)
 RN 475646-87-8 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-4-thiazolyl)methyl]-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 475646-90-3 CAPLUS
 CN 1H-Benzimidazole, 1-[(5-nitro-2-furyl)methyl]-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 475646-92-5 CAPLUS
 CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:10123 CAPLUS
 DOCUMENT NUMBER: 136:64091
 TITLE: Method and system for predicting pharmacokinetic properties
 INVENTOR(S): Battori, Kazunari; Shimada, Kaore; Uchiyama, Mamoru
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: Eur. Pat. Appl., 27 pp.
 CODEN: EPAXUM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1167969	A2	20020102	EP 2001-304648	20010525

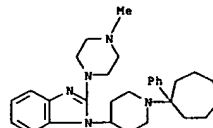
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003069698	A1	20030410	US 2001-876767	20010607
JP 2003014728	A2	20030115	JP 2001-179774	20010614

PRIORITY APPL. INFO.: US 2000-211864P P 20000614

AB This invention provides a method for predicting pharmacokinetic properties of mols. comprising the steps of: (a) prepg. 2D-structures of mols. used as a training set; (b) constructing a 2D-fingerprint by counting the no. of structural descriptors that potentially relate to a pharmacokinetic property, either manually or automatically using internally developed macros wherein said structural descriptors consist of predefined 20 to 80 atoms/fragments or substructures; (c) analyzing the obtained 2D-fingerprint by a statistical anal. method to correlate with the pharmacokinetic property of the mol. to yield a quant. structure-property relation (QSPR) model; and (d) calcg. the pharmacokinetic property of a trial mol. using the above obtained QSPR model. A system for this invention is also provided. According to this method and system, it is possible to predict pharmacokinetic properties of mols. prior to synthesis, without labor-intensive and time-consuming experimentation.

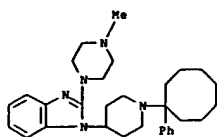
IT 258286-77-0 258286-79-2 258286-84-9
 258287-25-1 258287-29-5 258287-68-2
 258288-00-5 258288-26-5 258288-50-5
 384329-46-8 384329-49-1 384329-50-4
 RL: PKT (Pharmacokinetics); PRP (Properties); BIOL (Biological study)
 (method and system for predicting pharmacokinetic properties)
 RN 258286-77-0 CAPLUS
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



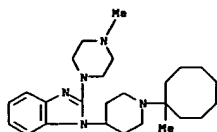
RN 258286-79-2 CAPLUS
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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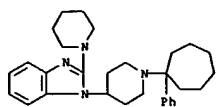
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
piperidinyl)- (9CI) (CA INDEX NAME)



RN 258286-84-9 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

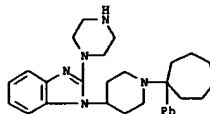


RN 258287-25-1 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

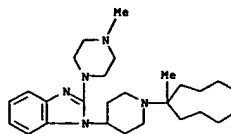


RN 258287-29-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

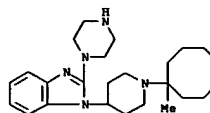
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258287-68-2 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

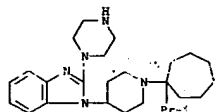


RN 258288-00-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

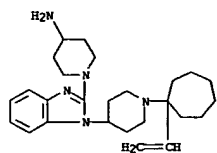


RN 258288-26-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-(1-methylethyl)cycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

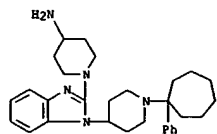
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258288-50-5 CAPLUS
CN 4-Piperidinamine, 1-[1-(1-(1-ethenylcycloheptyl)-4-piperidinyl)-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

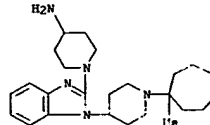


RN 384329-46-8 CAPLUS
CN 4-Piperidinamine, 1-[1-(1-(1-phenylcycloheptyl)-4-piperidinyl)-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

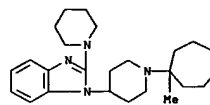


RN 384329-49-1 CAPLUS
CN 4-Piperidinamine, 1-[1-(1-(1-methylcycloheptyl)-4-piperidinyl)-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 384329-50-4 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 3 of 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:319725 CAPLUS
 DOCUMENT NUMBER: 134:320888
 TITLE: Use of substance P antagonists for influencing the circadian timing system
 INVENTOR(S): Dugovic, Christine Jeanner Janssens, Frans Eduard
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 37 pp.
 CODES: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

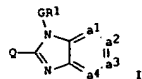
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030348	A1	200010503	WO 2000-EP10201	200001016
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<p>PRIORITY APPL. INFO.: OTHER SOURCE(S):</p>	<p>MARPAT 134:320888 A 19991025</p>
<p>AB</p>	<p>The invention concerns the use of N-contg. heterocyclic derivs. (Markush included) in the manuf. of medicaments useful for beneficially influencing the circadian timing system or enhancing the sleep efficiency of a mammal, suitably a human being. The compounds of the invention are described in full in WO 97/24356 and WO 97/14324, WO 97/24350 and WO 97/24356.</p>
<p>IT</p>	<p>336790-88-6 336790-88-6D, N-oxides and stereoisomers R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, biological); TRU (Therapeutic use); BIOL (Biological study); USSZ (Uses) (substance P antagonists for influencing circadian timing system)</p>
<p>RN CN</p>	<p>336790-88-6 CAPLUS 1-4-(1-5-(3-(trifluoromethyl)benzyl)-4-[(4-[[1-(12-methyl-5-oxazoyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperazinyl]-2-(phenyl)methyl]-1,9CI) (CA INDEX NAME)</p>

14 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:12444 CAPLUS
 DOCUMENT NUMBER: 134:86248
 TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
 INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus Maria-Jos; Janssens, Franciska Maria; Gullis, Simone Emile Georgius; Janssens, Jean Fernand; Amands, Andries. Koenaard Jozef Lodewijk Marcel
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: ECT Int. Appl., 119 pp.
 CUDEN: P20002
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006111	A1	20010104	WO 2000-EP5676	20000620
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RW: GE, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BG, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO				
BR 2000012054	A	200020319	BR 2000-12054	20000620
EP 1196408	A1	20020417	2000-943841	20000628
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003503401	T2	20030128	JP 2001-507020	20000620
EE 200100692	A	20030217	KE 2001-692	20000620
NO 2001006368	A	20020228	NO 2001-6368	20011227
BG 106287	A	20021031	BG 2002-106287	20020108
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			WO 2000-EP5676	A 20000620

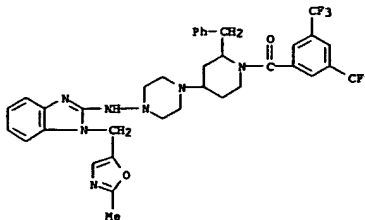
OTHER SOURCE(S): MARPAT 134:86248
GI



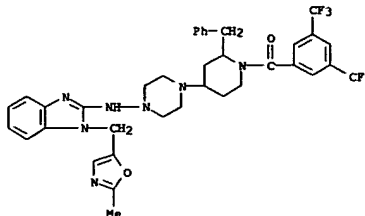
AB Use of title compds. [1: al:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:N:CH:CH, CH:CH:CH, CH:CHCH:N R = R2R4R4X1, R2R4R4COAlk1], specified (heterocyclic) ring, etc. A = alkylene, R = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc. R4 = H, alkyl, aralkyl; G = bond, alkanediyyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc. for treatment of viral

Habte

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

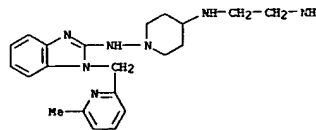


RN 336790-88-6 CAPLUS
CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

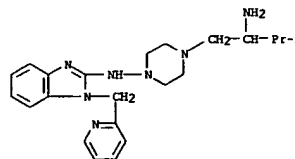


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LA	ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
	infection is claimed. Thus, 1,1-dimethyl-ethyl 4-[[1-[[[3,5-dihydro-3,3-dimethyl-9-(phenylmethyl)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate was refluxed 6 hr in 10N HCl to give 4-[[1-[[[3,5-dihydro-3,3-dimethyl-9-(phenylmethyl)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl)methyl]-1H-benzimidazol-2-yl]amino]piperidine. Tested ^{in vitro} inhibited the respiratory syncytial virus replication with IC50 = 0.0032-2.5119 μm.M.
IT	317846-35-BP 317846-97-2P 317846-98-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Structure-Property) (Therapeutic use); BIOL (Biological activity); PREP (Preparation); SAS (Uses) (prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)
RN	317846-35-8 CAPLUS
CN	1,4-Piperidinediamine, N4-(2-aminoethyl)-N1-[[[6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



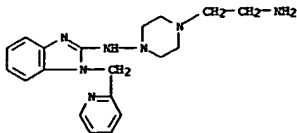
RN 317846-97-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2-amino-3-methylbutyl)-1-piperazinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



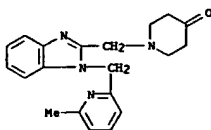
RN 317846-98-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2-aminoethyl)-1-piperazinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

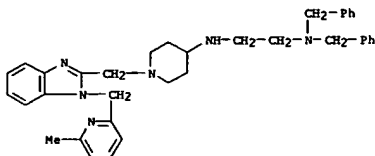
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 317847-52-2P 317847-53-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of benzimidazoles as respiratory syncytial virus replication
 inhibitors)
 RN 317847-52-2 CAPLUS
 CN 4-Piperidinone, 1-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-
 yl)methyl]- (9CI) (CA INDEX NAME)



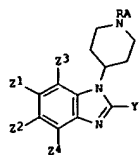
RN 317847-53-3 CAPLUS
 CN 1,2-Ethanediamine, N'-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-
 benzimidazol-2-yl)methyl]-4-piperidinyl]-N,N-bis(phenylmethyl)- (9CI) (CA
 INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:117042 CAPLUS
 DOCUMENT NUMBER: 132:151821
 TITLE: Preparation of 2-substituted-1-piperidylbenzimidazoles
 as ORL1 receptor agonists.
 INVENTOR(S): Ito, Fumitaka; Noguchi, Hirohide; Kondo, Hiroshi
 PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., 2355 Rte. 208, East
 PCT Int. Appl., 127 pp.
 SOURCE: CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008013	A2	20000217	WO 1999-1B1239	19990705
WO 2000008013	A3	20000323		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HN, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, NG, SN, TD, TG			
CA 2339621	AA	20000217	CA 1999-2339621	19990705
AU 9943859	A1	20000228	AU 1999-43859	19990705
AU 749166	B2	20020620		
EP 1102762	A2	20010530	EP 1999-926688	19990705
EP 1102762	B1	20021113		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9912778	A	20010925	BR 1999-12778	19990705
EE 200100075	A	20020617	EE 2001-75	19990705
AT 227716	E	20021115	AT 1999-926688	19990705
JP 3367945	B2	20030120	JP 2000-563646	19990705
ES 2185357	T3	20030416	ES 1999-926688	19990705
US 6172067	B1	20010109	US 1999-369208	19990805
NO 2001000603	A	20010405	NO 2001-603	20010205
BG 105301	A	20011231	BG 2001-105301	20010301
PRIORITY APPLN. INFO.:			WO 1998-1B1206	W 19980806
			WO 1999-1B1239	W 19990705
OTHER SOURCE(S):		MARPAT 132:151821		
GI				



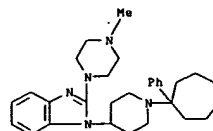
Habte

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB Title compds. [I: R = (substituted) mono-, di-, tri-, or tetracycloalkyl; A = alkyl, haloalkyl, alkenyl, alkynyl, (substituted) phenylalkyl, aryl, heteroaryl, heterocyclyl; Y = H, halo, amino, SH, (substituted) alkyl-M, cycloalkyl-M, alkenyl-M, alkyl-NH-alkyl-M, dialkyl-N-alkyl-M, aryl-M, heterocyclyl-M, arylalkyl-M, etc.; M = bond, O, S, NH, S, SO, SO2, etc.; Z1-Z4 = H, halo, alkyl, haloalkyl, alkoxy, alkylsulfonyl, alkylcarbonyl, CO2H, amino, H2NCO, Ph, naphthyl, etc.], were prepd. as ORL1 receptor agonists (no data). Thus, 2-chloro-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]benzimidazole (prepn. given) was stirred with MeNEt2 in MeOH in an autoclave at 110.degree. for 6 h to give N-methyl-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-amine.

IT 258286-77-0P 258286-79-2P 258286-84-9P
 258286-88-3P 258287-00-2P 258287-07-9P
 258287-25-1P 258287-26-2P 258287-27-3P
 258287-28-4P 258287-29-5P 258287-30-8P
 258287-37-5P 258287-38-6P 258287-39-7P
 258287-59-1P 258287-60-4P 258287-61-5P
 258287-62-6P 258287-67-1P 258287-68-2P
 258287-69-3P 258287-78-4P 258287-79-5P
 258287-83-1P 258287-84-2P 258287-85-3P
 258287-86-4P 258287-87-5P 258287-88-6P
 258287-89-7P 258288-00-5P 258288-01-6P
 258288-04-9P 258288-26-5P 258288-28-7P
 258288-32-3P 258288-33-4P 258288-40-3P
 258288-42-5P 258288-50-5P 258288-51-6P
 258288-56-1P 258288-57-2P 258289-05-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

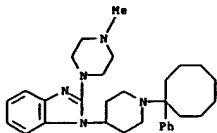
RN 258286-77-0 CAPLUS
 CN 1H-Benzimidazole, 2-[(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



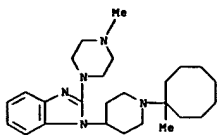
RN 258286-79-2 CAPLUS
 CN 1H-Benzimidazole, 2-[(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

6/02/2003

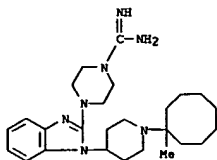
L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258286-84-9 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

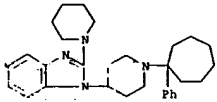


RN 258286-88-3 CAPLUS
CN 1-Piperazinecarboximidamide, 4-[1-[1-(1-methylcyclooctyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



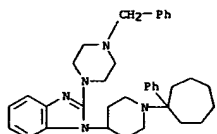
RN 258287-00-2 CAPLUS
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

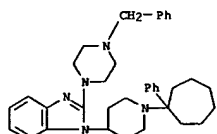


●2 HCl

RN 258287-27-3 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



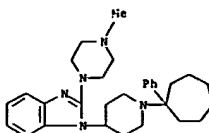
RN 258287-28-4 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-[4-(phenylmethyl)-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

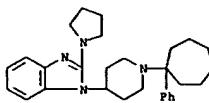
RN 258287-29-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



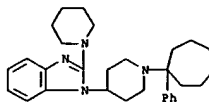
●3 HCl

RN 258287-07-9 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-pyrrolidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



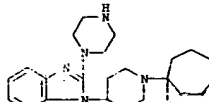
●2 HCl

RN 258287-25-1 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

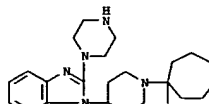


RN 258287-26-2 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

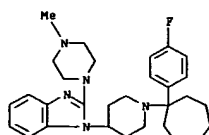


RN 258287-30-8 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



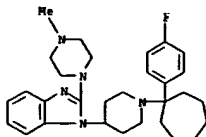
●3 HCl

RN 258287-37-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(4-fluorophenyl)cycloheptyl]-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



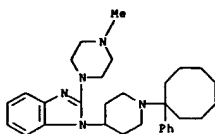
RN 258287-38-6 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(4-fluorophenyl)cycloheptyl]-4-piperidinyl]-2-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



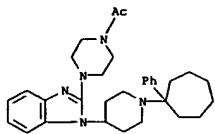
●x HCl

RN 258287-39-7 CAPLUS
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)



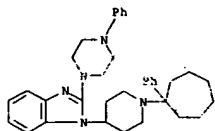
●x HCl

RN 258287-59-1 CAPLUS
CN Piperazine, 1-acetyl-4-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)



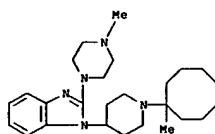
RN 258287-60-4 CAPLUS

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



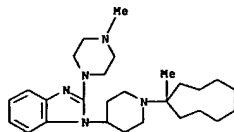
●3 HCl

RN 258287-67-1 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



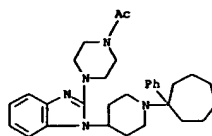
●3 HCl

RN 258287-68-2 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



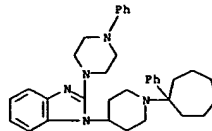
RN 258287-69-3 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Piperazine, 1-acetyl-4-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)



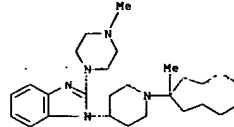
●3 HCl

RN 258287-61-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



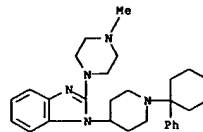
RN 258287-62-6 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

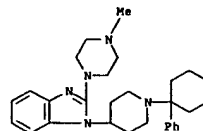


●3 HCl

RN 258287-78-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclohexyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



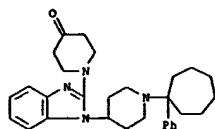
RN 258287-79-5 CAPLUS
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclohexyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



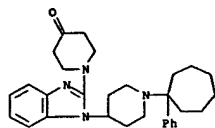
●3 HCl

RN 258287-83-1 CAPLUS
CN 4-Piperidinone, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

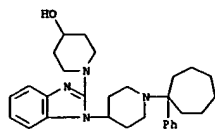


RN 258287-84-2 CAPLUS
 CN 4-Piperidinone, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 258287-85-3 CAPLUS
 CN 4-Piperidinol, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



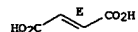
RN 258287-86-4 CAPLUS
 CN 4-Piperidinol, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

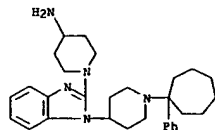
CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

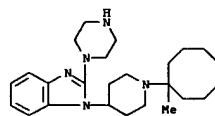


RN 258287-89-7 CAPLUS
 CN 4-Piperidinamine, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

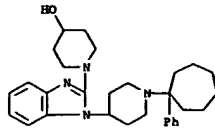
RN 258288-00-5 CAPLUS
 CN 1H-Benzimidazole, 1-[1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258288-01-6 CAPLUS
 CN 1H-Benzimidazole, 1-[1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

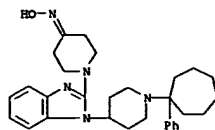
Habte

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



●2 HCl

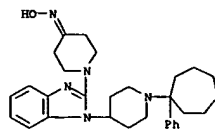
RN 258287-87-5 CAPLUS
 CN 4-Piperidinone, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, oxime (9CI) (CA INDEX NAME)



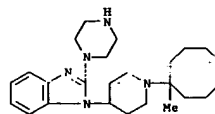
RN 258287-88-6 CAPLUS
 CN 4-Piperidinone, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, oxime, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 258287-87-5
 CMF C30 H39 N5 O

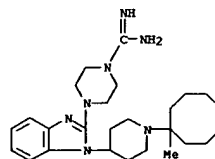


L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



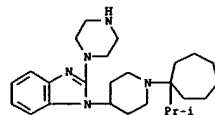
●3 HCl

RN 258288-04-9 CAPLUS
 CN 1-Piperazinecarboximidamide, 4-[1-[1-(1-methylcyclooctyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

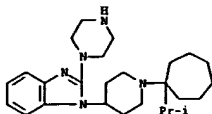
RN 258288-26-5 CAPLUS
 CN 1H-Benzimidazole, 1-[1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258288-28-7 CAPLUS
 CN 1H-Benzimidazole, 1-[1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

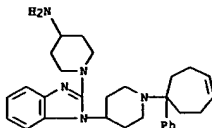
6/02/2003

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

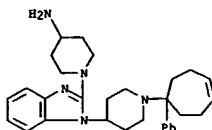


●3 HCl

RN 258288-32-3 CAPLUS
CN 4-Piperidinamine, 1-[1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



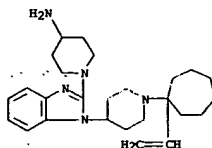
RN 258288-33-4 CAPLUS
CN 4-Piperidinamine, 1-[1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

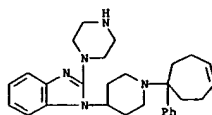
RN 258288-40-3 CAPLUS
CN 4-Piperidinamine, 1-[1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

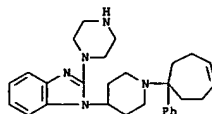


●2 HCl

RN 258288-56-1 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



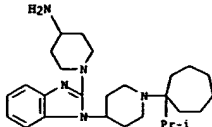
RN 258288-57-2 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



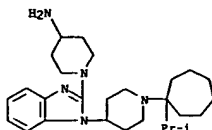
●3 HCl

RN 258289-05-3 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

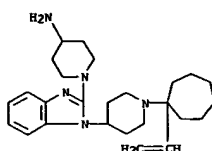


RN 258288-42-5 CAPLUS
CN 4-Piperidinamine, 1-[1-[1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)



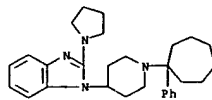
●3 HCl

RN 258288-50-5 CAPLUS
CN 4-Piperidinamine, 1-[1-[1-[1-(1-ethenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



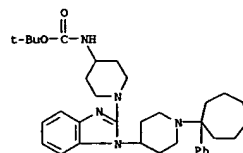
RN 258288-51-6 CAPLUS
CN 4-Piperidinamine, 1-[1-[1-[1-(1-ethenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

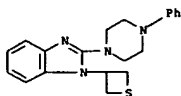


IT 258288-88-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

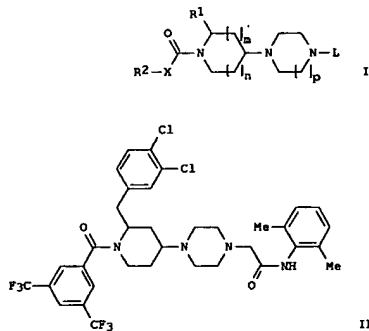
RN 258288-88-9 CAPLUS
CN Carbamic acid, [1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:260235 CAPLUS
 DOCUMENT NUMBER: 129:49337
 TITLE: Synthesis of biologically active derivatives of xanthine and benzimidazole
 AUTHOR(S): Khaliullin, F. A.; Kataev, V. A.; Alekhin, E. K.; Volkova, S. S.; Nasyrov, Kh. M.; Stokhin, Yu. V.
 CORPORATE SOURCE: Bashk. Gos. Med. Univ., Ufa, Russia
 SOURCE: Bashkirsii Khimicheskii Zhurnal (1997), 4(4), 59-62
 CODEN: BKZHFU; ISSN: 0869-8406
 PUBLISHER: Izdatel'stvo "Reaktiv"
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB A study was done of reactions of amines with products of xanthines or benzimidazoles alkylation by epithiochlorohydrin. 2-Amino-substituted 1-(3-thietanyl)benzimidazoles were synthesized from 1-(3-thietanyl)-2-chlorobenzimidazole. 8-Amino-substituted derivs. were formed from 8-bromo-1,3-dimethyl-7-(1-oxothietanyl-3)- and 8-bromo-1,3-dimethyl-7-(1,1-dioxothietanyl-3)xanthines. 2-Amino-substituted 2,3-dihydrothiazolo[3,2-a]benzimidazoles were synthesized from 2-methylsulfonyl-1-(2,3-epithiopropyl)benzimidazole. Immunotropic and anti-inflammatory activities of the synthesized compds. were discovered.
 IT 208577-07-5p
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of biol. active derivs. of xanthine and benzimidazole)
 RN 208577-07-5 CAPLUS
 CN 1H-Benzimidazole, 2-(4-phenyl-1-piperazinyl)-1-(3-thietanyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 US 1998-54963 A1 19980403
 OTHER SOURCE(S): MARPAT 127:34245
 G1



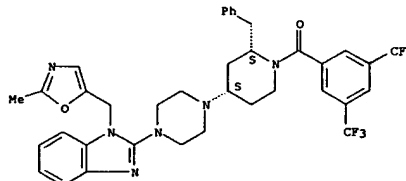
AB The title compds. [I; n = 0-2; m = 1-2 (if m = 2, then n = 1); p = 1-2; Q = O, NR3; X = a covalent bond, a bivalent radical of formula O, S, NR3; R1 = Ar1, Ar1C1-6alkyl, di(Ar1)C1-6alkyl (wherein each C1-6alkyl group is optionally substituted with hydroxy, C1-6alkyloxy, oxo, a ketalized oxo substituent); R2 = Ar2, Ar2C1-6alkyl, Het1, Het1C1-6alkyl; R3 = H, C1-6alkyl; L = H; Ar3: C1-6alkyl, etc.Ar1, Ar2, Ar3 = (un)substituted Ph; Het1, Het2 = monocyclic, bicyclic heterocycle] and their N-oxide forms, the pharmaceutically acceptable addn. salts and the stereoisomeric forms, useful as substance-P antagonists were prepd. and formulated. Thus, reaction of 3,5-bis(trifluoromethyl)benzoyl chloride with (+, -)-trans-4-(2-[(3,4-dichlorophenyl)methyl]-4-piperidinyl)-N-(2,6-dimethylphenyl)-1-piperazineacetamide in the presence of Et3N in DCM afforded 44% II which showed IC50 of 0.13x10-9 M against substance-P induced relaxation of the pig coronary arteries.
 IT 190963-36-1P 190963-37-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 1-(1,2-disubstituted piperidinyl)-4-substituted piperazine derivs. as substance-P antagonists)
 RN 190963-36-1 CAPLUS
 CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1-piperazinyl]-2-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

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L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1997:425258 CAPLUS
 DOCUMENT NUMBER: 127:34245
 TITLE: Preparation of 1-(1,2-disubstituted piperidinyl)-4-substituted piperazine derivatives as substance-P antagonists
 INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Mestor Ghislaine; Leenaerts, Joseph Elisabeth; Van Roosbroeck, Yves Emiel Maria
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Janssens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Mestor Ghislaine; Leenaerts, Joseph Elisabeth; Van Roosbroeck, Yves Emiel Maria
 SOURCE: PCT Int. Appl., 69 pp.
 CODEN: PAXKX2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

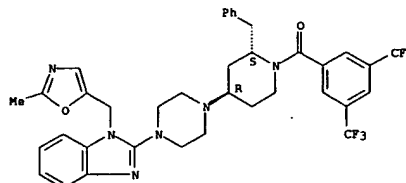
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716440	A1	19970509	WO 1996-EP4660	19961025
U: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, DE, DK, EE, FI, FR, GB, GR, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RV: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NK, SN, TD, TG				
TV 460473	B	20011021	TV 1996-85113017	19961024
CA 2234096	AA	19970509	CA 1996-2234096	19961025
AU 9674932	A1	19970522	AU 1996-74932	19961025
AU 704155	B2	19990415		
EP 862566	A1	19980909	EP 1996-937248	19961025
EP 862566	B1	20000112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1205699	A	19990120	CN 1996-199225	19961025
BR 9611184	A	19990330	BR 1996-11184	19961025
JP 11514634	T2	19991214	JP 1996-517050	19961025
AT 188691	E	20000115	AT 1996-937248	19961025
ES 2143238	T3	20000501	ES 1996-937248	19961025
JP 3073238	B2	20000807	JP 1997-517050	19961025
IL 123962	A1	20010111	IL 1996-123962	19961025
PL 185029	B1	20030228	PL 1996-327406	19961025
ZA 9609090	A	19980429	ZA 1996-9090	19961029
CN 1206406	A	19990127	CN 1996-199389	19961220
CN 1206414	A	19990127	CN 1996-199406	19961220
NO 9801534	A	19980624	NO 1998-1534	19980403
US 6197772	B1	20010306	US 1998-54963	19980403
US 6521621	B1	20030218	US 2000-745513	20001222
US 37886	E	20021015	US 2001-935698	20010823
PRIORITY APPLN. INFO.:			EP 1995-202929	A 19951030
			WO 1996-EP4660	W 19961025

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 Relative stereochemistry.



RN 190963-37-2 CAPLUS
 CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1-piperazinyl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



6/02/2003

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:488344 CAPLUS
 DOCUMENT NUMBER: 125:237997
 TITLE: Preparation and immunomodulating effect of (1-thietanyl-3)benzimidazoles
 AUTHOR(S): Kataev, V. A.; Sadykov, R. F.; Khaliullin, F. A.; Sibiriyak, S. V.; Alekhin, E. K.; Volkova, S. S.
 CORPORATE SOURCE: Bashkirskii Gosudarstvennyi Meditsinskii Institut, Ufa, Russia
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1996), 30(7), 22-24
 CODEN: KHFZAN; ISSN: 0023-1134
 PUBLISHER: Izdatel'stvo Folium
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

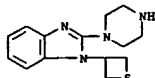
AB 2-Amino-substituted 1-(3-thietanyl)benzimidazoles, 2-[1-(3-thietanyl)benzimidazolyl-2-thio]acetic acid, and its potassium salt (I) were prepd. and their effects on anti-infectious and antitumor immunity were studied. I demonstrated considerable potentiation of antitumor immunity.

IT 182193-15-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. and immunomodulating effect of thietanylbenzimidazoles)

RN 182193-15-3 CAPLUS

CN 1H-Benzimidazole, 2-(1-piperazinyl)-1-(3-thietanyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

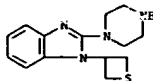
IT 182193-12-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and immunomodulating effect of thietanylbenzimidazoles)

RN 182193-12-0 CAPLUS

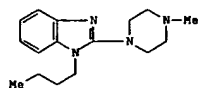
CN 1H-Benzimidazole, 2-(1-piperazinyl)-1-(3-thietanyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:435541 CAPLUS
 DOCUMENT NUMBER: 121:35541
 TITLE: Design and syntheses of a series of novel serotonin 53 antagonists
 AUTHOR(S): Hori, Manabu; Suzuki, Kenji; Yamamoto, Takeshi; Nakajima, Fumio; Ozaki, Akio; Ohtaka, Hiroshi
 CORPORATE SOURCE: New Drug Res. Lab. Kanebo Ltd., Osaka, 534, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1993), 41(10), 1832-41
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB From a structural comparison study between serotonin and serotonin3 (5-HT3) antagonists using a 2-dimensional grid template composed of regular hexagons, the authors deduced structural modification patterns from agonists to antagonists, and designed new 5-HT3 antagonist prototypes. Among them, 2-(4-methyl-1-piperazinyl)-1-butylbenzimidazole (I) was identified as a lead compd. which has potent 5-HT3 antagonistic activity comparable to that of granisetron. Using a quant. structure-activity relations method, the authors optimized the structure of 6 and selected 6-amino-5-chloro-1-isopropyl-2-(4-methyl-1-piperazinyl)benzimidazole dimaleate (69, KB-6933), 1 of the most potent and long-acting 5-HT3 antagonists, as a candidate drug.

IT 155583-86-1P

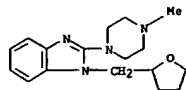
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as HT3-antagonist)

RN 155583-86-1 CAPLUS

CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[(tetrahydro-2-furanyl)methyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155583-85-0
 CMF C17 H24 N4 O



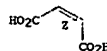
L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 110-16-7

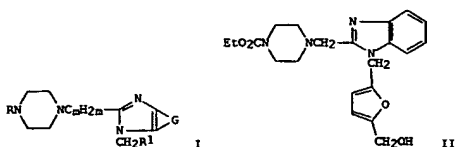
CMF C4 H4 O4

Could be used geometry as shown.



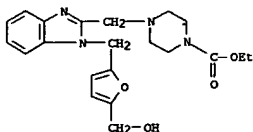
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:54556 CAPLUS
 DOCUMENT NUMBER: 120:54556
 TITLE: Preparation of N-(arenoimidazol-2-ylalkyl)piperazines as antiallergics
 INVENTOR(S): Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth; Diels, Gaston Stanislas Marcella; Sommen, Francois Marcia
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: PCT Int. Appl., 39 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9314088	A1	19930722	WO 1992-EP3000	19921229
V: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9332582	A1	19930803	AU 1993-32582	19921229
ZA 9300143	A	19940708	ZA 1993-143	19930108
PRIORITY APPL. INFO.: EP 1992-200042 19920109				
WO 1992-EP3000 19921229				
OTHER SOURCE(S): MARPAT 120:54556				
GI				

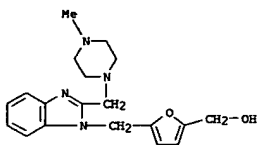


AB Title compds. [I; G = CH:CHCH:CH, N:CHCH:CH, etc.; R = H, (cyclo)alkyl, alkanoyl, alkoxyalkyl, etc.; R1 = (hydroxyalkyl)furanyl, -oxazolyl, alkylloxazolyl; m = 1-4] were prepd. Thus, Et 1-piperazinecarboxylate was condensed with 2-chloromethyl-1H-benzimidazole and the product condensed with Et 5-chloromethyl-2-furancarboxylate to give, after redn., title compd. II. Selected I had ED50 of 0.02-0.08 mg/kg for protection of rats from compd. 48/80-induced lethality (route of administration not given).
 IT 151856-33-6P 152072-74-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, in prepn. of antiallergic agent)
 RN 151856-33-6 CAPLUS

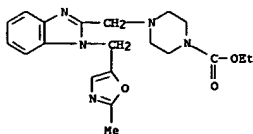
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 151856-23-4P 152072-24-7P 152072-33-8P
 152072-35-0P 152072-37-2P 152072-39-4P
 152072-41-8P 152072-43-0P 152072-45-2P
 152072-48-5P 152072-58-7P 152072-64-5P
 152072-70-3P 152072-72-5P
 RL: SEM (synthetic preparation); PREP (Preparation)
 (prepn. of, as antiallergic agent)
 RN 151856-04-1 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 151856-05-2 CAPLUS
 CN 2-Furanmethanol, 5-[[2-[[4-methyl-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

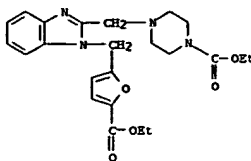


RN 151856-06-3 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[1-[[2-methyl-5-oxazolyl]methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



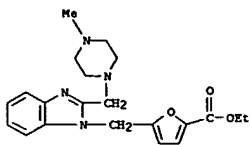
RN 151856-10-9 CAPLUS
 CN 2-Furanmethanol, 5-[[2-[[1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]-
 Habte

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1-Piperazinecarboxylic acid, 4-[[1-[[5-(ethoxycarbonyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



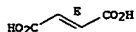
RN 152072-74-7 CAPLUS
 CN 2-Furanmethanol, 5-[[2-[[4-methyl-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1
 CRN 152072-73-6
 CHF C21 H26 N4 O3



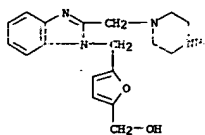
CH 2
 CRN 110-17-8
 CHF C4 H4 O4

Double bond geometry as shown.

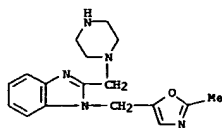


IT 151856-04-1P 151856-05-2P 151856-06-3P
 151856-10-9P 151856-11-0P 151856-13-2P
 151856-15-4P 151856-17-6P 151856-18-7P

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 (9CI) (CA INDEX NAME)

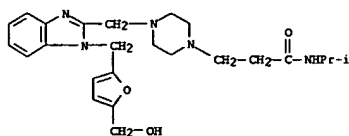


RN 151856-11-0 CAPLUS
 CN 1H-Benzimidazole, 1-[[2-methyl-5-oxazolyl]methyl]-2-[[1-piperazinyl]methyl]-, tetrahydrobromide (9CI) (CA INDEX NAME)



●4 HBr

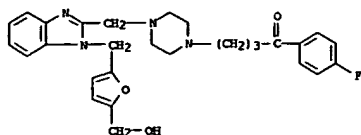
RN 151856-13-2 CAPLUS
 CN 1-Piperazinepropanamide, 4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



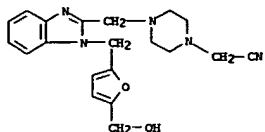
RN 151856-15-4 CAPLUS
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl- (9CI) (CA INDEX NAME)

6/02/2003

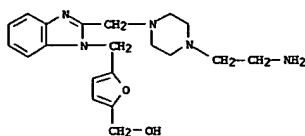
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 151856-17-6 CAPLUS
 CN 1-Piperazineacetoneitrile, 4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 151856-18-7 CAPLUS
 CN 2-Puramethanol, 5-[[2-[[4-(2-aminoethyl)-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



RN 151856-23-4 CAPLUS
 CN 3-Purancarbamide, N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

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CM 2

CRN 110-17-8
 CMF C4 H4 O4

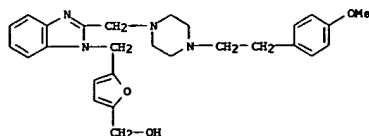
Double bond geometry as shown.



RN 152072-33-8 CAPLUS
 CN 2-Puramethanol, 5-[[2-[[4-(2-methoxyphenyl)ethyl]-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

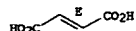
CRN 152072-32-7
 CMF C27 H32 N4 O3



CM 2

CRN 110-17-8
 CMF C4 H4 O4

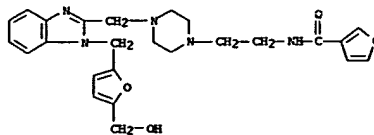
Double bond geometry as shown.



RN 152072-35-0 CAPLUS

Habe

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

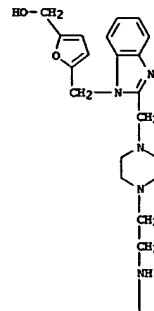


RN 152072-24-7 CAPLUS
 CN 2-Puramethanol, 5-[[2-[[4-(2-(1H-imidazo[4,5-c]pyridin-2-ylamino)ethyl)-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, (2E)-2-butenedioate (1:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-23-6
 CMF C26 H30 N8 O2

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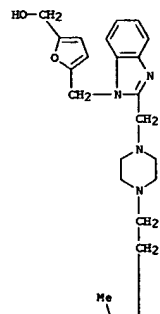
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-7-methyl-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-34-9
 CMF C27 H30 N6 O3 S

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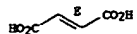
CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

6/02/2003

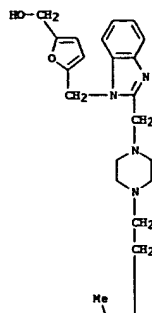
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



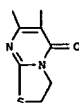
RN 152072-37-2 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-7-methyl-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-36-1
 CMF C27 H32 N6 O3 S



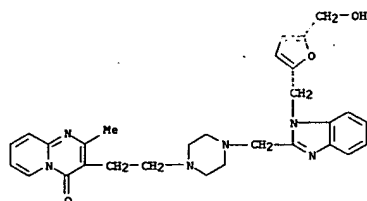
PAGE 1-A



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L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

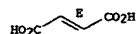
CRN 152072-40-7
 CMF C29 H32 N6 O3



CM 2

CRN 110-17-8
 CMF C4 H4 O4

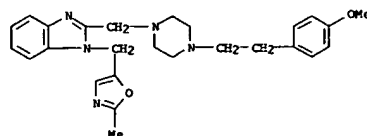
Double bond geometry as shown.



RN 152072-43-0 CAPLUS
 CN 1H-Benzimidazole, 2-[[[4-[2-(4-methoxyphenyl)ethyl]-1-piperazinyl]methyl]-1-[[2-methyl-5-oxazolyl]methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-42-9
 CMF C26 H31 N5 O2



CM 2

CRN 110-17-8
 CMF C4 H4 O4

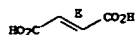
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L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 110-17-8
 CMF C4 H4 O4

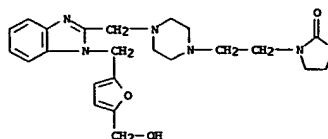
Double bond geometry as shown.



RN 152072-39-4 CAPLUS
 CN 2-Oxazolidinone, 3-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

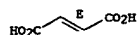
CRN 152072-38-3
 CMF C23 H29 N5 O4



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

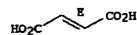


RN 152072-41-8 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-2-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

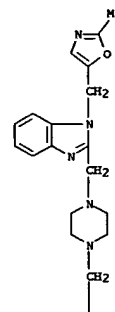
Double bond geometry as shown.



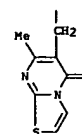
RN 152072-45-2 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[[[1-[[2-methyl-5-oxazolyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-44-1
 CMF C26 H29 N7 O2 S



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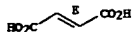
6/02/2003

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH 2

CRN 110-17-8
CMF C4 H4 O4

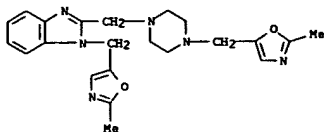
Double bond geometry as shown.



RN 152072-48-5 CAPLUS

CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[[4-[(2-methyl-5-oxazolyl)methyl]-1-piperazinyl]methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

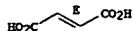
CH 1

CRN 152072-47-4
CMF C22 H26 N6 O2

CH 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



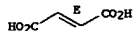
RN 152072-58-7 CAPLUS

CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[[4-methyl-1-piperazinyl]methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-57-6
CMF C18 H23 N5 O

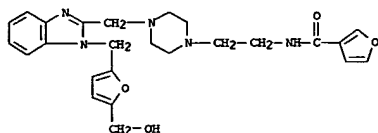
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 152072-70-3 CAPLUS

CN 3-Furancarboxamide, N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

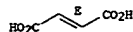
CH 1

CRN 151856-23-4
CMF C25 H29 N5 O4

CH 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



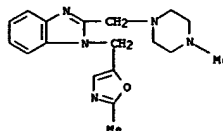
RN 152072-72-5 CAPLUS

CN Benzamide, 2-amino-N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-71-4
CMF C27 H32 N6 O3

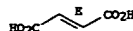
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



CH 2

CRN 110-17-8
CMF C4 H4 O4

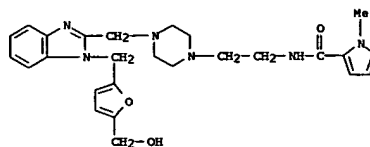
Double bond geometry as shown.



RN 152072-64-5 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-1-methyl-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CH 1

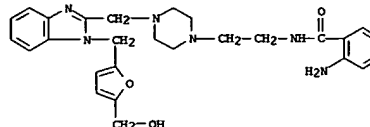
CRN 152072-63-4
CMF C26 H32 N6 O3

CH 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

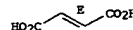
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



CH 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:124534 CAPLUS

DOCUMENT NUMBER: 118:124534

TITLE:

Preparation of 2-(imidazolylpiperidino)benzimidazoles and analogs as 5-HT receptor ligands

INVENTOR(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas;

Schoemaker, Johannes

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXIXUW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

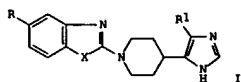
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 507650	A1	19921007	EP 1992-400780	19920323
EP 507650	B1	19960522		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
FR 2674855	A1	19921009	FR 1991-4009	19910403
FR 2674855	B1	19940114		
AT 138375	S	19960615	AT 1992-400780	19920323
CA 2064924	AA	19921004	CA 1992-2064924	19920402
NO 9201281	A	19921005	NO 1992-1281	19920402
AU 9213989	A1	19921008	AU 1992-13989	19920402
AU 646332	B2	19940217		
CN 1065459	A	19921021	CN 1992-102327	19920402
JP 05112563	A2	19930507	JP 1992-80690	19920402
JP 07088378	B4	19950927		
HU 62573	A2	19930528	HU 1992-1116	19920402
US 5280030	A	19940118	US 1992-862376	19920402

PRIORITY APPLN. INFO.: FR 1991-4009

OTHER SOURCE(S): HARPAT 118:124534

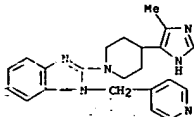
G1



AB Title compds. [I: R = H, F; R1 = H, (cyclo)alkyl; X = O, S, NR3; R3 = H, (cyclo)alkyl, Ph, pyridyl, etc.] were prepd. Thus, 1-(4-pyridyl)-1-propanone was converted in 2 steps to 2-amino-1-(4-pyridyl)-1-propanone which was cyclocondensed with HSCN and the product converted in 2 steps to 4-(5-methyl-1H-imidazol-4-yl)piperidine. The latter was condensed with 2-chloro-1-(1-methylethyl)-1H-benzimidazole (prepn. given) to give I (R = H, R1 = Me, X = NCHMe2). I gave .gtoreq. 50% inhibition of serotonin-induced bradycardia in rats at 10 .mu.g/kg i.v.

IT 146365-66-4P 146365-67-5P 146365-83-5P
146365-91-5P

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



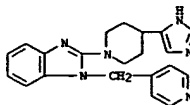
L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as 5-HT receptor ligand)

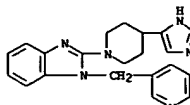
RN 146365-66-4 CAPLUS

CN 1H-Benzimidazole, 2-[(4-(1H-imidazol-4-yl)-1-piperidinyl)-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



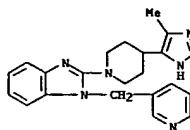
RN 146365-67-5 CAPLUS

CN 1H-Benzimidazole, 2-[(4-(1H-imidazol-4-yl)-1-piperidinyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-83-5 CAPLUS

CN 1H-Benzimidazole, 2-[(4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-91-5 CAPLUS

CN 1H-Benzimidazole, 2-[(4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl)-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:562796 CAPLUS

DOCUMENT NUMBER: 109:162796

TITLE:

Determination of telenzepine in human serum by gas chromatography-mass spectrometry

AUTHOR(S): Sturm, E.; Junker, A.

CORPORATE SOURCE: Byk Gulden Pharm., Konstanz, D-7750, Fed. Rep. Ger.

JOURNAL: Journal of Chromatography (1988), 439(1), 43-51

CODEN: JOCRAM; ISSN: 0021-9673

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:162796

AB A method for detg. telenzepine in human serum is described. Analytes are obtained from alkalized serum by extn. of the drug using reversed-phase octadecylsilane-bonded silica cartridges. Telenzepine and a demethyl analog added to serum as internal std. are retained on the C18 cartridge and recovered by elution with MeOH. The gas chromatog. properties of telenzepine and the internal std. are improved by a 2-step derivatization involving a benzodiazepine-benzimidazole rearrangement and simultaneous formation of a Me ester function. The processed ext. is analyzed by gas chromatog.-mass spectrometry with selected-ion monitoring. Quantification is linear over the range 2-40 ng/mL. Inter-day precision is within 7%, except at the detection limit of 2 ng/mL (16%). Application of this assay to routine anal. is limited by the extensive sample pretreatment essential for derivatization of telenzepine.

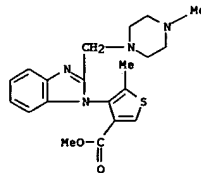
IT 117019-16-6P 117019-17-7P 117019-18-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, for telenzepine detn. in human blood by gas chromatog.)

RN 117019-16-6 CAPLUS

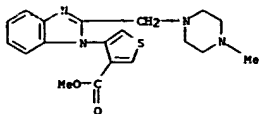
CN 3-Thiophenecarboxylic acid, 4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)



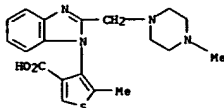
RN 117019-17-7 CAPLUS

CN 3-Thiophenecarboxylic acid, 4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 117019-18-8 CAPLUS
 CN 3-Thiophenecarboxylic acid, 5-methyl-4-[[4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]- (9C1) (CA INDEX NAME)

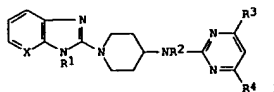


L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:407211 CAPLUS
 DOCUMENT NUMBER: 37:7211
 TITLE: 2-[4-(Pyrimidin-2-ylamino)piperidin-1-yl]benzimidazole derivatives as allergy inhibitors
 PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
 SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
 CODEN: JXOXA
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62061979	A2	19870318	JP 1986-214978	19860910
JP 04060596	B4	19920928		
JP 2587029	A1	19870313	FR 1985-13453	19850911
FR 2587029	B1	19871030		
EP 217700	A1	19870408	EP 1986-401928	19860902
EP 217700	B1	19940330		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 103603	E	19940415	AT 1986-401928	19860902
IL 79992	A1	19900712	IL 1986-79992	19860909
AU 8662552	A1	19870312	AU 1986-62552	19860910
AU 582894	B2	19890413		
FI 8603661	A	19870312	FI 1986-3661	19860910
FI 87210	B	19920831		
FI 87210	C	19921210		
DK 8604315	A	19870312	DK 1986-4315	19860910
DK 170594	B1	19951106		
NO 8603608	A	19870312	NO 1986-3608	19860910
NO 167026	B	19910617		
NO 167026	C	19910925		
ZA 8606901	A	19870429	ZA 1986-6901	19860910
HU 41771	A2	19870528	HU 1986-3907	19860910
HU 196597	B	19881228		
ES 2001782	A6	19880616	ES 1986-1773	19860910
US 4820710	A	19890411	US 1986-906279	19860910
CA 1272486	A1	19900807	CA 1986-517916	19860910
US 4912219	A	19900327	US 1988-283468	19881212
PRIORITY APPLN. INFO.:			FR 1985-13453	19850911
			EP 1986-401928	19860902
			US 1986-906279	19860910

OTHER SOURCE(S): CASREACT 107:7211
 GI

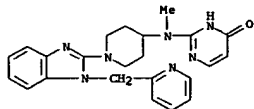


L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

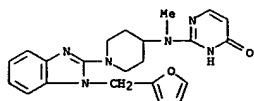
AB The title compds. [I; X = CH, N; R1 = H, (un)substituted PhCH2, (un)substituted heterocyclylmethyl; R2, R4 = H, C1-4 alkyl; R3 = H, OH], their tautomers and salts, were prepd. as allergy inhibitors. A mixt. of 4-(methylamino)piperidine and 1-(4-fluorobenzyl)-2-chlorobenzimidazole in Ac2O/CH2Cl2 contg. K2CO3 was refluxed for 192 h to give 1-[2-(4-fluorobenzyl)-1H-benzimidazol-2-yl]-4-(methylamino)piperidine which was heated with (methylthio)uracil at 170.degree. for 10 h to give I (X = CH; R1 = p-FC6H4CH2; R2 = Me; R3 = OH; R4 = H).

IT 108612-72-2P 108612-73-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as allergy inhibitor)

RN 108612-72-2 CAPLUS
 CN 4(1H)-Pyrimidinone, 2-[methyl[1-[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-4-piperidinyl]amino]- (9C1) (CA INDEX NAME)

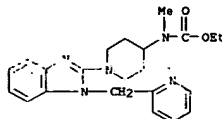


RN 108612-73-3 CAPLUS
 CN 4(1H)-Pyrimidinone, 2-[1-[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]-4-piperidinylmethylamino]- (9C1) (CA INDEX NAME)

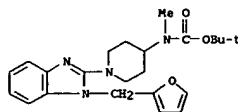


IT 108612-81-3P 108612-82-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for allergy inhibitors)
 RN 108612-81-3 CAPLUS
 CN Carbamic acid, methyl[1-[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-4-piperidinyl]-, ethyl ester (9C1) (CA INDEX NAME)

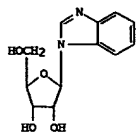
L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 108612-82-4 CAPLUS
 CN Carbamic acid, [1-[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]-4-piperidinylmethyl-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)



L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1979:451275 CAPLUS
 DOCUMENT NUMBER: 91:51275
 TITLE: Conformation of nucleosides: Circular dichroism study on the syn-anti conformational equilibrium of 2-substituted benzimidazole nucleosides
 AUTHOR(S): Miles, D. W.; Townsend, L. B.; Miles, D. L.; Eyring, R.
 CORPORATE SOURCE: Dep. Chem., Univ. Utah, Salt Lake City, UT, USA
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1979), 76(2), 553-6
 CODEN: PNASA6; ISSN: 0027-8424
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB The soln. conformations of 2-substituted derivs. of 1-(beta.-D-ribofuranosyl)benzimidazole (I) were detd. by CD spectroscopy in aq. solns. Analogs with Me, amino, or methylamino substituents at position 2 of the benzimidazole ring (position 8 of the purine ring) had predominantly anti conformations, whereas analogs with chloro, aza, methoxy, or methylthio substituents had predominantly syn conformations. The preferred soln. conformations of the benzimidazole nucleosides and analogous purine nucleosides were compared. The replacement of N by C at position 3 of the purine ring of purine (beta.) nucleosides apparently leads to important conformational consequences, which are strengthened or neutralized by substituents at position 8 of the purine ring.

IT 22416-77-9
 RL: BIOL (Biological study)
 (CD of, conformation in relation to)

RN 22416-77-9 CAPLUS

CN 1H-Benzimidazole, 2-(1-piperidinyl)-1-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1969:11956 CAPLUS
 DOCUMENT NUMBER: 70:11956
 TITLE: Synthesis of 2-chloro-1-(beta.-D-ribofuranosyl)benzimidazole and certain related derivatives
 AUTHOR(S): Ravankar, Ganapathi R.; Townsend, Leroy B.
 CORPORATE SOURCE: Univ. of Utah, Salt Lake City, UT, USA
 SOURCE: Journal of Heterocyclic Chemistry (1968), 5(4), 477-83
 CODEN: JHCTAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.

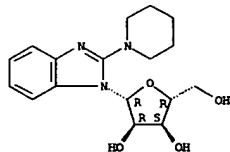
AB 2-Chloro-1-(beta.-D-ribofuranosyl)benzimidazole (I) was prepd. by condensation of 2-chloro-1-trimethylsilylbenzimidazole with 2,3,5-tri-O-acetyl-D-ribofuranosyl bromide (II) followed by subsequent deacetylation. Nucleophilic displacement of the 2-chloro group furnished several 2-substituted 1-(beta.-D-ribofuranosyl)benzimidazoles. 1-(beta.-D-ribofuranosyl)benzimidazole and 1-(beta.-D-ribofuranosyl)-benzimidazole-2-thione (III) were prepd. from I, and III was also prepd. by condensation of II with silylated benzimidazole-2-thione. Alkylation of III furnished certain 2-alkylthio-1-(beta.-D-ribofuranosyl)benzimidazoles and oxidn. of III with alk. H2O2 produced 1-(beta.-D-ribofuranosyl)benzimidazole-2-one. The assignment of anomeric configuration for all nucleosides reported is discussed.

IT 22416-77-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

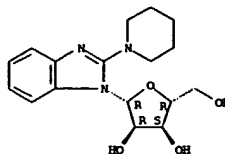
RN 22416-77-9 CAPLUS

CN 1H-Benzimidazole, 2-(1-piperidinyl)-1-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



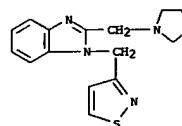
L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1968:68927 CAPLUS
 DOCUMENT NUMBER: 69:68927
 TITLE: Isothiazoles. XI. Carbinols, aryl ketones, and aminomethyl derivatives of isothiazoles
 AUTHOR(S): Layton, Alfred J.; Lunt, E.
 CORPORATE SOURCE: Res. Lab., May and Baker Ltd., Dagenham, UK
 SOURCE: Journal of the Chemical Society [Section] C: Organic (1968), (5), 611-14
 CODEN: J500AX; ISSN: 0022-4552
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.

AB Previous work on aryl- and hydroxymethyl-isothiazoles was extended and some aroylisothiazoles, such as I, and the corresponding secondary alcs. were prepd. Primary alcs. were obtained by retn. of the known formyl compds., and secondary alcs. by reactions of Grignard or Li derivs. with aldehydes. Aryl derivs. were prepd. by Li or Grignard reactions from the appropriate nitriles, acid chlorides, or acylimidazoles, or by oxidn. of the secondary alcs. referred to above. The alcs. were converted by reaction of the corresponding chloro compds. with amines into aminomethylisothiazoles of potential pharmacol. interest. The latter were also prepd. in some cases by retn. of the Schiff bases of isothiazole carboxaldehydes.

IT 17265-81-5P 17276-74-3P 17517-83-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 17265-81-5 CAPLUS

CN Benzimidazole, 1-(3-isothiazolylmethyl)-2-(1-pyrrolidinylmethyl)- (8CI) (CA INDEX NAME)



RN 17276-74-3 CAPLUS

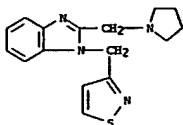
CN Benzimidazole, 1-(3-isothiazolylmethyl)-2-(1-pyrrolidinylmethyl)-, maleate (1:1) (8CI) (CA INDEX NAME)

CN 1

CRN 17265-81-5

CMF C16 H18 N4 S

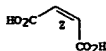
L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

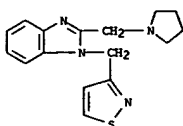
Double bond geometry as shown.



RN 17517-83-8 CAPLUS

CN Benzimidazole, 1-(3-isothiazolylmethyl)-2-(1-pyrrolidinylmethyl)-, tripicrate (8CI) (CA INDEX NAME)

CM 1

CRN 17265-81-5
CMF C16 H18 N4 S

CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

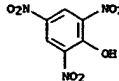
L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1966:438549 CAPLUS
 DOCUMENT NUMBER: 65:38549
 ORIGINAL REFERENCE NO.: 65:7183g-h, 7184a-b, 7185a-h, 7186a-f
 TITLE: Benzimidazoles
 PATENT ASSIGNER(S): Schering A.-G.
 SOURCE: 45 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 667333		19660124	BE	19640723
FR 1440565			FR	
NL 6509573			NL	

GI For diagram(s), see printed CA Issue.
 AB Title compds. of the formula I possess antiallergic and antiinflammatory properties. Thus, 1-(4-chlorobenzyl)-2-chloromethylbenzimidazole-HCl (II, HCl) is treated with NaHCO₃ in H₂O to yield the base II, m. 99-103.degree. (dil. MeOH). To 54.8 g. IV in 280 ml. C₆H₆ is added 40.25 g. Et₃NHCH₂CH₂OH (III) in 50 ml. C₆H₆, the mixt. kept 2 days under anhyd. conditions, washed to neutrality with H₂O, and dried over K₂CO₃ and the solvent evapd. at <30.degree. to obtain 50 g. 1-(p-chlorobenzyl)-2-[N-ethyl-N-(2-hydroxyethyl)amino]methylbenzimidazole (IV), m. 101-3.degree., IV, HCl m. 154-6.degree. (iso-PrOH). To 45 g. II in 240 ml. C₆H₆ is added dropwise a soln. of 37.2 g. N-methylpiperazine (V) in 40 ml. C₆H₆. After the work-up for IV, the crude base is crystd. from petr. ether to give 40 g. 1-(p-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 99-100.5.degree.; HCl salt m. 225-6.degree.. To a soln. of 40 g. II in 300 ml. C₆H₆ is added 43 g. N-(2-hydroxyethyl)piperazine (VI) in 50 ml. C₆H₆ with the temp. kept at 25.degree. by cooling the mixt. in an ice water bath when necessary. The mixt. is kept overnight, refluxed 2 hrs., cooled, washed with Et₂O, decolorized with C, and made alk. with Na₂CO₃. The mixt. is extd. with CH₂Cl₂ and dried over Na₂SO₄, the solvent removed <40.degree., and the residue treated with C in C₆H₆ to give 40 g. 1-(p-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 144-5.degree.. II (15 g.) and 7 g. allylamine under similar conditions give 1-(p-chlorobenzyl)-2-allylaminomethylbenzimidazole which with N HCl gives the salt, m. 198-9.degree.. Similarly, II and N-(beta-aminopropyl)morpholine give 1-(p-chlorobenzyl)-2-(morpholinopropylaminomethylbenzimidazole-HCl, m. 180-2.degree. (base is an oil); II and beta-amino-beta-hydroxydiethyl ether give an oily base which is converted to the HCl salt, m. 199-201.degree.. A mixt. of 25 g. 2,5-dichloro-1-nitrobenzene and 36.9 g. 4-chlorobenzylamine is heated to 135.degree. in an oil bath (the reaction becomes exothermic and the temp. is not allowed to exceed 145.degree.) to yield after 2 hrs. 23 g. 1-(4-chlorobenzylamino)-2-nitro-4-chlorobenzene (VII), m. 121-2.degree. is obtained. Redn. of 2 g. VII in 250 ml. dioxane with H in the presence of 2 g. Raney Ni at ambient temp. and 115 atm. yields 19 g. 1-(4-chlorobenzylamino)-2-amino-4-chlorobenzene (VIII), m. 138-41.degree.. To 10 g. VIII in 90 ml. abs. CHCl₃ is added 6.1 g. chloroacetylamine ether-HCl, (IX, HCl) in 35 ml. CHCl₃, the mixt. stirred 30 min. at room temp. and 2 hrs. at 40.degree. and neutralized with NaHCO₃, the CHCl₃ soln. washed with H₂O and dried over Na₂SO₄, and the solvent removed to yield 10 g. 1-(p-chlorobenzyl)-2-chloromethyl-5-chlorobenzimidazole (X), m. 134-6.degree.. The reaction of X with VI yields 10 g. 1-(p-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methyl-5-

Habt

L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



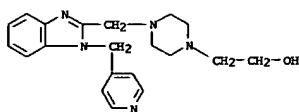
L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 chlorobenzimidazole, m. 137-8.degree.. A soln. of 75.8 g. 4-chlorobenzylcyanamide is treated in 700 ml. MeOH satd. with NH₃ and H in the presence of 3 g. Raney Ni at 70.degree. and initial temp. of 110.degree. to give 4-chlorophenylethylamine, (XI), b.p. 124-9.degree.. A mixt. of 49 g. XI, 49.5 g. O-ClC₆H₄NO₂, and 47.1 g. powd. K₂CO₃ is heated to 150-60.degree. in an oil bath 2 hrs., cooled, and dissolved in a mixt. of CHCl₃ and H₂O, the CHCl₃ soln. washed with dil. HCl, H₂O, dried, and the solvent removed. The residue is crystd. from MeOH to yield 7 g. 1-p-chlorophenylethyl-2-nitrobenzene (XII). Hydrogenation of XII on MeOH over Raney Ni yields 73 g. 1-(4-chlorophenylethyl)-2-aminobenzene (XIII) as a viscous oil. Condensation of XIII with IX yields 70 g. 1-(4-chlorophenylethyl)-2-chloromethylbenzimidazole (XIV), m. 104-7.degree., which is allowed to react with VI to yield 1-[2-(4-chlorophenyl)ethyl]-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 123-4.degree.. To 23.3 g. II in 160 ml. dioxane is added 19.4 g. HN(CH₂CH₂OH)₂ and the mixt. kept overnight and worked up to yield 12.5 g. product, m. 111-13.degree., which is treated with an equiv. amt. N H₂SO₄ to yield 10 g. 1-(4-chlorobenzyl)-2-bis(2-hydroxyethyl)amino]methylbenzimidazole-H₂SO₄, m. 150-2.degree. (MeOH). To a cold mixt. of 12.8 g. formylpiperazine, 6.4 g. Na₂CO₃ and 150 ml. 95% EtOH is added 33.1 g. II, the mixt. refluxed 2 hrs., cooled, and filtered, the solvent removed, and the oily residue treated with 32 ml. concd. HCl and 150 ml. H₂O on a steam bath 10 hrs. The major portion of the HCl is removed in vacuo, H₂O added with stirring to the residue, and the base pptd. with dil. NaOH soln. The product is taken into CH₂Cl₂, washed with K₂CO₃, and the solvent removed to yield 20 g. 1-(4-chlorobenzyl)-2-piperazinylmethylbenzimidazole, m. 140-2.degree. (EtOAc). A soln. of 20.8 g. 4-fluorobenzaldehyde (XV) in 45 ml. MeOH is added to 17.7 g. phenylenediamine (XVI) in 45 ml. MeOH kept cold in an ice bath. The ppt. is isolated and combined with a second portion obtained on concn. of the mother liquor to yield 30 g. N-(4-fluorobenzyl)-o-phenylenediamine (XVII), m. 73-4.degree. (cyclohexane). Redn. of 37.5 g. XVII in 500 ml. dioxane with H in the presence of 4 g. Raney Ni at 130 atm. pressure and at 70-5.degree. followed by filtration and evapn. of solvent <35.degree. gives 37.5 g. N-(4-fluorobenzyl)-o-phenylenediamine (XVIII), m. 80-1.degree.. A soln. of 37.5 g. XVIII in 208 ml. anhyd. CHCl₃ is added dropwise to 28.6 g. IX, HCl in 164 ml. anhyd. CHCl₃, the mixt. kept at room temp. with cooling with H₂O, stirred 30 min. and then kept at 40.degree. 2 hrs. The mixt. is worked up to yield 46 g. 1-(4-fluorobenzyl)-2-chloromethylbenzimidazole (XIX), m. 80-4.degree.. A soln. of 17.3 g. VI in 50 ml. C₆H₆ is added to a chilled soln. of 15 g. XIX in 120 ml. C₆H₆ and after work up yields 13 g. 1-(4-fluorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 143-4.degree. (EtOAc). Similarly, XIX and III yields 1-(4-fluorobenzyl)-2-[N-ethyl-N-(2-hydroxyethyl)amino]methylbenzimidazole, m. 116-17.degree. (CH₃); XIX and V give 1-(4-fluorobenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, which is converted to its HCl salt, m. 233-4.degree. (MeOH-Et₂O). When II is allowed to react with the appropriate amine, the following XIXa are obtained (R and m.p. given): 1-methoxy-ethyl-4-piperazinyl, -- [HCl salt m. 127-9.degree. (cyclohexane-C₆H₆)]; N(CH₂CH₂OH)₂, 124-6.degree. (iso-PrOH); N(CH₂CH₂OH)Me₂, 192-4.degree. (MeOH-Et₂O); N(CH₂CH₂OH)CH₂CH(OH)Me, -- [HCl salt m. 195-7.degree. (MeOH-Et₂O)]; 4-benzylpiperazinyl, 147-8.degree. (Me₂CO). Using methods described above the following aldehydes (m.p. of the intermediate benzal deriv., m.p. of the benzyl deriv., m.p. of the chloromethylbenzimidazole) yield the corresponding methylbenzimidazoles: prepd. from p-BzC₆H₄CHO, intermediate benzal m. 112-13.degree., intermediate benzyl deriv., m. 69-72.degree., intermediate chloromethylbenzimidazole, m. 95-8.degree.; 1-(4-bromobenzyl)-2-[1-methyl-4-piperazinyl], m. 96-7.degree. (petr.

6/02/2003

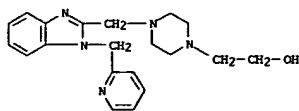
14 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 ether; 1-(4-bromobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 139-40.degree. (C6H6). Prep. from o-ClC6H4CHO, 50-2.degree., 1.4.degree.
 --: 1-(3-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], 155-5.degree. (C6H6). Prep. from o-ClC6H4CHO, 115-16.degree., --, --:
 1-(2-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 142-5.degree. (C6H6); 1-(2-chlorobenzyl)-2-[1-methyl-4-piperazinyl], m. 142-3.degree. (C6H12), 1-(2-chlorobenzyl)-2-[N-ethyl-N-(2-hydroxyethyl)amino], m. 97-4.degree. (from C6H6-C6H12). Prep. from o-FC6H4CHO, 87-9.degree., --, 97-4.degree.: 1-(2-fluorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], 148-9.degree. (C6H6). Prep. from 2,4-Cl2C6H3CHO, 100-1.degree., --, 118-22.degree.: 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], 173-4.degree. (C6H6). Prep. from 2,6-Cl2C6H3CHO, 107-9.degree., 79-86.degree., 138.degree.: 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 105-6.degree. (-), 1-(2,6-dichlorobenzyl)-2-[1-methyl-4-piperazinyl], HCl salt m. 227-8.degree. (iso-PrOH). Prep. from PhCHO, 57-61.degree., 79-80.degree., 111.degree.: 1-benzyl-2-[1-methyl-4-piperazinyl], m. 121-2.degree. (EtOAc), 1-benzyl-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 138-9.degree. (C6H6). Prep. from p-MeOC6H4OC6H4CHO, 116-18.degree., 1.degree., 72.degree.: 1-(4-methoxyethoxybenzyl)-2-[2-hydroxyethyl-4-piperazinyl], m. 84-6.degree. (petr. ether-C6H6). Prep. from o-MeOC6H4CHO, 67-9.degree. (C6H13), 155-7.degree., 172-5.degree.: 1-(2-hydroxy)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 217-18.degree. (EtOH). Prep. from o-MeOC6H4CHO, 99-101.degree., 66-7.degree., 109-10.degree.: 1-(2-methoxybenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 90-1.degree. (EtOAc), 1-(2-methoxybenzyl)-2-[1-methyl-4-piperazinyl], m. 143-4.degree. (EtOAc). Prep. from o-MeOC6H4CHO, 102-3.degree., 74-8.degree., 78-9.degree.: 1-(2-methylbenzyl)-2-[1-methyl-4-piperazinyl], m. 128-30.degree. (C6H12). Prep. from 4-pyridinecarboxaldehyde, 121-2.degree., --, 233-41.degree.: 1-(4-pyridylmethyl)-2-[1-methyl-4-piperazinyl], 167-9.degree. (dioxane). Prep. from 2-pyridinecarboxaldehyde, --, --: 1-(2-pyridylmethyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 156-9.degree. (dioxane). A mixt. of 15 g. o-ClC6H4NO2 (XX), 6 g. 4-FC6H4NH2, and 15 g. NaOAc is heated 10 hrs. at 200-10.degree. and cooled, dil. HCl added, and the unused XX steam-distd. The mixt. is cooled to give 6 g. 4'-fluoro-2-nitrodiphenylamine (XXI), m. 82-3.degree. (aq. EtOH). Redn. of 25 g. XXI in 100 ml. dioxane with H in the presence of 1 g. Raney Ni at ambient temp. and 115 atm. yields 22 g. 4'-fluoro-2-aminodiphenylamine, m. 64-5.degree., which is condensed with IX.HCl to give 26 g. 1-(4-fluorophenyl)-2-chloromethylbenzimidazole (XXII), m. 110-11.degree.. A mixt. of 9 g. XXII with VI yields 6 g. 1-(4-fluorophenyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 115-16.degree. (EtOAc). XXII with V yields 1-(4-fluorophenyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 131-2.degree. (EtOAc). 1-(4-chlorophenyl)-2-chloromethylbenzimidazole (XXIII), m. 119-21.degree., is prep. by the method used to obtain XXII. The reaction of XXIII with VI yields 1-(4-chlorophenyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 156-8.degree.; with V gives 1-(4-chlorophenyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 167-9.degree. (EtOAc). Similarly, XIV with V gives 308 1-(2-(4-chlorophenyl)ethyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 122-3.degree. (C6H12). A mixt. of 11.9 g. .alpha.-(4-chlorophenyl)ethylamine, 11.3 g. o-ClC6H4NO2, and 9.0 g. K2CO3 is heated at 170-80.degree. 5 hrs., cooled, and mixed with EtOH and H2O. The EtOH phase is worked up to yield N-(2-nitrophenyl)-N-[.alpha.-(4-chlorophenyl)ethyl]amine, m. 56-7.degree. (iso-PrOH), and this

14 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 compd. is reduced with H in the presence of Raney Ni to give N-(2-aminophenyl)-N-[.alpha.-(4-chlorophenyl)ethyl]amine (XXIV). The reaction of XXIV with IX.HCl yields 1-[.alpha.-(4-chlorophenyl)ethyl]-2-chloromethylbenzimidazole which is allowed to react with VI to give 1-[.alpha.-(4-chlorophenyl)ethyl]-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 149-50.degree.. A soln. of 10.8 g. XVI and 8.14 g. 4-nitrobenzyl chloride in 150 ml. EtOH is refluxed 2 hrs. and evapd. to dryness in vacuo and the residue triturated with H2O and dried to give 10.4 g. N-(4-nitrobenzyl)-o-phenylenediamine (XXV), m. 136-9.degree.. The redn. of XXV with H in the presence of Raney Ni yields a product which allowed to react with IX.HCl gives 1-(4-nitrobenzyl)-2-chloromethylbenzimidazole (XXVI) and then with V to give 60% 1-(4-nitrobenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 159-61.degree. (benzene). Similarly, 2-nitrobenzyl chloride is converted to 1-(2-nitrobenzyl)-2-chloromethylbenzimidazole, m. 158-60.degree., and reaction with V yields 62% 1-(2-nitrobenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 182-3.degree. (MeOH). A mixt. of 23.3 g. N-(4-chlorobenzyl)-o-phenylenediamine, 16.3 g. .alpha.-chloropropionic acid, and 100 ml. 4N HCl is heated under N 3 hrs. and cooled and the ppt. isolated and treated with VI to give 10 g. 1-(4-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole-HCl, m. 193-5.degree.. Likewise, X and V give 83% 1-(4-chlorobenzyl)-2-[1-methyl-4-piperazinyl]methyl-5-chlorobenzimidazole, m. 160-1.degree. (C6H6 petr. ether). To a suspension of 16.1 g. p-toluenesulfon-2-nitro-4-methoxyaniline in 12.5 ml. 4N NaOH is added 6.45 g. p-ClC6H4CH2Cl, the mixt. stirred and refluxed 4 hrs., 9.66 g. addnl. p-ClC6H4CH2Cl added, the reflux continued 45 min., and 2.7 ml. 35% NaOH added. After a total reflux time of 8.5 hrs., the cool mixt. is extd. with Et2O and worked up to give 15 g. N-(4-chlorobenzyl)-N-(2-nitro-4-methoxyphenyl)-p-toluenesulfonamide (XXVII), m. 122-3.degree.. Hydrolysis of 30 g. XXVII in 440 ml. EtCO2H and 28.2 ml. concd. H2SO4 on H2O bath (93.degree.) 1.5 hrs. yields 12.5 g. red N-(4-chlorobenzyl)-N-(2-nitro-4-methoxyphenyl)amine, m. 129-30.degree. (MeOH), which is reduced with H and Raney Ni to give N-(4-chlorobenzyl)-N-(2-amino-4-methoxyphenyl)amine, (XXVIII), m. 92-3.degree.. A mixt. of 13 g. XXVIII and IX yields 13 g. 1-(4-chlorobenzyl)-2-chloromethyl-5-methoxybenzimidazole (XXIX), m. 122-3.degree.. An 80% yield of 1-(4-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methyl-4-methoxybenzimidazole-H2O, m. 106-10.degree. (C6H6), results from the reaction of XXIX with VI; XXIX with V yields 1-(4-chlorobenzyl)-2-[1-methyl-4-piperazinyl]methyl-5-methoxybenzimidazole, m. 175.degree., and XXIX with III leads to 1-(4-chlorobenzyl)-2-[ethyl(2-hydroxyethyl)amino]methyl-5-methoxybenzimidazole, m. 157-9.degree.. A suspension of 18 g. 1-(2-hydroxybenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 243-5.degree. (prepd. by described methods), in 150 ml. Ac2O is stirred 5 hrs. at room temp. The soln. is evapd. in vacuo, ice H2O added, neutralized with NaHCO3 soln., and after work up yields 18 g. 1-(2-acetoxybenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 145-7.degree. (C5H12C6H6). Similarly prep. are 1-(2-acetoxybenzyl)-2-[1-(2-acetoxybenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 145-7 - .degree. (C5H12C6H6), 1-(2-acetoxyethyl)-2-[1-(2-acetoxyethyl)-4-piperazinyl]methylbenzimidazole, m. 101-2.degree., and 1-(4-chlorobenzyl)-2-[1-(2-acetoxyethyl)-4-piperazinyl]methylbenzimidazole, m. 78-80.degree.. A mixt. of 10 g. N-(4-chlorobenzyl)-o-phenylenediamine (XXX), and 4.6 g. glycolic acid is heated to 100.degree. and after most

14 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)
 H2O is eliminated, the temp. is raised to 135.degree. and heated 1 hr. After cooling, the residue is dissolved in EtOH, the soln. heated, and H2O added followed by the addn. of NaHCO3 soln. to yield 10 g. 1-(4-chlorobenzyl)-2-hydroxymethylbenzimidazole (XXXI), m. 131-2.degree. (aq. EtOH). XXXI is converted with SOCl2 to its chloro deriv. which is allowed to react with VI to give 1-(4-chlorobenzyl)-2-[1-(2-hydroxyethyl)piperazinyl]methylbenzimidazole, m. 145.degree.. Xb and IX yield 58% XXXI which is treated with V to give 73% 1-(4-chlorobenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 99-100.degree.. A mixt. of 67.8 g. Me .gamma.-methylcrotonate, 97.05 g. morpholine, and 392 ml. PhMe is refluxed 3.5 hrs. After work-up and hydrolysis of the product, .gamma.-morpholinobutyric acid-H2O, (XXXII), m. 73-4.degree., is isolated. A mixt. of 10.5 g. XXXII, 11.6 g. XXX, 12.7 g. H2O, 3.2 ml. EtOH, 2.4 g. HCl (concd.) and 2.4 g. H3PO4 (concd.) is heated to 135-40.degree. 2 hrs. Work-up yields 9.1 g. 1-(4-chlorobenzyl)-2-[3-(4-morpholinyl)propyl]benzimidazole, m. 118-19.degree.; HCl salt m. 171-3.degree.. By methods described above is also prepd. 1-benzyl-2-[2-(2-hydroxyethoxy)-ethylamino]methylbenzimidazole-HCl, m. 174-5.degree.. Pharmacol. tests in animals are presented for a no. of the compds. prepd.
 IT 7187-09-9, 1-Piperazineethanol, 4-[[1-(4-pyridylmethyl)-2-benzimidazolyl]methyl]- 7187-10-2, 1-Piperazineethanol, 4-[[1-(2-pyridylmethyl)-2-benzimidazolyl]methyl]- (prepn. of)
 RN 7187-09-9 CAPLUS
 CN 1-Piperazineethanol, 4-[[1-(4-pyridylmethyl)-2-benzimidazolyl]methyl]- (7CI, 8CI) (CA INDEX NAME)



RN 7187-10-2 CAPLUS
 CN 1-Piperazineethanol, 4-[[1-(2-pyridylmethyl)-2-benzimidazolyl]methyl]- (7CI, 8CI) (CA INDEX NAME)



10/030,202

Page 24

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

77.53

225.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-11.07

-11.07

STN INTERNATIONAL LOGOFF AT 16:24:08 ON 02 JUN 2003

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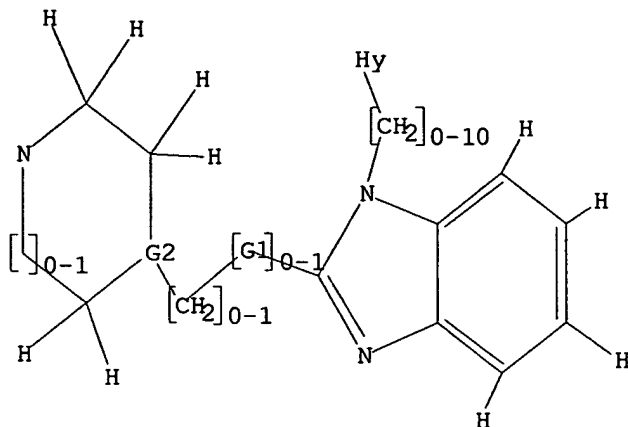
6/02/2003

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 C,N,Ak,O,S,SO2,CH2

G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 16:11:35 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 14349 TO ITERATE

7.0% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 279814 TO 294146
PROJECTED ANSWERS: 59 TO 513

L2 1 SEA SSS SAM L1

=> s 11 sss full

FULL SEARCH INITIATED 16:11:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 284453 TO ITERATE

100.0% PROCESSED 284453 ITERATIONS
SEARCH TIME: 00.00.06

1012 ANSWERS

L3 1012 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE
ENTRY
148.55

TOTAL
SESSION
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Habte

6/02/2003

FILE 'CAPLUS' ENTERED AT 16:12:05 ON 02 JUN 2003
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FILE COVERS 1907 - 2 Jun 2003 VOL 138 ISS 23
FILE LAST UPDATED: 1 Jun 2003 (20030601/ED)

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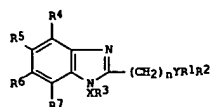
L4 46 L3

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L4 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:888718 CAPLUS
 DOCUMENT NUMBER: 137:384842
 TITLE: Benzimidazole compounds and antiviral uses thereof
 INVENTOR(S): Lackey, John William; Kinder, Daniel S.; Tvermoes, Nicolai A.
 PATENT ASSIGNEE(S): Trimeris, Inc., USA
 SOURCE: PCT Int. Appl., 143 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092575	A1	20021121	WO 2002-US14598	20020510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DR, EC, EE, ES, FI, GB, GD, GE, GH, GM, HN, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPL. INFO.: US 2001-290038P P 20010511
 OTHER SOURCE(S): MARPAT 137:384842
 GI



AB Title compds. I [R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxy, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, Oh, alkoxy, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkylene, C=N, CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4] were prepd. for use as virucides that inhibit membrane fusion assocd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CMe2, Y = N, X = CH2, R3 = 2-quinolinyl, R4-R7 = H] had IC50 of 5.16 .mu.g/mL.

IT 475648-96-5P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzimidazole derivs. as virucides for treating Respiratory

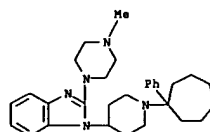
L4 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:10123 CAPLUS
 DOCUMENT NUMBER: 136:64091
 TITLE: Method and system for predicting pharmacokinetic properties
 INVENTOR(S): Hattori, Kazunari; Shimada, Kaore; Uchiyama, Mamoru
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: Eur. Pat. Appl., 27 pp.
 CODEN: EPXK0W
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1167969	A2	20020102	EP 2001-304648	20010525
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
US 2003069698	A1	20030410	US 2001-876767	20010607
JP 2003014728	A2	20030115	JP 2001-179774	20010614

PRIORITY APPL. INFO.: US 2000-211864P P 20000614

AB This invention provides a method for predicting pharmacokinetic properties of mols. comprising the steps of: (a) prep. 2D-structures of mols. used as a training set; (b) constructing a 2D-fingerprint by counting the no. of structural descriptors that potentially relate to a pharmacokinetic property, either manually or automatically using internally developed macros; wherein said structural descriptors consist of predefined 20 to 80 atoms/fragments or substructures; (c) analyzing the obtained 2D-fingerprint by a statistical anal. method to correlate with the pharmacokinetic property of the mol. to yield a quant. structure-property relation (QSPR) model; and (d) calcg. the pharmacokinetic property of a trial mol. using the above obtained QSPR model. A system for this invention is also provided. According to this method and system, it is possible to predict pharmacokinetic properties of mols. prior to synthesis, without labor-intensive and time-consuming experimentation.

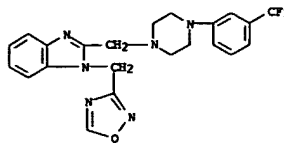
IT 258286-79-0 258286-79-2 258286-84-9 258287-29-5 258287-68-2 258288-00-5 258288-26-5
 RL: PKT (Pharmacokinetics); PRP (Properties); BIOL (Biological study) (method and system for predicting pharmacokinetic properties)
 RN 258286-77-0 CAPLUS
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 258286-79-2 CAPLUS
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

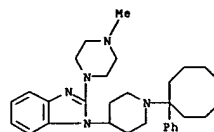
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L4 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 Syncytial Virus infections)
 RN 475648-96-5 CAPLUS
 CN 1H-Benzimidazole, 1-(1,2,4-oxadiazol-3-ylmethyl)-2-[[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

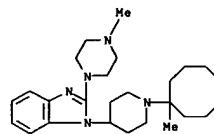


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

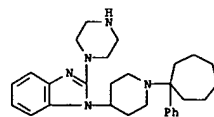
L4 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



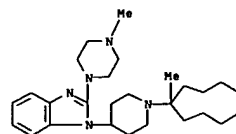
RN 258286-84-9 CAPLUS
 CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258287-29-5 CAPLUS
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258287-68-2 CAPLUS
 CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

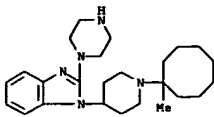


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L4 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

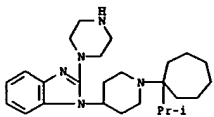
RN 258288-00-5 CAPLUS

CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258288-26-5 CAPLUS

CN 1H-Benzimidazole, 1-[1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:896499 CAPLUS

DOCUMENT NUMBER: 136:20072

TITLE: 1-Benzoyl-3-[2-[4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivatives and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases

INVENTOR(S): Burkholder, Timothy P.; Bratton, Larry D.; Kmlacz, Elizabeth M.; Maynard, George F.; Kane, John M.; Santiago, Brailio

PATENT ASSIGNEE(S): Aventis Pharmaceuticals, Inc., USA

SOURCE: U.S., 77 pp., Cont.-in-part of U.S. Ser. No. 501,914, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

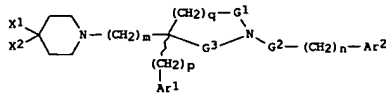
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6329392	B1	20011211	US 1998-79924	19980515
CA 2198084	AA	19960229	CA 1995-2198084	19950817
CN 1158612	A	19970903	CN 1995-195283	19950817
CN 1067385	B	20010620		
HU 76644	A2	19971028	HU 1997-1257	19950817
HU 221434	B	20021028		
AT 177095	E	19990315	AT 1995-931551	19950817
ES 2132709	T3	19990816	ES 1995-931551	19950817
ZA 9507033	A	19960416	ZA 1995-7033	19950822
IL 115040	A1	20000229	IL 1995-115040	19950823
TW 430663	B	20010421	TW 1995-84108797	19950823
PRIORITY APPLN. INFO.:			US 1994-295960	B2 19940825
			US 1995-501914	B2 19950713
OTHER SOURCE(S):			MARPAT 136:20072	
GI				

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB The present invention relates to novel substituted piperidine derivs. I wherein: G1 is CH2 or CO; G2 is CH2 or CO; G3 is CH2 or CO; m is 2 or 3; n is 0 or 1; q is 1 or 2; p is 0 or 1; Ar1 = (un)substituted Ph, naphthyl, pyridyl, thienyl; Ar2 = (un)substituted Ph, pyridyl; X1 and X2 are defined in one of (A), (B), or (C): (A) X1 = H and X2 = substituted benzothiazole-2-carbonyl, diphenylmethyl, benzimidazole-2-carbonyl; (B) X1 = OH and X2 = substituted benzothiazole-2-yl, benzimidazole-2-yl; (C) X2 = (R5C6H4)C(Z1)(C6H4R6) wherein R5, R6 = from 1 to 3 substituents chosen independently from, e.g., H, halo, CF3, and X1 and Z1 taken together form a second bond between the carbon atoms bearing X1 and Z1, provided that when G1 is CO, then G2 and G3 are CH2, and that when G2 is CO, then G1 and G3 are CH2, and that when G3 is CO, then G1 and G2 are CH2; stereoisomers thereof, and pharmaceutically acceptable salts thereof which are useful as histamine receptor antagonists and tachykinin receptor antagonists. Such antagonists are useful in the treatment of allergic diseases including: seasonal rhinitis, allergic rhinitis, and sinusitis. Thus, e.g., substitution reaction of 4-[1-(4-fluorobenzyl)-1H-benzimidazole-2-carbonyl]piperidine with 1-(3,4,5-trimethoxybenzoyl)-3-(3,4-dimethoxyphenyl)-3-(2-methanesulfonyloxyethyl)pyrrolidine (prepn. given) afforded II which exhibited H1 receptor antagonism in vitro with pA2 = 7.50, and NK1 receptor binding affinity with IC50 = 31 nM.

IT 178371-08-9P 178371-09-0P 178371-10-3P
178371-11-4P 178371-15-8P 178371-35-2P
178372-47-9P 178372-82-2P 178372-83-3P
178372-84-4P 178372-85-5P 178372-92-4P
178372-93-5P 178373-06-3P 178373-07-4P
178373-36-9P 178373-37-0P 178373-45-0P
178373-46-1P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

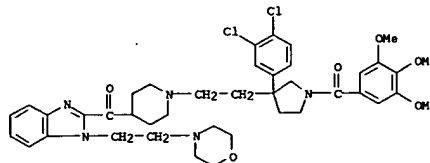
(1-benzoyl-3-[2-[4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivs. and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases)

RN 178371-08-9 CAPLUS

Habe

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



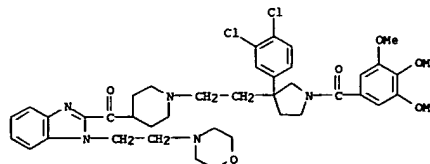
RN 178371-09-0 CAPLUS

CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 178371-08-9

CMF C41 H49 Cl2 N5 O6



CM 2

CRN 75-75-2

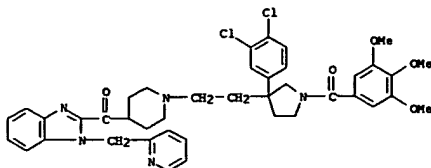
CMF C H4 O3 S



RN 178371-10-3 CAPLUS

6/02/2003

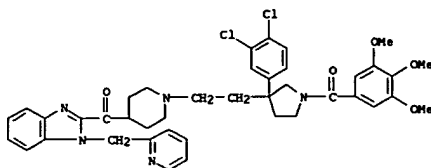
L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-{4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 178371-11-4 CAPLUS
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-{4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

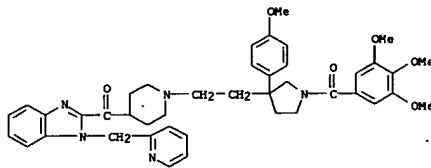
CRN 178371-10-3
 CMF C41 H43 Cl2 N5 O5



CM 2

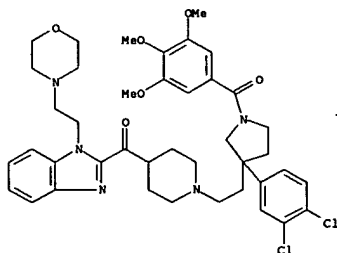
CRN 75-75-2
 CMF C H4 O3 S

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178372-82-2 CAPLUS
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-{4-[[1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 178372-83-3 CAPLUS
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-{4-[[1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

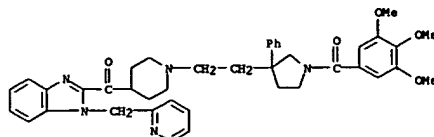
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L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



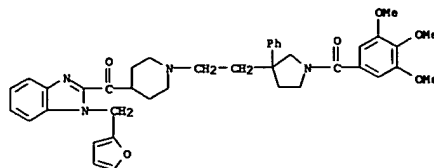
RN 178371-15-8 CAPLUS

CN Pyrrolidine, 3-phenyl-3-[2-{4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 178371-35-2 CAPLUS

CN Pyrrolidine, 3-[2-{4-[[1-(2-furanyl)ethyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

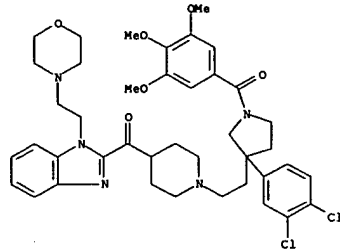


RN 178372-47-9 CAPLUS

CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-{4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



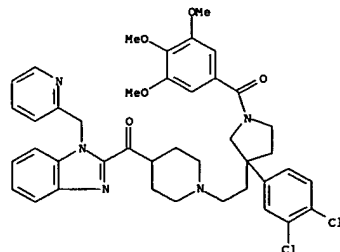
L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178372-84-4 CAPLUS

CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-{4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

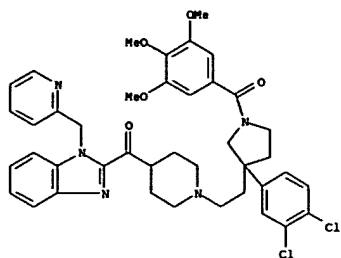


RN 178372-85-5 CAPLUS

CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-{4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

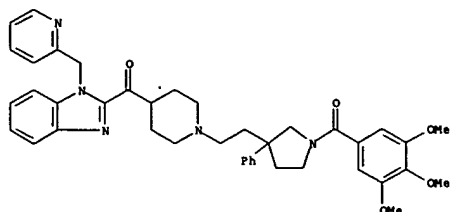
Rotation (-).

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178372-92-4 CAPLUS
 CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI)
 (CA INDEX NAME)

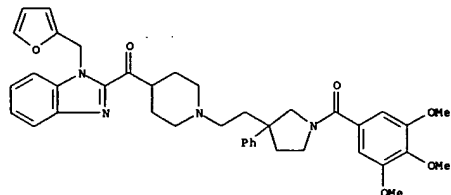
Rotation (+).



RN 178372-93-5 CAPLUS
 CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI)
 (CA INDEX NAME)

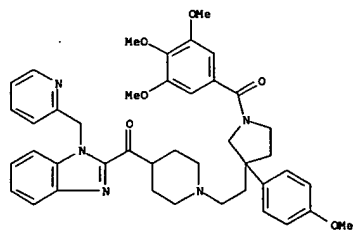
Rotation (-).

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178373-36-9 CAPLUS
 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

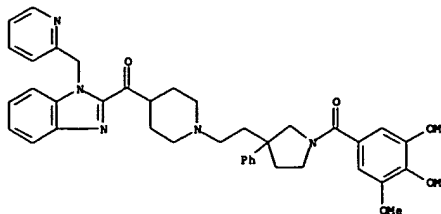
Rotation (+).



RN 178373-37-0 CAPLUS
 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

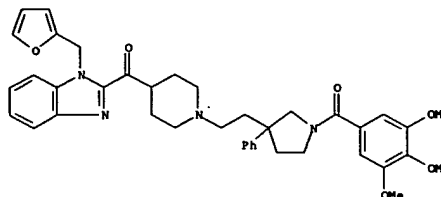
Rotation (-).

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178373-06-3 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI)
 (CA INDEX NAME)

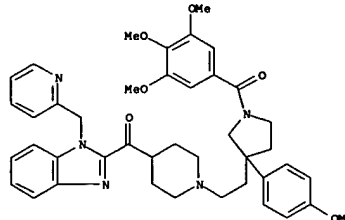
Rotation (+).



RN 178373-07-4 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI)
 (CA INDEX NAME)

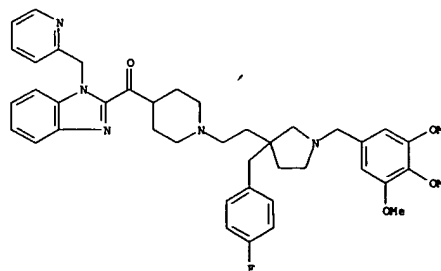
Rotation (-).

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178373-45-0 CAPLUS
 CN Methanone, [1-[2-[3-[(4-fluorophenyl)methyl]-1-(3,4,5-trimethoxyphenyl)methyl]-3-pyrrolidinyl]ethyl]-4-piperidinyl[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, (+)- (9CI) (CA INDEX NAME)

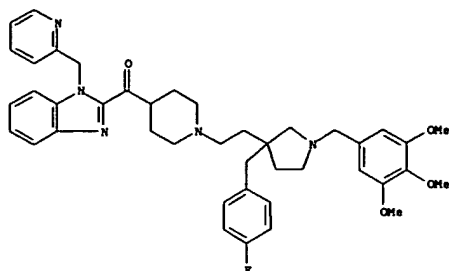
Rotation (+).



RN 178373-46-1 CAPLUS
 CN Methanone, [1-[2-[3-[(4-fluorophenyl)methyl]-1-(3,4,5-trimethoxyphenyl)methyl]-3-pyrrolidinyl]ethyl]-4-piperidinyl[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

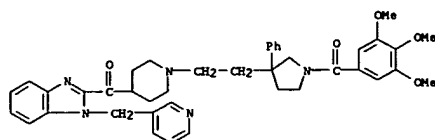
L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 200616-60-07 200616-61-1P, 1-(3,4,5-Trimethoxybenzoyl)-3-phenylpyrrolidine-2-carboxylate derivative. and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 200616-60-0 CAPLUS
CN Pyrrolidine, 3-phenyl-3-[2-[[4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



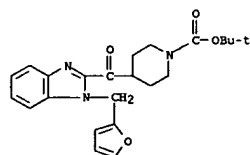
RN 200616-61-1 CAPLUS
CN Pyrrolidine, 3-phenyl-3-[2-[[4-[[1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

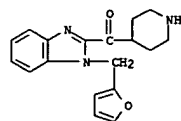
IT 178371-33-0P 178371-34-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(1-benzoyl-3-[2-[[4-[[1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

RN 178371-33-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

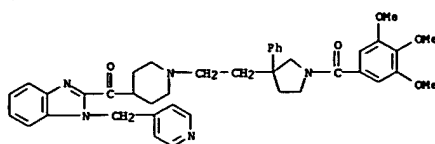


RN 178371-34-1 CAPLUS
CN Methanone, [1-(2-furanylmethyl)-1H-benzimidazol-2-yl]-4-piperidinyl- (9CI) (CA INDEX NAME)



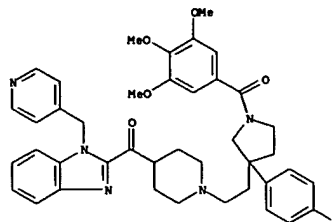
REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THIS RE FORMAT

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 379233-87-1 CAPLUS
CN Pyrrolidine, 3-(4-fluorophenyl)-3-[2-[[4-[[1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

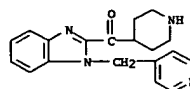
Rotation (+).



IT 379233-89-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(1-benzoyl-3-[2-[[4-[[1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

RN 379233-89-3 CAPLUS
CN Methanone, 4-piperidinyl[1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

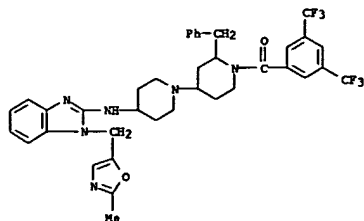


L4 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2003 ACS

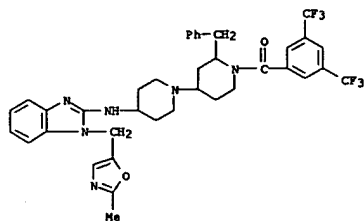
ACCESSION NUMBER: 2001:319725 CAPLUS
DOCUMENT NUMBER: 134:320888
TITLE: Use of substance P antagonists for influencing the circadian timing system
INVENTOR(S): Dugovic, Christine Jeanne; Janssens, Frans Eduard
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: P1XXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030348	A1	20010503	WO 2000-EP10201	20001016
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG</p>				
<p>PRIORITY APPL. INFO.: MARPAT 134:320888 OTHER SOURCE(S): AB The invention concerns the use of N-contg. heterocyclic derivs. (Markush included) in the manuf. of medicaments useful for beneficially influencing the circadian timing system or enhancing the sleep efficiency of a mammal, suitably a human being. The compds. of the invention are described in full in WO 97/16440, WO 97/14324, WO 97/24350, and WO 97/24356. IT 193201-94-4 193201-94-4D, N-oxides and stereoisomers 193201-97-7 193201-97-7D, N-oxides and stereoisomers 193201-99-9 193201-99-9D, N-oxides and stereoisomers 336790-67-1 336790-67-1D, N-oxides and stereoisomers 336790-88-6 336790-88-6D, N-oxides and stereoisomers RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (substance P antagonists for influencing circadian timing system) RN 193201-94-4 CAPLUS CN [1,1'-Bis(piperidin)-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)- (9CI) (CA INDEX NAME)</p>				

L4 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

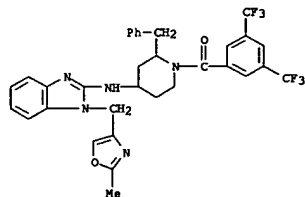


RN 193201-94-4 CAPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)- (9CI) (CA INDEX NAME)

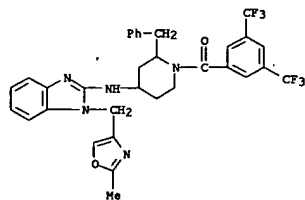


RN 193201-97-7 CAPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

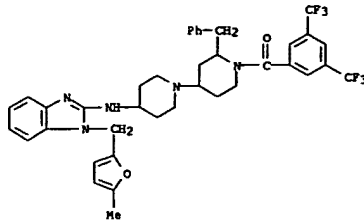


RN 193201-99-9 CAPLUS
CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-4-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

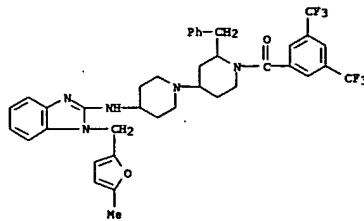


RN 336790-67-1 CAPLUS
CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

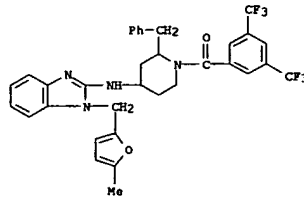


RN 193201-97-7 CAPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)- (9CI) (CA INDEX NAME)

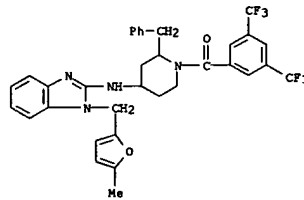


RN 193201-99-9 CAPLUS
CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-4-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

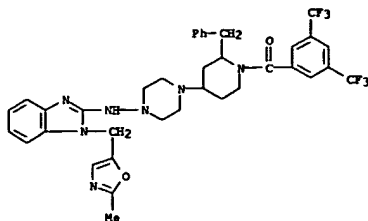


RN 336790-67-1 CAPLUS
CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

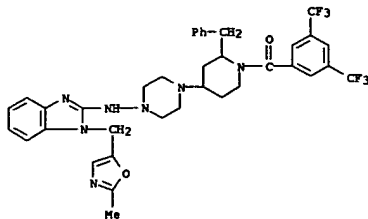


RN 336790-88-6 CAPLUS
CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 336790-88-6 CAPLUS
CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[[1-(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

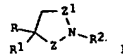


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:240149 CAPLUS
DOCUMENT NUMBER: 134:266309
TITLE: Preparation of 4-(2-benzimidazolylamino)piperidines as histamine and tachykinin receptor antagonists
INVENTOR(S): Kane, John M.; Maynard, George D.; Burkholder, Timothy P.; Bratton, Larry D.; Dalton, Christopher R.; Santiago, Braulio; Kiedlack, Elizabeth M.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: U.S., 106 pp., Cont.-in-part of U.S. Ser. No. 734,508, abandoned.
CODEN: USOCAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

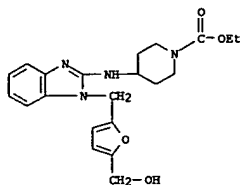
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6211199	B1	20010403	US 1997-513846	19971215
PRIORITY APPLN. INFO.: US 1995-34609P P 19951117				
OTHER SOURCE(S): MARPAT 134:266309				



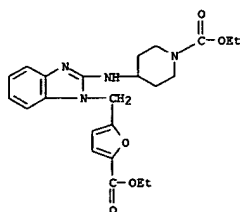
AB Title compds., e.g., I [R = R424(CH2)2; R1 = (un)substituted Ph, -pyridinyl, -thienyl, etc.; R2 = (un)substituted (alkylenedioxy) benzyl, -benzoyl, etc.; R4 = e.g., (un)substituted 2-benzimidazolylamino; Z, Z1 = CH2 or CO; Z4 = piperidine-4,1-diyl; n = 2 or 3] were prepd. as histamine and tachykinin receptor antagonists (no data). Thus, 4-[1-(2-furymethyl)-2-benzimidazolylamino]piperidine was condensed with 2-[1-(2-methoxy-5-(1-tetrazolyl)benzoyl)-3-phenyl-3-pyrrolidinyl]ethyl methanesulfonate (prepn each given) to give I [R = R424(CH2)2, R1 = Ph, R2 = 2-methoxy-5-(1-tetrazolyl)benzoyl, R4 = 1-(2-furymethyl)-2-benzimidazolylamino, Z = Z1 = CH2, Z4 = piperidine-4,1-diyl].
IT 132551-78-1P 132552-50-2P 191605-13-7P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of (benzimidazolylamino)piperidines as antiallergics)

RN 132551-78-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

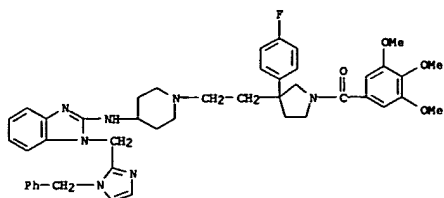
L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132552-50-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-[[5-(ethoxycarbonyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



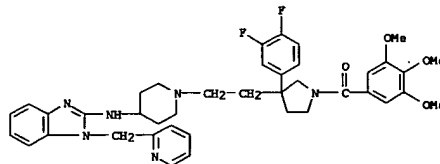
RN 191605-13-7 CAPLUS
CN Pyrrolidine, 3-(4-fluorophenyl)-3-[2-[4-[[1-(phenylmethyl)-1H-imidazol-2-yl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



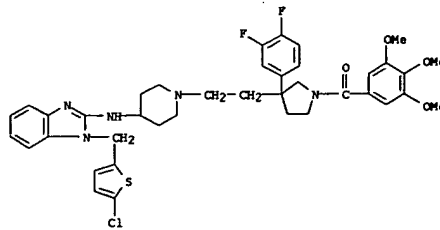
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L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT 191603-66-4P 191603-70-0P 191603-80-2P
191603-16-0P 332046-17-0P 332046-53-4P
332046-54-5P 332046-61-4P 332046-62-5P
332046-65-0P 332046-70-5P 332046-72-7P
332046-74-9P 332046-76-1P
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (benzimidazolylamino)piperidines as antiallergics)
RN 191603-66-4 CAPLUS
CN Pyrrolidine, 3-(3,4-difluorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



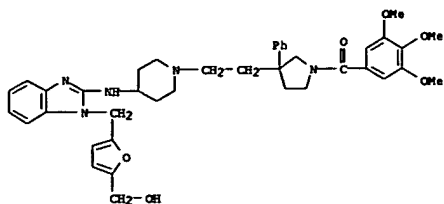
RN 191603-70-0 CAPLUS
CN Pyrrolidine, 3-[2-[4-[[1-(5-chloro-2-thienyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 191603-80-2 CAPLUS
CN Pyrrolidine, 3-[2-[4-[[1-(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

6/02/2003

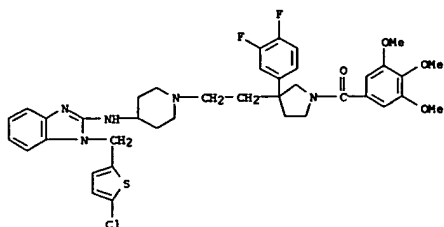
L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191605-16-0 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-[(5-chloro-2-thienyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 191603-70-0
 CMF C39 H42 Cl F2 N5 O4 S



CM 2

CRN 75-75-2
 CMF C H4 O3 S

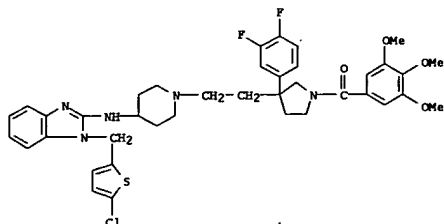
L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 332046-54-5 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-[(5-chloro-2-thienyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 191603-70-0
 CMF C39 H42 Cl F2 N5 O4 S



CM 2

CRN 75-75-2
 CMF C H4 O3 S



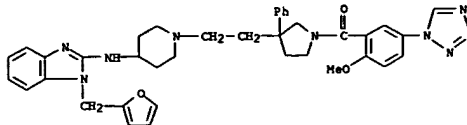
RN 332046-61-4 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



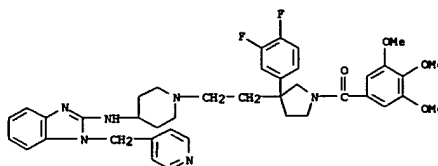
RN 332046-17-0 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl]- (9CI) (CA INDEX NAME)



RN 332046-53-4 CAPLUS
 CN Pyrrolidine, 3-[3,4-difluorophenyl]-3-[2-[4-[[1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]-1-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

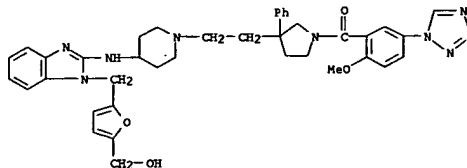
CRN 332046-52-3
 CMF C40 H44 F2 N6 O4



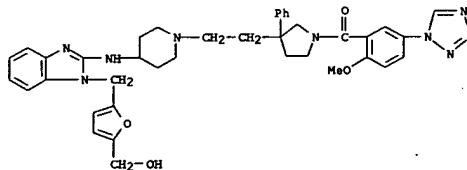
CM 2

CRN 75-75-2
 CMF C H4 O3 S

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

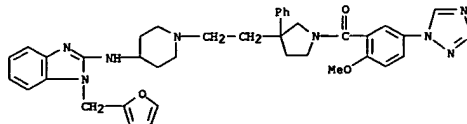


RN 332046-62-5 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 332046-65-8 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



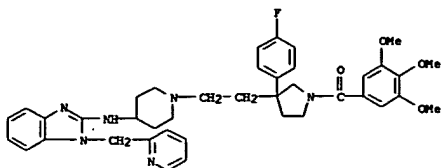
●x HCl

6/02/2003

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 332046-70-5 CAPLUS

CN Pyrrolidine, 3-(4-fluorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



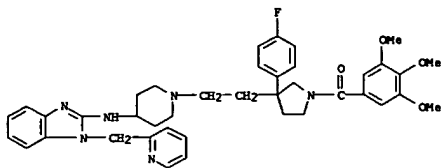
RN 332046-72-7 CAPLUS

CN Pyrrolidine, 3-(4-fluorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 332046-70-5

CMF C40 H45 F N6 O4

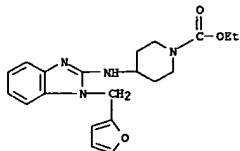


CH 2

CRN 75-75-2

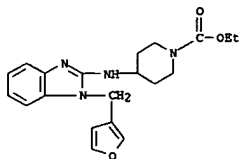
CMF C H4 O3 S

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



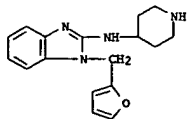
RN 90518-58-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-(3-furanylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-67-5 CAPLUS

CN 1H-Benzimidazol-2-amino, 1-(2-furanylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 132551-87-2 CAPLUS

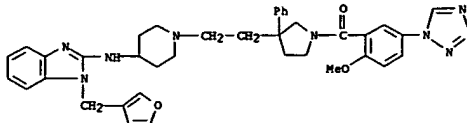
CN 2-Furanmethanol, 5-[[2-(4-piperidinylamino)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



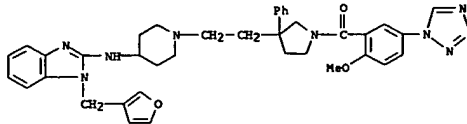
RN 332046-74-9 CAPLUS

CN Pyrrolidine, 3-[2-[4-[[1-(3-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 332046-76-1 CAPLUS

CN Pyrrolidine, 3-[2-[4-[[1-(3-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

IT 90518-53-9P 90518-58-4P 90518-67-5P

132551-87-2P

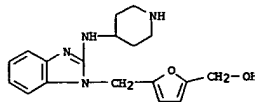
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (benzimidazolylamino)piperidines as antiallergics)

RN 90518-53-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT:

74

THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:12444 CAPLUS

DOCUMENT NUMBER: 134:86248

TITLE:

Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.

INVENTOR(S):

Janssens, Frans Eduard; Meersman, Kathleen Petrus Marie-Jose; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand; Andries, Koenraad Jozef; Ledevik Marcel Janssen Pharmaceutica N.V., Belg.

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

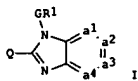
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000611	A1	20010104	WO 2000-EP5676	20000620
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RG: GE, GM, KE, LS, MV, MZ, SO, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, CA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012054	A	20020319	BR 2000-12054	20000620
EP 1196408	A1	20020417	EP 2000-943841	20000620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 200303401	T2	20030128	JP 2001-507020	20000620
EE 200100692	A	20030217	EE 2001-692	20000620
NO 2001006368	A	20020228	NO 2001-6368	20011227
BG 106287	A	20021031	BG 2002-106287	20020108
PRIORITY APPL. INFO.:				
EP 1999-202087 A 19990628				
EP 2000-200452 A 20000211				
WO 2000-EP5676 W 20000620				

OTHER SOURCE(S): HARPAT 134:86248

GI



AB Use of title compds. [I: a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH,

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

317846-73-4P 317846-74-5P 317846-75-6P

317846-76-7P 317846-77-8P 317846-78-9P

317846-80-3P 317846-82-5P 317846-83-6P

317846-84-7P 317846-85-8P 317846-87-0P

317846-92-7P 317846-93-8P 317846-94-1P

317846-97-2P 317846-98-3P 317847-00-0P

317847-06-6P 317847-07-7P 317847-08-8P

317847-16-8P 317847-22-6P 317847-23-7P

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317847-85-1P 317847-94-2P

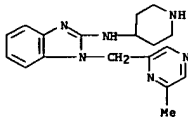
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317846-01-8 CAPLUS

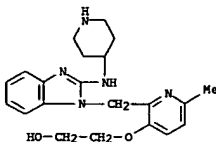
CN 1H-Benzimidazol-2-amine, 1-[(6-methylpyrazinyl)methyl]-N-4-piperidinyl-

(9CI) (CA INDEX NAME)



RN 317846-03-0 CAPLUS

CN Ethanol, 2-[(6-methyl-2-[(2-(4-piperidinylamino)-1H-benzimidazol-1-yl)methyl]-3-pyridinyl)oxy]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 317846-04-1 CAPLUS

CN 3,4-Pyridinedimethanol, 5-hydroxy-6-[(2-(4-piperidinylamino)-1H-benzimidazol-1-yl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Habte

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH:N:CH:CH, CH:CHCH:CH, CH:CHCH:N: Q = R2R4NAX1, R2R4NCOAX1, specified (heterocyclic) ring, etc.; A = alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H, alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.] for treatment of viral infection is claimed. Thus, 1,1-dimethylethyl 4-[[1-[(3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate was refluxed 6 h in 10N HCl to give 4-[[1-[(3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl)methyl]-1H-benzimidazol-2-yl]amino]piperidine. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.00013-2.5119 .mu.M.

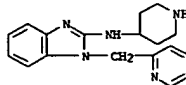
IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317846-43-8 CAPLUS

CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

IT 317846-01-8P 317846-03-0P 317846-04-1P

317846-08-5P 317846-09-6P 317846-10-9P

317846-11-0P 317846-12-1P 317846-13-2P

317846-14-3P 317846-17-6P 317846-19-8P

317846-20-1P 317846-28-9P 317846-29-0P

317846-30-3P 317846-31-4P 317846-32-5P

317846-33-6P 317846-34-7P 317846-36-9P

317846-39-2P 317846-42-7P 317846-44-9P

317846-45-0P 317846-46-1P 317846-47-2P

317846-48-3P 317846-49-4P 317846-50-7P

317846-51-8P 317846-52-9P 317846-53-0P

317846-54-1P 317846-55-2P 317846-56-3P

317846-57-4P 317846-58-5P 317846-59-6P

317846-60-9P 317846-61-0P 317846-62-1P

317846-63-2P 317846-64-3P 317846-65-4P

317846-66-5P 317846-67-6P 317846-68-7P

317846-69-8P 317846-70-1P 317846-71-2P

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

317846-73-4P 317846-74-5P 317846-75-6P

317846-76-7P 317846-77-8P 317846-78-9P

317846-80-3P 317846-82-5P 317846-83-6P

317846-84-7P 317846-85-8P 317846-87-0P

317846-92-7P 317846-93-8P 317846-94-1P

317846-97-2P 317846-98-3P 317847-00-0P

317847-06-6P 317847-07-7P 317847-08-8P

317847-16-8P 317847-22-6P 317847-23-7P

317847-26-0P 317847-27-1P 317847-28-2P

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317847-33-9P 317847-35-1P 317847-36-2P

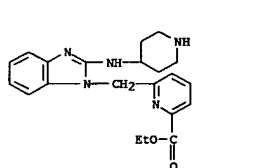
317847-85-1P 317847-94-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317846-08-5 CAPLUS

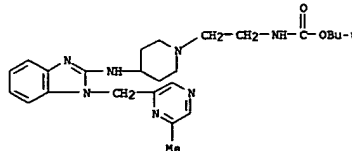
CN 2-Pyridinecarboxylic acid, 6-[[2-(4-piperidinylamino)-1H-benzimidazol-1-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



●3 HCl

RN 317846-09-6 CAPLUS

CN Carbamic acid, [2-[4-[[1-[(6-methylpyrazinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

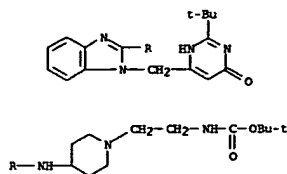


RN 317846-10-9 CAPLUS

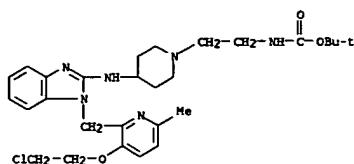
CN Carbamic acid, [2-[4-[[1-[(1,1-dimethylethyl)-1,6-dihydro-6-oxo-4-pyrimidinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



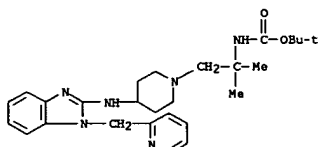
RN 317846-11-0 CAPLUS
 CN Carbanic acid, [2-[4-[[[1-[[[3-(2-chloroethoxy)-6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



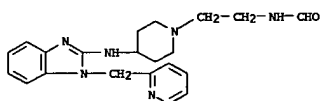
RN 317846-12-1 CAPLUS
 CN Carbanic acid, [2-[4-[[[1-[[[3-(2-(dimethylamino)ethoxy)-6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

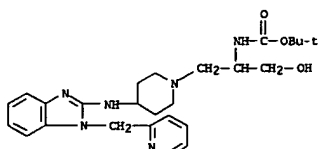
RN 317846-17-6 CAPLUS
 CN Carbanic acid, [1,1-dimethyl-2-[4-[[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317846-19-8 CAPLUS
 CN Formamide, N-[2-[4-[[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



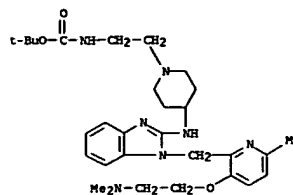
RN 317846-20-1 CAPLUS
 CN Carbanic acid, [1-(hydroxymethyl)-2-[4-[[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



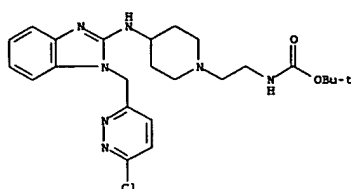
RN 317846-28-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)propyl]-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Habte

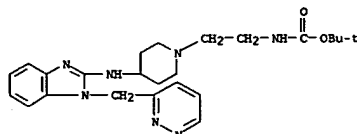
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



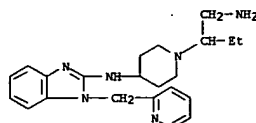
RN 317846-13-2 CAPLUS
 CN Carbanic acid, [2-[4-[[[1-[[[6-chloro-3-pyridazinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



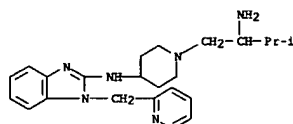
RN 317846-14-3 CAPLUS
 CN Carbanic acid, [2-[4-[[[1-[[[3-pyridazinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



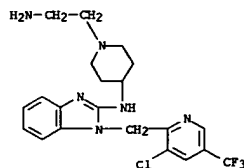
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-29-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



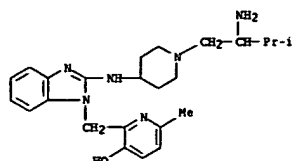
RN 317846-30-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



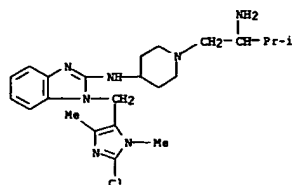
RN 317846-31-4 CAPLUS
 CN 3-Pyridinol, 2-[[2-[[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl]- (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

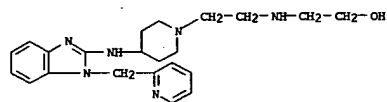


RN 317846-32-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]- (9CI) (CA INDEX NAME)

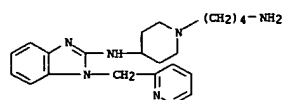


RN 317846-33-6 CAPLUS
 CN Ethanol, 2-[[2-[[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinyl]oxy]-, trihydrochloride (9CI) (CA INDEX NAME)

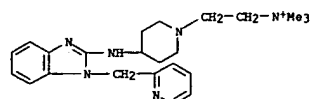
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-39-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(4-aminobutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



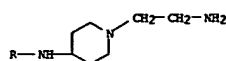
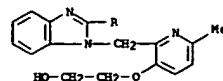
RN 317846-42-7 CAPLUS
 CN 1-Piperidineethanaminium, N,N,N-trimethyl-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, iodide (9CI) (CA INDEX NAME)

● I⁻

RN 317846-44-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[(1R,2R)-2-amino-1,2-diphenylethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

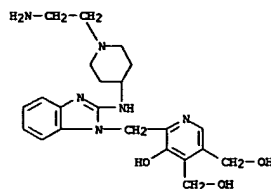
Habte

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



● 3 HCl

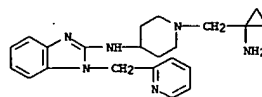
RN 317846-34-7 CAPLUS
 CN 3,4-Pyridinedimethanol, 6-[[2-[[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-5-hydroxy-], monohydrochloride (9CI) (CA INDEX NAME)



● HCl

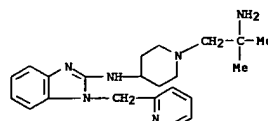
RN 317846-36-9 CAPLUS
 CN Ethanol, 2-[[2-[[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinyl]oxy]-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



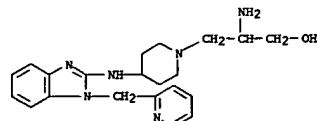
● 4 HCl

RN 317846-45-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-methylpropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 317846-46-1 CAPLUS
 CN 1-Piperidineethanaminium, N,N,N-trimethyl-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, tetrahydrochloride (9CI) (CA INDEX NAME)



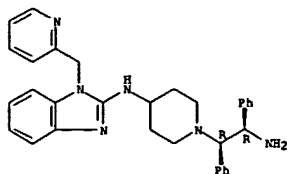
● 4 HCl

RN 317846-47-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[(1R,2R)-2-amino-1,2-diphenylethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

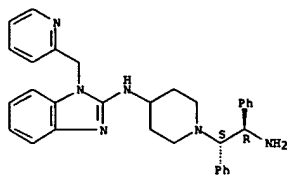
6/02/2003

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

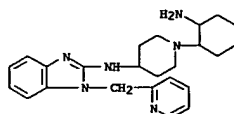


RN 317846-48-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-((1R,2S)-2-amino-1,2-diphenylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

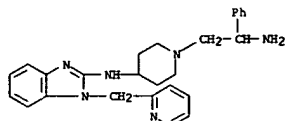


RN 317846-49-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminocyclohexyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

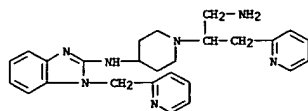


RN 317846-50-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-phenylethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

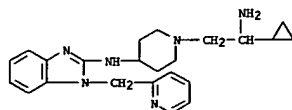


RN 317846-54-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-(2-pyridinyl)ethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)



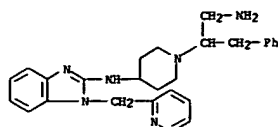
●4 HCl

RN 317846-55-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-cyclopropylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

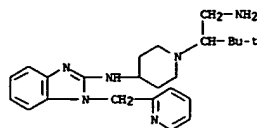


RN 317846-56-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylpropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

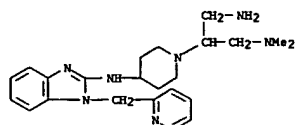
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-51-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2,2-dimethylpropyl]-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

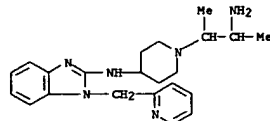


RN 317846-52-9 CAPLUS
 CN 1,3-Propanediamine, N,N-dimethyl-2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)

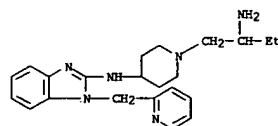


RN 317846-53-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-phenylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

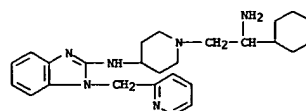
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-57-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminobutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

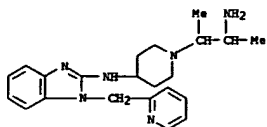


RN 317846-58-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-cyclohexylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



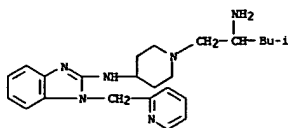
RN 317846-59-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylpropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

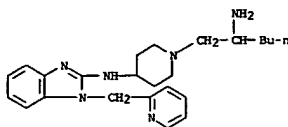


●4 HCl

RN 317846-60-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4-methylpentyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

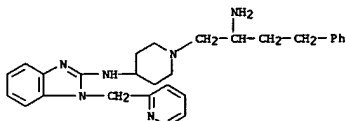


RN 317846-61-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4-methylpentyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

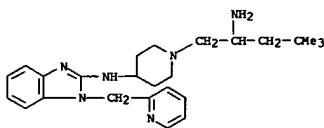


RN 317846-62-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-5-methylhexyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



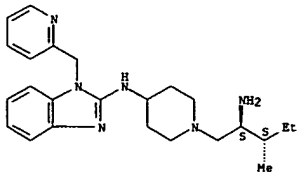
RN 317846-66-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4,4-dimethylpentyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

RN 317846-67-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R,3R)-2-amino-3-methylpentyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

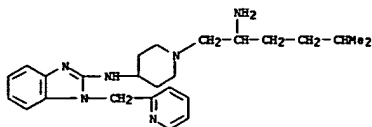


RN 317846-68-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R,3S)-2-amino-3-methylpentyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

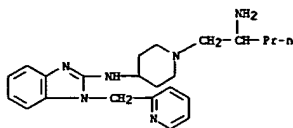
Relative stereochemistry.

Habte

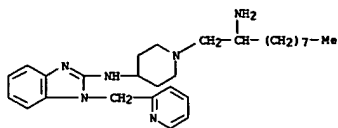
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-63-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopentyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

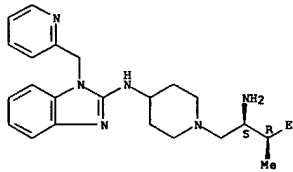


RN 317846-64-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminodecyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

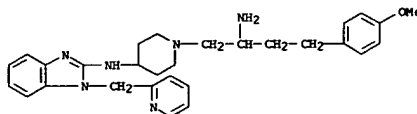


RN 317846-65-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4-phenylbutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

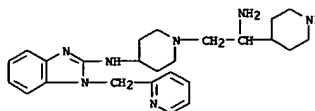
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-69-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4-(4-methoxyphenyl)butyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 317846-70-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-(4-piperidinyl)ethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, pentahydrochloride (9CI) (CA INDEX NAME)

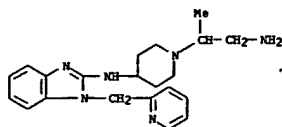


●5 HCl

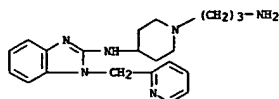
RN 317846-71-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

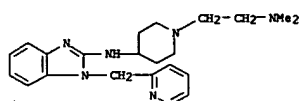


RN 317846-73-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(3-aminopropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

RN 317846-74-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-(dimethylamino)ethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

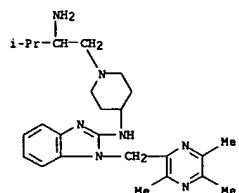


●4 HCl

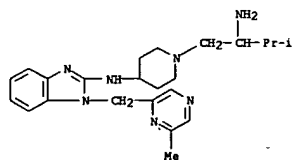
RN 317846-75-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(5-methylpyrazinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317846-78-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(6-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)



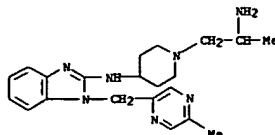
RN 317846-80-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(6-methylpyrazinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



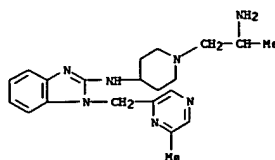
●3 HCl

RN 317846-82-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(5-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)

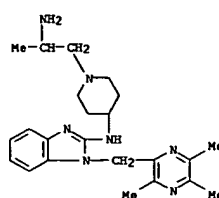
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-76-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(6-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)

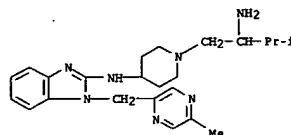


RN 317846-77-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(trimethylpyrazinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

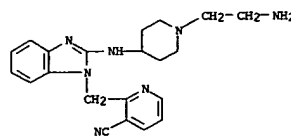


●4 HCl

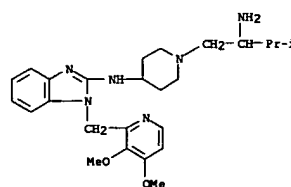
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-83-6 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



RN 317846-84-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3,4-dimethoxy-2-pyridinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



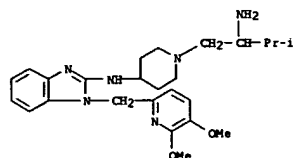
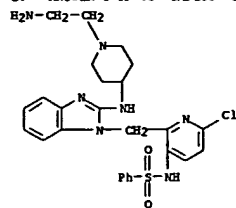
●4 HCl

RN 317846-85-8 CAPLUS
CN Benzenesulfonamide, N-[2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-chloro-3-pyridinyl]- (9CI) (CA INDEX NAME)

Habe

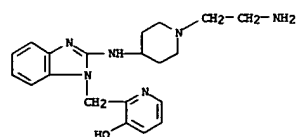
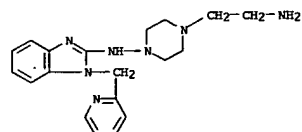
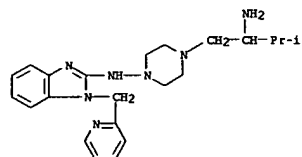
6/02/2003

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



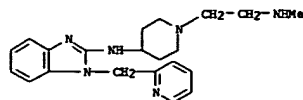
RN 317846-92-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-(methylamino)ethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

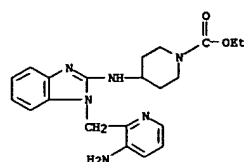
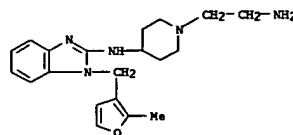


Habte

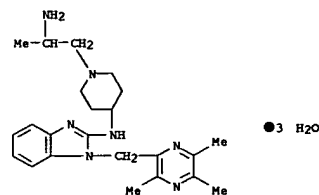
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-93-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-3-furanyl)methyl]- (9CI) (CA INDEX NAME)

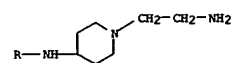
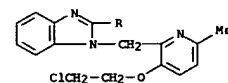


L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



●4 HCl

RN 317847-07-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[[3-(2-chloroethoxy)-6-methyl-2-pyridinyl]methyl]-, trihydrochloride, dihydrate (9CI) (CA INDEX NAME)



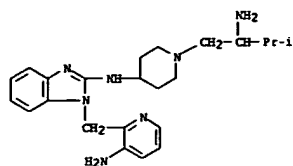
●3 HCl

●2 H2O

RN 317847-08-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3-amino-2-pyridinyl)methyl]-, tetrahydrochloride, trihydrate (9CI) (CA INDEX NAME)

6/02/2003

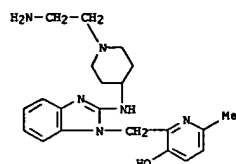
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



●4 HCl

●3 H₂O

RN 317847-16-8 CAPLUS
 CN 3-Pyridinol, 2-[[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride, monohydrate (9CI) (CA INDEX NAME)

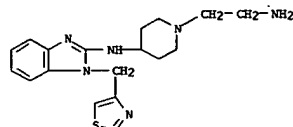


●4 HCl

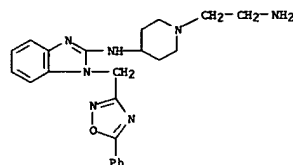
● H₂O

RN 317847-22-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, monohydrate (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317847-27-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

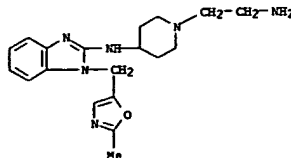


●3 HCl

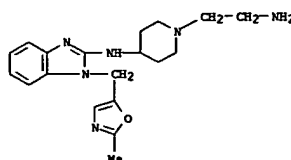
RN 317847-28-2 CAPLUS
 CN 2-Oxazolemethanol, 5-[[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-, tetrahydrochloride, dihydrate (9CI) (CA INDEX NAME)

Habte

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

● H₂O

RN 317847-23-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, trihydrochloride, monohydrate (9CI) (CA INDEX NAME)

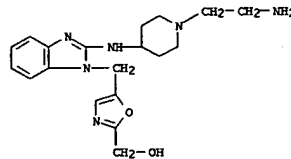


●3 HCl

● H₂O

RN 317847-26-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

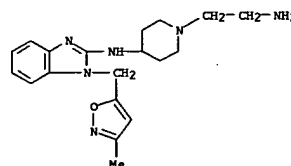
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



●4 HCl

●2 H₂O

RN 317847-29-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-methyl-5-isoxazolyl)methyl]-, trihydrochloride, monohydrate (9CI) (CA INDEX NAME)



●3 HCl

● H₂O

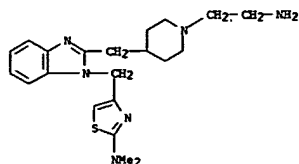
RN 317847-31-7 CAPLUS
 CN 2-Propanol, compd. with 4-[[[1-[(2-(dimethylamino)-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidineethanamine tetrahydrochloride (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 317847-30-6
 CMP C21 H30 N6 S

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L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

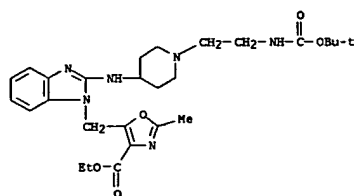
CRN 67-63-0

CMF C3 H8 O



RN 317847-32-8 CAPLUS

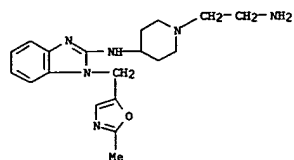
CN 4-Oxazolecarboxylic acid, 5-[[2-[[1-[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-ylmethyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 317847-33-9 CAPLUS

CN 1-Piperidineethanamine, 4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

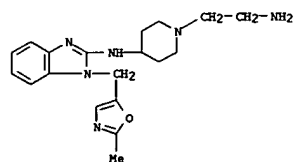
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



● 3 HCl

RN 317847-94-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-((2-aminoethyl)-4-piperidinyl)-1-((2-methyl-5-oxazolyl)methyl)- (9CI) (CA INDEX NAME)



IT 90519-14-5 317847-66-8 317847-69-1

317847-71-5 317847-74-8 317847-77-1

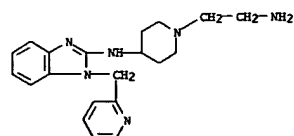
317847-79-3 317847-80-6 317847-83-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

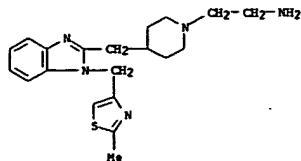
RN 90519-14-5 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-((2-aminoethyl)-4-piperidinyl)-1-((2-pyridinyl)methyl)- (9CI) (CA INDEX NAME)



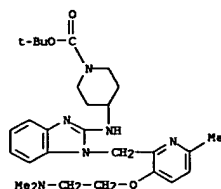
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L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



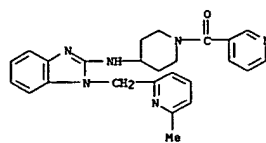
RN 317847-35-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[[3-[(2-dimethylamino)ethoxy]-6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317847-36-2 CAPLUS

CN 4-Piperidinamine, N-[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]-1-[(3-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)



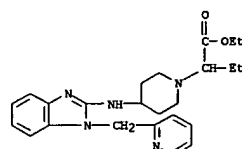
RN 317847-85-1 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-((2-aminoethyl)-4-piperidinyl)-1-((2-methyl-5-oxazolyl)methyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

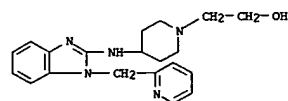
RN 317847-66-8 CAPLUS

CN 1-Piperidineacetic acid, .alpha.-ethyl-4-[[1-((2-pyridinyl)methyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



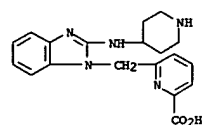
RN 317847-69-1 CAPLUS

CN 1-Piperidineethanol, 4-[[1-((2-pyridinyl)methyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 317847-71-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[2-[(4-piperidinylamino)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

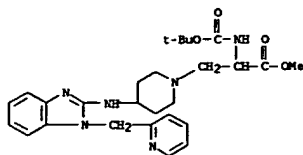


RN 317847-74-8 CAPLUS

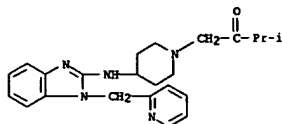
CN 1-Piperidinepropanoic acid, .alpha.-[[1-[(1,1-dimethylethoxy)carbonyl]amino]-4-[[1-[(2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

6/02/2003

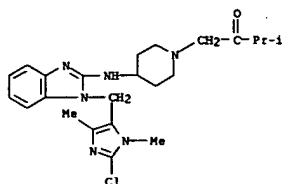
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317847-77-1 CAPLUS
CN 2-Butanone, 3-methyl-1-[[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl]- (9CI) (CA INDEX NAME)



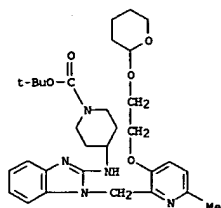
RN 317847-79-3 CAPLUS
CN 2-Butanone, 1-[[4-[[1-(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)



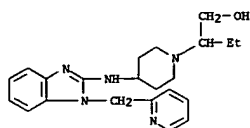
RN 317847-80-6 CAPLUS
CN Carbanic acid, [2-[[4-[[1-[[6-methyl-3-[2-[[tetrahydro-2H-pyran-2-yl]oxy]ethoxy]-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

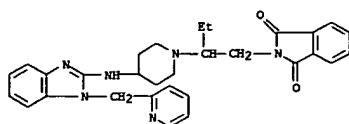
RN 317847-38-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[[6-methyl-3-[2-[[tetrahydro-2H-pyran-2-yl]oxy]ethoxy]-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317847-50-0 CAPLUS
CN 1-Piperidineethanol, .beta.-ethyl-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



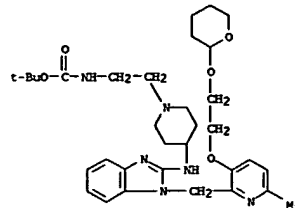
RN 317847-51-1 CAPLUS
CN 1H-Indole-1,3(2H)-dione, 2-[2-[[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



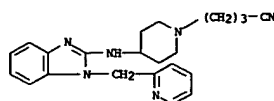
RN 317847-55-5 CAPLUS
CN 2-Butanone, 1-[[4-[[1-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

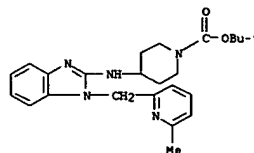


RN 317847-83-9 CAPLUS
CN 1-Piperidinebutanenitrile, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

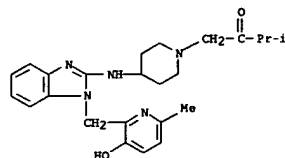


IT 317847-37-3P 317847-38-4P 317847-50-0P
317847-51-1P 317847-55-5P 317847-57-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

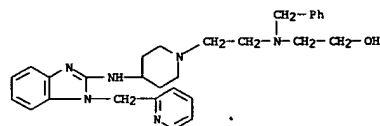
RN 317847-37-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[[6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317847-57-7 CAPLUS
CN Ethanol, 2-[[phenylmethyl][2-[[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]amino]- (9CI) (CA INDEX NAME)



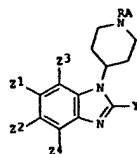
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

6/02/2003

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:117042 CAPLUS
 DOCUMENT NUMBER: 132:151821
 TITLE: Preparation of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists.
 INVENTOR(S): Ito, Fumitaka; Noguchi, Hirohide; Kondo, Hiroshi
 PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
 SOURCE: PCT Int. Appl., 127 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008013	A2	20000217	WO 1999-181239	19990705
WO 2000008013	A3	20000323		
V:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, HR, NE, SN, TD, TG			
CA 2339621	AA	20000217	CA 1999-2339621	19990705
AU 9943859	A1	20000228	AU 1999-43859	19990705
AU 749166	B2	20020620		
EP 1102762	A2	20010530	EP 1999-926688	19990705
EP 1102762	B1	20021113		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9912778	A	20010925	BR 1999-12778	19990705
EE 200100075	A	20020617	EE 2001-75	19990705
AT 227716	E	20021115	AT 1999-926688	19990705
JP 3367945	B2	20030120	JP 2000-563646	19990705
ES 2185357	T3	20030416	ES 1999-926688	19990705
US 6172067	B1	20010109	US 1999-369208	19990805
NO 2001000603	A	20010405	NO 2001-603	20010205
BG 105301	A	20011231	BG 2001-105301	20010301
PRIORITY APPL. INFO.:			WO 1998-181206 W	19980806
			WO 1999-181239 W	19990705
OTHER SOURCE(S):	MARPAT 132:151821			
G1				

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



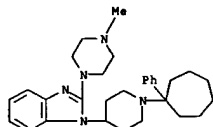
AB Title compds. [I: R = (substituted) mono-, di-, tri-, or tetracycloalkyl; A = alkyl, haloalkyl, alkenyl, alkynyl, (substituted) phenylalkyl, aryl, heteroaryl, heterocyclyl; Y = H, halo, amino, SH, (substituted) alkyl-M, cycloalkyl-M, alkenyl-M, alkyl-NH-alkyl-M, dialkyl-N-alkyl-M, aryl-M, heterocyclyl-M, arylalkyl-M, etc.; M = bond, O, S, NH S, SO, SO2, etc.; Z1-Z4 = H, halo, alkyl, haloalkyl, alkoxy, alkylsulfonyl, alkylcarbonyl, CO2H, amino, H2NCO, Ph, naphthyl, etc.], were prepd. as ORL1 receptor agonists (no data). Thus, 2-chloro-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]benzimidazole (prepn. given) was stirred with MeNH2 in MeOH in an autoclave at 110.degree. for 6 h to give N-methyl-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-amine.

IT 258286-77-OP 258286-79-2P 258286-80-5P
 258286-84-9P 258286-87-2P 258286-88-3P
 258287-00-2P 258287-27-3P 258287-28-4P
 258287-29-5P 258287-30-8P 258287-37-5P
 258287-38-6P 258287-39-7P 258287-40-0P
 258287-54-6P 258287-59-1P 258287-60-4P
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 258287-68-2P 258287-69-3P 258287-78-4P
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 258288-03-8P 258288-04-9P 258288-05-0P
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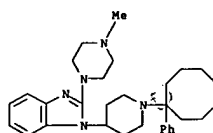
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPH (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

RN 258286-77-0 CAPLUS
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

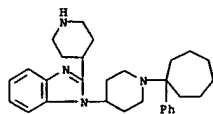
L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



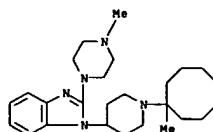
RN 258286-79-2 CAPLUS
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 258286-80-5 CAPLUS
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



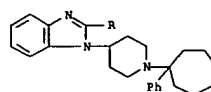
RN 258286-84-9 CAPLUS
 CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



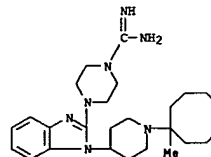
Habte

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 258286-87-2 CAPLUS
 CN 1-Piperidinecarboximidamide, 4-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



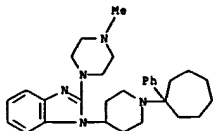
RN 258286-88-3 CAPLUS
 CN 1-Piperazinecarboximidamide, 4-[1-[1-(1-methylcyclooctyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 258287-00-2 CAPLUS
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

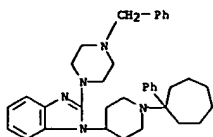
6/02/2003

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

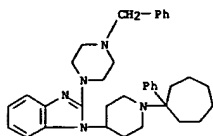


●3 HCl

RN 258287-27-3 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

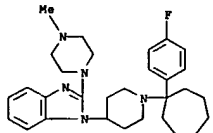


RN 258287-28-4 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-[4-(phenylmethyl)-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



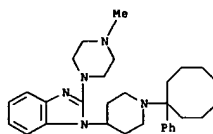
●3 HCl

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



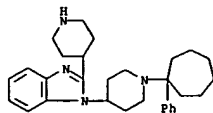
●x HCl

RN 258287-39-7 CAPLUS
CN 1H-Benzimidazole, 2-[4-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-piperidinyl]-], hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 258287-40-0 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-[4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



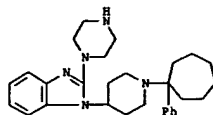
●3 HCl

RN 258287-54-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-N-4-

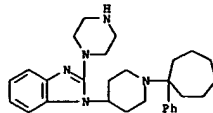
Habte

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 258287-29-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-[1-piperazinyl]- (9CI) (CA INDEX NAME)

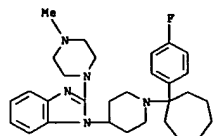


RN 258287-30-8 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-[1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



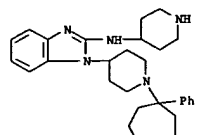
●3 HCl

RN 258287-37-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(4-fluorophenyl)cycloheptyl]-4-piperidinyl]-2-[4-methyl-1-piperazinyl]- (9CI) (CA INDEX NAME)



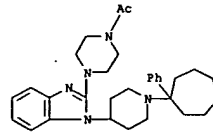
RN 258287-38-6 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(4-fluorophenyl)cycloheptyl]-4-piperidinyl]-2-[4-methyl-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

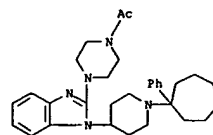


●3 HCl

RN 258287-59-1 CAPLUS
CN Piperazine, 1-acetyl-4-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 258287-60-4 CAPLUS
CN Piperazine, 1-acetyl-4-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

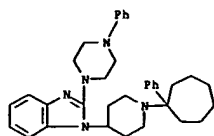


●3 HCl

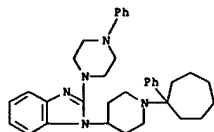
RN 258287-61-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-[4-phenyl-1-

6/02/2003

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
piperazinyl)- (9CI) (CA INDEX NAME)

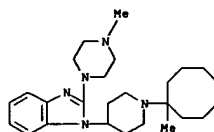


RN 258287-62-6 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



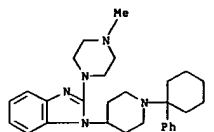
●3 HCl

RN 258287-67-1 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



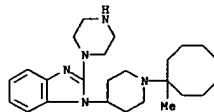
●3 HCl

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclohexyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

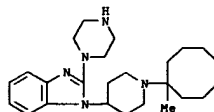


●3 HCl

RN 258288-00-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258288-01-6 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

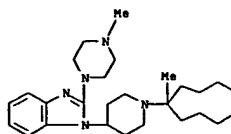


●3 HCl

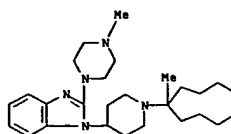
RN 258288-03-8 CAPLUS
CN 1-Piperidinecarboximidamide, 4-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 258287-68-2 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

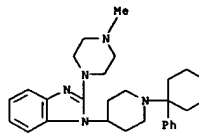


RN 258287-69-3 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



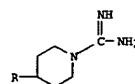
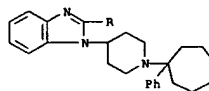
●3 HCl

RN 258287-78-4 CAPLUS
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclohexyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



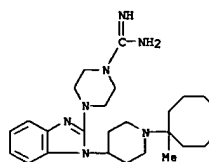
RN 258287-79-5 CAPLUS

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



●2 HCl

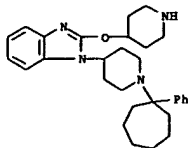
RN 258288-04-9 CAPLUS
CN 1-Piperazinecarboximidamide, 4-[1-[1-(1-methylcyclooctyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

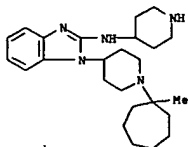
RN 258288-05-0 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



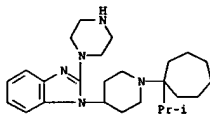
●2 HCl

RN 258288-16-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)

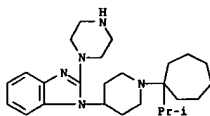


RN 258288-18-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-N-4-piperidinyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

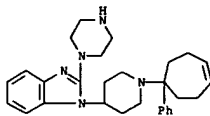


RN 258288-28-7 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



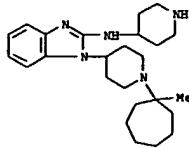
●3 HCl

RN 258288-56-1 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



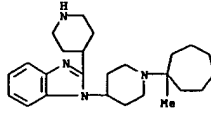
RN 258288-57-2 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

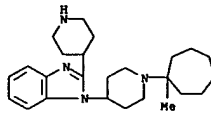


●2 HCl

RN 258288-22-1 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



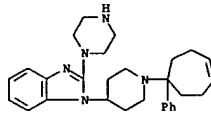
RN 258288-24-3 CAPLUS
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

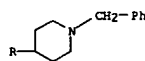
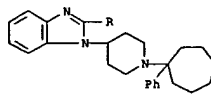
RN 258288-26-5 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



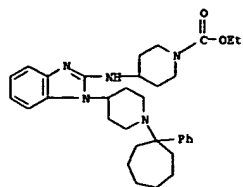
●3 HCl

IT 258288-83-4P 258288-86-7P 258288-87-8P
258288-89-0P 258288-90-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)
RN 258288-83-4 CAPLUS
CN 1H-Benzimidazole, 1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

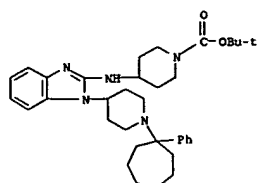


RN 258288-86-7 CAPLUS
CN 1-Piperidinacetic acid, 4-[[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

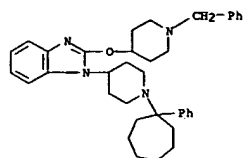
L4 ANSWER 7 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 258288-87-8 CAPIUS
 CN 1-Piperidinecarboxylic acid, 4-[[[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 258288-89-0 CAPIUS
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-[[[1-(phenylmethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

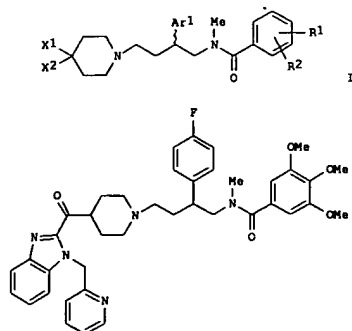


L4 ANSWER 8 OF 46 CAPIUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:779223 CAPIUS
 DOCUMENT NUMBER: 132:12309
 TITLE: Preparation of N-methyl-N-[4-(piperidin-1-yl)-2-(aryl)butyl]benzamides for the treatment of allergic diseases.
 INVENTOR(S): Maynard, George P.; Kane, John M.; Bratton, Larry D.; Kudlacz, Elizabeth M.
 PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA
 SOURCE: U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 771,544, abandoned.
 COIN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5998439	A	19991207	US 1998-79692	19980515
US 6297259	B1	20011002	US 1999-328964	19990609
PRIORITY APPLN. INFO.:			US 1996-37569P	P 19960221
			US 1996-771544	B2 19961223
			US 1998-79692	A3 19980515

OTHER SOURCE(S): MARPAT 132:12309
 GI



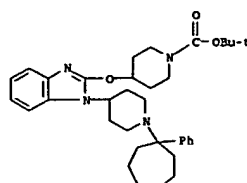
II

AB The invention relates to novel substituted N-methyl-N-[4-(piperidin-1-yl)-2-(aryl)butyl]benzamide derivs. I [R1 = (1-3 of) H, halo, alkyl, alkoxy; R2 = H, (substituted) tetrazolyl, 1,2,4-triazolyl; Ar1 = (substituted) Ph, naphthyl, pyridyl, thienyl; X1 = H, OH; X2 = (substituted)

Habe

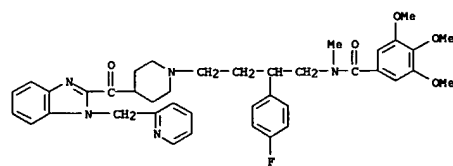
L4 ANSWER 7 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

RN 258288-90-3 CAPIUS
 CN 1-Piperidinecarboxylic acid, 4-[[[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



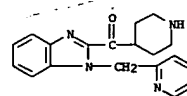
L4 ANSWER 8 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

benzothiazolyl-2-carbonyl, benzimidazol-2-ylcarbonyl, benzimidazolyl, diphenylmethyl, etc., depending upon X1, and pharmaceutically acceptable salts thereof. The compds. are useful as histamine receptor antagonists and tachykinin receptor antagonists (no data). Such antagonists are useful in the treatment of allergic rhinitis (including seasonal rhinitis and sinusitis), inflammatory bowel diseases (including Crohn's disease and ulcerative colitis), asthma, bronchitis, and emesis. For instance, title compd. II, a preferred compd., was prepd. in several steps, culminating in the N-alkylation of the corresponding 4-substituted piperidine fragment with the appropriate methanesulfonate ester in refluxing MeCN.
 195737-72-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of methyl[(piperidinyl)(aryl)butyl]benzamides for the treatment of allergic diseases)
 RN 195737-72-5 CAPIUS
 CN Benzamide, N-[2-(4-fluorophenyl)-4-[[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]butyl]-3,4,5-trimethoxy-N-methyl- (9CI) (CA INDEX NAME)



IT 195737-75-8P 195737-76-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of methyl[(piperidinyl)(aryl)butyl]benzamides for the treatment of allergic diseases)

RN 195737-75-8 CAPIUS
 CN Methanone, 4-piperidinyl[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, monohydrate (9CI) (CA INDEX NAME)

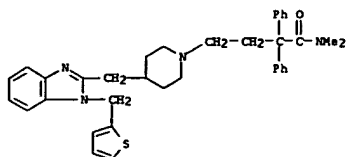


● HI

RN 195737-76-9 CAPIUS

6/02/2003

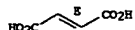
L4 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CRN 241130-51-8
 CMP C36 H40 N4 O 5



CM 2

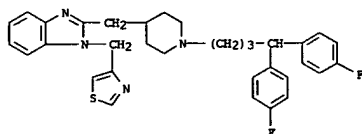
CRN 110-17-8
 CMC C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 INDEX NAME)
 CM 1
 CRN 99954-24-2
 CMC C33 H34 F2 N4 S



CM 2

CRN 144-62-7
 CMC C2 H2 O4



L4 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1999:576769 CAPLUS
 DOCUMENT NUMBER: 131:219171
 TITLE: Glycine transport inhibitors
 INVENTOR(S): Luyten, Walter Herman Maria Louis; Janssens, Frans
 Eduard; Kennis, Ludo Edmond Josephine
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 20 pp.
 CODEN: FIKXU2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9944596	A2	19990910	WO 1999-EP1309	19990226
WO 9944596	A3	20000217		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZV, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZV, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NS, SN, TD, TG

CA 2322164	AA	19990910	CA 1999-2322164	19990226
AU 9934089	A1	19990920	AU 1999-34089	19990226
EP 1059922	A2	20001220	EP 1999-915541	19990226

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO

BR 9907951	A	20010130	BR 1999-7951	19990226
EE 200000482	A <th>20020215</th> <td>EE 2000-482</td> <td>19990226</td>	20020215	EE 2000-482	19990226
JP 200205277	T2	20020219	JP 2000-534198	19990226
BG 104685	A <th>20010430</th> <td>BG 2000-104685</td> <td>20000811</td>	20010430	BG 2000-104685	20000811
NO 2000004431	A <th>20001030</th> <td>NO 2000-4431</td> <td>20000905</td>	20001030	NO 2000-4431	20000905

PRIORITY APPLN. INFO.: EP 1998-200701 A 19980306
 WO 1999-EP1309 W 19990226

OTHER SOURCE(S): MARPAT 131:219171

AB The present invention is concerned with the use of glycine transport inhibiting [4,4-bis(4-fluorophenyl)butyl]-1-(piperazinyl and piperidinyl) derivs. for the prepn. of medicaments for treating disorders of the central and peripheral nervous system, in particular psychoses, pain, epilepsy, neurodegenerative diseases (Alzheimer's disease), stroke, head trauma, multiple sclerosis and the like. E.g., 3-[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]-3,4-dihydro-2(1H)-quinazolinone was prepd. as were a no. of other derivs. The compds. were assayed for transport via GlyT1 transporters. Film-coated tablets were also prepd.

IT 99954-25-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (glycine transport inhibitors)

RN 99954-25-3 CAPLUS

CN 1H-Benzimidazole, 2-[[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]methyl]-1-(4-thiazolylmethyl)-, ethanediolate (1:2) (9CI) (CA

L4 ANSWER 11 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:437072 CAPLUS
 DOCUMENT NUMBER: 129:156472
 TITLE: Structure-activity relationships of astemizole derivatives for inhibition of store operated Ca2+ channels and exocytosis
 AUTHOR(S): Fischer, Marcel J. E.; Paulussen, Jeannette J. C.; Tollenaere, Jan P.; De Mol, Nico J.; Janssen, Lambert H. M.
 CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Utrecht Institute for Pharmaceutical Sciences, Faculty of Pharmacy, Utrecht University, Utrecht, 3508 TS, Neth.
 SOURCE: European Journal of Pharmacology (1998), 350(2/3), 353-361
 CODEN: EJPHAZ; ISSN: 0014-2999
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The effects of a series of analogs of the antiallergic drug astemizole on the exocytosis of the enzyme .beta.-hexosaminidase were studied in a mast cell model, the rat basophilic leukemia (RBL-2H3) cell. Besides differences in the effects on Fc.epsilon.R1 receptor-stimulated exocytosis, changes were also obsd. in Ca2+ influx and in the perturbation of the cell membrane. A strong correlation was found between the effects on antigen- and thapsigargin-stimulated 45Ca2+ influx. Furthermore, the inhibition of 45Ca2+ influx was correlated with the inhibition of .beta.-hexosaminidase release and membrane stabilization. It is concluded that the astemizole analogs are capable of inhibiting mast cell .beta.-hexosaminidase release through inhibition of Ca2+-store-operated Ca2+ channels (SOC). Compds. with high lipophilicity also released Ca2+ from intracellular stores. Lowering of the hydrophobicity by introduction of nitrogens or truncation at different sites in the astemizole structure decreased inhibitory activity on SOC channels. The inhibition of SOC channels cannot completely be ascribed to non-specific membrane effects. The piperidinyl-benzimidazole moiety was important for inhibition of SOC channels. The obsd. differences in activity possibly depend on the way the compds. penetrate the membrane bilayer. Astemizole is an interesting new tool to study SOC channels and can be a lead for the design of mast cell-stabilizing antiallergic drugs.

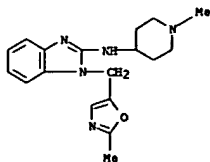
IT 141567-68-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (structure-activity relationships of astemizole derivs. for inhibition of store operated Ca2+ channels and exocytosis of .beta.-hexosaminidase in mast cell model in relation to lipophilicity and effect on membrane stabilization)

RN 141567-68-2 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

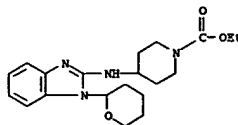
L4 ANSWER 11 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



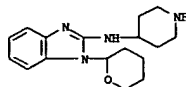
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:320769 CAPLUS
DOCUMENT NUMBER: 129:40703
TITLE: Remarkably selective palladium-catalyzed amination process: rapid assembly of multiamino based structures
AUTHOR(S): Hong, Yaping; Senanayake, Chris H.; Xiang, Tingjian; Vandenbossche, Charles P.; Tanoury, Gerald J.; Bakale, Roger P.; Wald, Stephen A.
CORPORATE SOURCE: Chemical Research and Development, Sepracor Inc., Marlborough, MA, 01752, USA
SOURCE: Tetrahedron Letters (1998), 39(20), 3121-3124
CODEN: TETLEA; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:40703
AB Palladium-catalyzed selective amination by a primary amine in the presence of a secondary amine provided up to >99:1 selectivity with high yields.
IT 208398-30-5P 208398-31-6P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 208398-30-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(tetrahydro-2H-pyran-2-yl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 208398-31-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

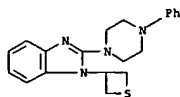
L4 ANSWER 13 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:260235 CAPLUS
DOCUMENT NUMBER: 129:49337
TITLE: Synthesis of biologically active derivatives of xanthine and benzimidazole
AUTHOR(S): Khalilullin, F. A.; Kataev, V. A.; Alekhin, E. K.; Volkova, S. S.; Nasyrov, Kh. M.; Strokin, Yu. V.
CORPORATE SOURCE: Bashkirskii Khimicheskii Zhurnal (1997), 4(4), 59-62
SOURCE: CODEN: BKZHFU; ISSN: 0869-8406
PUBLISHER: Izdatel'stvo "Reaktiv"
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB A study was done of reactions of amines with products of xanthines or benzimidazoles alkylation by epithiochlorohydrin. 2-Amino-substituted 1-(3-thietanyl)benzimidazoles were synthesized from 1-(3-thietanyl)-2-chlorobenzimidazole. 8-Amino-substituted derivs. were formed from 8-bromo-1,3-dimethyl-7-(1-oxothietanyl)-3- and 8-bromo-1,3-dimethyl-7-(1,1-dioxothietanyl)-3-xanthines. 2-Amino-substituted 2,3-dihydrothiazolo(3,2-a)benzimidazoles were synthesized from 2-methylsulfonyl-1-(2,3-epithiopropyl)benzimidazole. Immunotropic and anti-inflammatory activities of the synthesized compds. were discovered.

IT 208577-07-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biol. active derivs. of xanthine and benzimidazole)

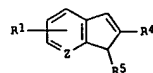
RN 208577-07-5 CAPLUS
CN 1H-Benzimidazole, 2-(4-phenyl-1-piperazinyl)-1-(3-thietanyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:102862 CAPLUS
DOCUMENT NUMBER: 128:154083
TITLE: Preparation of 2-(4-piperidinylmethoxy)benzimidazoles and analogs as M3 and 5-HT4 antagonists
INVENTOR(S): Courtemanche, Gilles; Even, Luc; Defosse, Gerard; Bertin, Jean; Bovy, Philippe
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.; Courtemanche, Gilles; Even, Luc; Defosse, Gerard; Bertin, Jean; Bovy, Philippe
SOURCE: PCT Int. Appl., 38 pp.
CODEN: FIMX02
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804546	A1	19980205	WO 1997-FR1364	19970723
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, BG, CZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, CA, GN, ML, MR, NE, SN, TD, TG			
FR 2751647	A1	19980130	FR 1996-9360	19960725
FR 2751647	B1	19980911		
AU 9738530	A1	19980220	AU 1997-38530	19970723
ZA 9706619	A	19980210	ZA 1997-6619	19970724
PRIORITY APPLN. INFO:			FR 1996-9360	A 19960725
			WO 1997-FR1364	W 19970723
OTHER SOURCE(S):		MARPAT 128:154083		
G1				



AB Title compds. [I: R1 = H, halo, alkyl, alkoxy, etc.; R4 = 2-CH2R722CH2R3; R2 = H, alkyl, Ph; R3 = cycloalkyl, Ph, heteroaryl, etc.; R5 = cycloalkyl, (un)substituted Ph, -CH2Ph, -2-pyridyl(methyl), naphthyl; R7 = H or alkyl; Z = N or CH; Z1 = O or S; Z2 = piperidine-4,1-diyl throughout] were prepd. Thus, 2-(MeO)C6H4NH2 was N-arylated by 2-FC6H4NO2 and the reduced product cyclocondensed with (H2N)2CO to give I (R1 = H, R5 = C6H4(OMe)-2, Z = CH) (II: R4 = CH) which was treated with POC13 and the product etherified by HOCH2CH2CH2Ph to give II (R4 = OCH2CH2CH2Ph). Data for biol. activity of I were given.

IT 202809-35-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(4-piperidinylmethoxy)benzimidazoles and analogs as M3 and 5-HT4 antagonists)

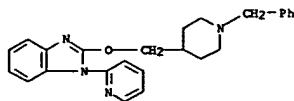
Habte

6/02/2003

L4 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 202809-35-6 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-(phenylmethyl)-4-piperidinyl]methoxy]-1-(2-pyridinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

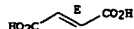
CRN 202809-34-5
 CMF C25 H26 N4 O



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:789539 CAPLUS

DOCUMENT NUMBER: 128:110371

TITLE: Use of CoMFA in validating the conformation used in designing 4-(1H-benzimidazole-2-carbonyl)piperidines with H1/NK1 receptor antagonist activity

AUTHOR(S): Var, Roy J.; Maynard, George D.; Kudlacz, Elizabeth M.; Bratton, Larry D.; Kane, John M.; Shatzer, Scott A.; Knippenberg, Robert V.

CORPORATE SOURCE: Hoechst Marion Roussel, Inc., Cincinnati, OH, 45215, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(22), 2825-2830

CODEN: BMCLEB; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Support for the conformation used in the design of a series of 4-(1H-benzimidazole-2-carbonyl)piperidines with dual histamine H1/tachykinin NK1 receptor antagonist activity has been presented. Comparative Mol. Field Anal. (CoMFA) for both receptor binding affinities of the series as well as overlays with several crystal structures of selective receptor antagonists support the conformation.

IT 178371-08-9 178371-10-3 178371-15-8

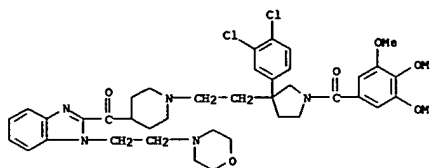
178371-35-2 178372-47-9 200616-60-0

200616-61-1 201595-86-0

RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (use of CoMFA in validating the conformation used in designing 4-(1H-benzimidazole-2-carbonyl)piperidines with H1/NK1 receptor antagonist activity)

RN 178371-08-9 CAPLUS

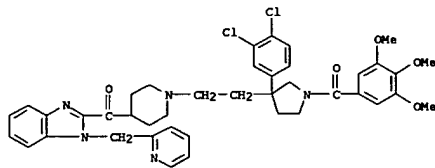
CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 178371-10-3 CAPLUS

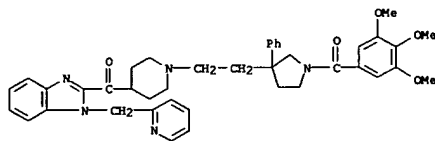
CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



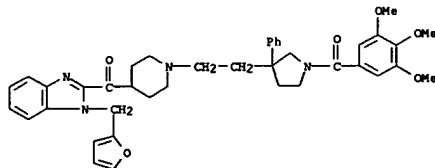
RN 178371-15-8 CAPLUS

CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 178371-35-2 CAPLUS

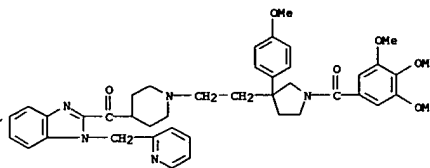
CN Pyrrolidine, 3-[2-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 178372-47-9 CAPLUS

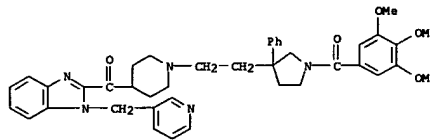
CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



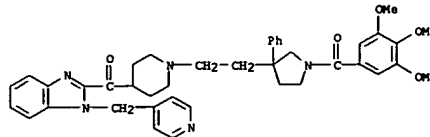
RN 200616-60-0 CAPLUS

CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 200616-61-1 CAPLUS

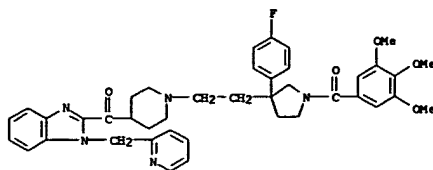
CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 201595-86-0 CAPLUS

CN Pyrrolidine, 3-(4-fluorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

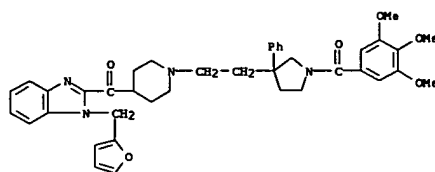
L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:789538 CAPLUS
 DOCUMENT NUMBER: 128:75364
 TITLE: Synthesis and structure-activity relationship of 4-(1H-benzimidazole-2-carbonyl)piperidines with dual histamine H1/tachykinin NK1 receptor antagonist activity
 AUTHOR(S): Weynard, George D.; Bratton, Larry D.; Kane, John M.; Burkholder, Timothy P.; Santiago, Braulio Stewart, Kenneth T.; Kudlacz, Elizabeth M.; Shatzer, Scott A.; Knippenberg, Robert W.; Farrell, Amy M.; Logan, Deborah E.
 CORPORATE SOURCE: Hoechst Marion Roussel, Inc., Cincinnati, OH, 45215-6300, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(22), 2819-2824
 CODEN: BMCLEB; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A series of 1H-benzimidazol-2-yl 4-piperidinyl methanone derivs. with dual histamine H1/tachykinin NK1 receptor antagonist activity was prepd. Factors affecting receptor binding affinities and oral activity in this series are described.
 IT 178371-35-2
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (prepn. and structure-activity relationship of benzimidazolyl piperidinyl methanone derivs.)
 RN 178371-35-2 CAPLUS
 CN Pyrrolidine, 3-[2-{4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



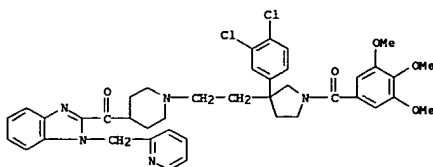
IT 178371-11-49 178371-15-89 200616-59-79
 200616-60-0P 200616-61-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and structure-activity relationship of benzimidazolyl piperidinyl methanone derivs.)

L4 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 178371-11-4 CAPLUS
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-{4-[[1-(2-pyridinyl)methyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 178371-10-3
 CMF C41 H43 C12 N5 O5

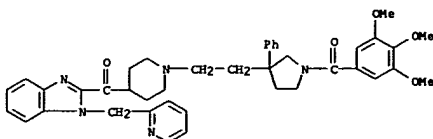


CM 2

CRN 75-75-2
 CMF C H4 O3 S



RN 178371-15-8 CAPLUS
 CN Pyrrolidine, 3-phenyl-3-[2-{4-[[1-(2-pyridinyl)methyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



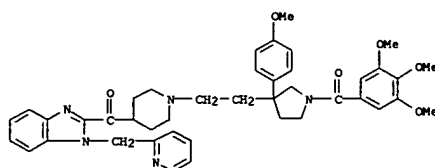
RN 200616-59-7 CAPLUS
 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-{4-[[1-(2-pyridinyl)methyl]-1H-

Habe

L4 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

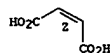
CRN 178372-47-9
 CMF C42 H47 N5 O6



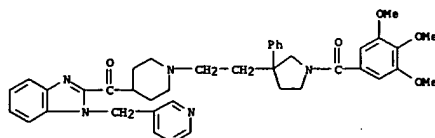
CM 2

CRN 110-16-7
 CMF C4 H4 O4

Double bond geometry as shown.



RN 200616-60-0 CAPLUS
 CN Pyrrolidine, 3-phenyl-3-[2-{4-[[1-(3-pyridinyl)methyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

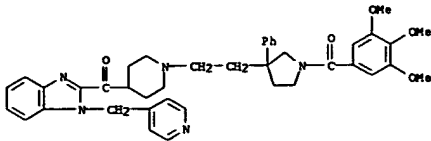


RN 200616-61-1 CAPLUS
 CN Pyrrolidine, 3-phenyl-3-[2-{4-[[1-(4-pyridinyl)methyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

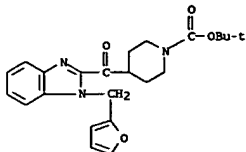
6/02/2003

L4 ANSWER 16 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

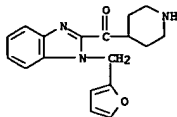
L4 ANSWER 16 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



IT 178371-33-0P 178371-34-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and structure-activity relationship of benzimidazolyl piperidinyl methanone derivs.)
 RN 178371-33-0 CAPIUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 178371-34-1 CAPIUS
 CN Methanone, [1-(2-furanylmethyl)-1H-benzimidazol-2-yl]-4-piperidinyl- (9CI) (CA INDEX NAME)

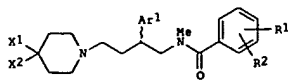


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 46 CAPIUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:579713 CAPIUS
 DOCUMENT NUMBER: 127:262676
 TITLE: Preparation of N-methyl-N-[4-(piperidin-1-yl)]-2-(aryl)butylbenzamides for the treatment of allergic diseases.
 INVENTOR(S): Maynard, George D.; Kane, John M.; Bratton, Larry D.; Kudlacz, Elizabeth M.
 PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA
 SOURCE: PCT Int. Appl., 157 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

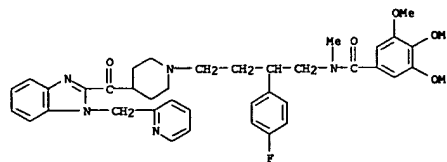
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730990	A1	19970828	WO 1997-US2239	19970127
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RW: KE, LS, MW, SD, SE, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2246727	AA	19970828	CA 1997-2246727	19970127
CA 2246727	C	20020423		
AU 9722707	A1	19970910	AU 1997-22707	19970127
AU 709215	B2	19980826		
EP 882038	A1	19981209	EP 1997-905930	19970127
EP 882038	B1	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1211247	A	19990317	CN 1997-192385	19970127
CN 1096460	B	20021218		
BR 9707643	A	19990727	BR 1997-7643	19970127
JP 2002504082	T2	20020205	JP 1997-530219	19970127
AT 229952	K	20030115	AT 1997-905930	19970127
ES 2184992	T3	20030416	ES 1997-905930	19970127
ZA 9701413	A	19970821	ZA 1997-1413	19970219
NO 9803831	A	19981020	NO 1998-3831	19980820
PRIORITY APPLN. INFO.:				
US 1996-604202 A 19960221				
US 1996-771544 A 19961223				
WO 1997-US2239 W 19970127				
OTHER SOURCE(S): MARPAT 127:262676				
GI				



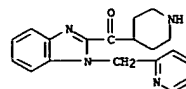
AB Title compds. [I: R1 = H, halo, alkyl, alkoxy; R2 = H, (substituted)]
 Habte

L4 ANSWER 17 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

tetrazolyl, 1,2,4-triazolyl; Ar1 = (substituted) Ph, naphthyl, pyridyl, thienyl; X1 = H; X2 = (substituted) benzothiazolyl-2-carbonyl, benzimidazol-2-ylcarbonyl, benzimidazolyl, diphenylmethyl], are claimed, as is their use for treatment of allergic rhinitis, asthma, emesis, and inflammatory bowel disease (no data).
 IT 195737-72-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-methyl-N-[4-(piperidin-1-yl)]-2-(aryl)butylbenzamides for the treatment of allergic diseases)
 RN 195737-72-5 CAPIUS
 CN Benzamide, N-[2-(4-fluorophenyl)-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]butyl]-3,4,5-trimethoxy-N-methyl- (9CI) (CA INDEX NAME)



IT 195737-75-8P 195737-76-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of N-methyl-N-[4-(piperidin-1-yl)]-2-(aryl)butylbenzamides for the treatment of allergic diseases)
 RN 195737-75-8 CAPIUS
 CN Methanone, 4-piperidinyl[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, monohydride (9CI) (CA INDEX NAME)

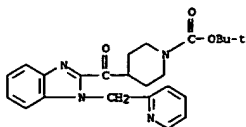


● HI

RN 195737-76-9 CAPIUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 17 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

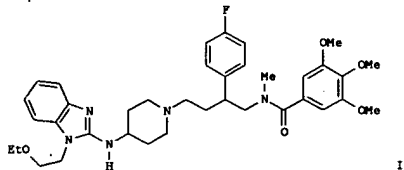


L4 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2003 ACS

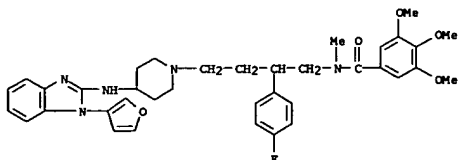
1997:579712 CAPLUS
 DOCUMENT NUMBER: 127:248110
 TITLE: Preparation of N-[4-(benzimidazolylamino)piperidino]-2-arylbutylbenzamides as histamine and tachykinin receptor antagonists
 INVENTOR(S): Maynard, George D.; Kane, John M.; Kudlacz, Elizabeth M.; Dalton, Christopher R.; Santiago, Braulio; Stratton, Larry D.
 PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA
 SOURCE: PCT Int. Appl., 167 pp.
 DOCUMENT TYPE: CODEN: PIXX02
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730989	A1	19970828	WO 1997-051571	19970129
V: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MV, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TH, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH				
RG: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, ML, MR, NE, SN, TD, TG				
US 5922737	A	19990713	US 1997-778996	19970106
CA 2247105	AA	19970828	CA 1997-2247105	19970129
CA 2247105	C	20020514		
AU 9722519	A1	19970910	AU 1997-22519	19970129
AU 710488	B2	19990923		
EP 888336	A1	19990107	EP 1997-905689	19970129
EP 888336	B1	20020807		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1211246	A	19990317	CN 1997-192390	19970129
BR 9707652	A	19990727	BR 1997-7652	19970129
JP 2001504798	T2	20010410	JP 1997-530166	19970129
NZ 330908	A	20010427	NZ 1997-330908	19970129
AT 221882	E	20020815	AT 1997-905689	19970129
ES 2176687	T3	20021201	ES 1997-905689	19970129
ZA 9701323	A	19970827	ZA 1997-1323	19970217
NO 9803830	A	19981020	NO 1998-3830	19980820
PRIORITY APPLN. INFO.: US 1996-604216 A 19960221				
US 1997-778996 A 19970106				
WO 1997-051571 W 19970129				
OTHER SOURCE(S): HARPAT 127:248110				
GI				

L4 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

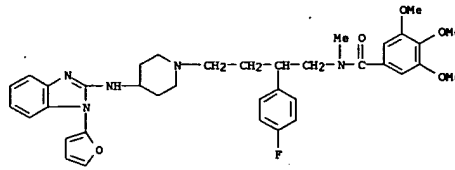


AB R2CH2CH2CH2CH2NMeCOR2 [R = (un)substituted 2-benzimidazolylamino or -2,3-dihydro-1H-imidazo[1,2-a]benzimidazol-1-yl; R1 = (un)substituted Ph, -naphthyl, -pyridyl, -thienyl; R2 = (un)substituted Ph; Z = piperidine-4,1-diyl] were prep. as histamine and tachykinin receptor antagonists (no data). Thus, 4-[1-(2-ethoxyethyl)-2-benzimidazolylamino]piperidine was alkylated by MeO2SOCH2CH2CH2CH2NMeCOR2 (R1 = C6H4F-4, R2 = 3,4,5-trimethoxyphenyl) (prepn. each given) to give title compd. I.
 IT 195622-12-9P 195622-13-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N-[4-(benzimidazolylamino)piperidino]-2-arylbutylbenzamides as histamine and tachykinin receptor antagonists)
 RN 195622-12-9 CAPLUS
 CN Benzamide, N-[2-(4-fluorophenyl)-4-[4-[[1-(3-furanyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]butyl]-3,4,5-trimethoxy-N-methyl- (9CI) (CA INDEX NAME)

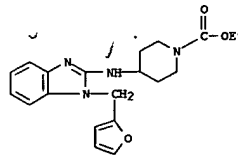


RN 195622-13-0 CAPLUS
 CN Benzamide, N-[2-(4-fluorophenyl)-4-[4-[[1-(2-furanyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]butyl]-3,4,5-trimethoxy-N-methyl- (9CI) (CA INDEX NAME)

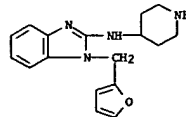
L4 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 90518-53-9P 90518-67-5P 132551-78-1P
 132552-50-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of N-[4-(benzimidazolylamino)piperidino]-2-arylbutylbenzamides as histamine and tachykinin receptor antagonists)
 RN 90518-53-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-67-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanyl)methyl-N-4-piperidinyl- (9CI) (CA INDEX NAME)

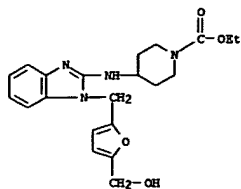


RN 132551-78-1 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[3-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

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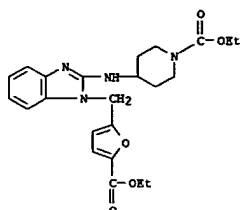
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L4 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

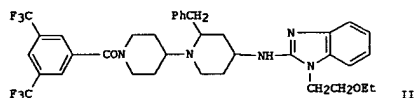
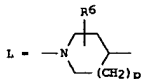
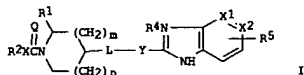


RN 132552-50-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[[5-(ethoxycarbonyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB Title compds. I (n = 0-2; m = 1, 2; X = bond, O, S, NR3; X1, X2 = CH, N; Q = O, NR3; R1 = aryl, aralkyl, diarylalkyl; R2 = aryl, aralkyl, heterocyclyl, heteroalkylalkyl; L = Q1; R3 = H, alkyl; R4 = (un)substituted alkyl; R5 = H, halogen, OH, alkoxy; R6 = H, alkyl, aralkyl; p = 0-2) were prepd. for use as substance P antagonists. Thus, (+)-tert-Bu 7-benzyl-1,4-dioxo-8-azaspiro[4.5]decane-8-carboxylate was treated with 3,5-(F3C)2C6H3COCl, followed by 1-(2-ethoxyethyl)-2-(4-piperidinylamino)benzimidazole to give the title compd. II. Cis-II gave 80.7% inhibition of substance P-induced relaxation of pig coronary artery at 3 X 10⁻⁸ M while trans-II gave 85.3 % inhibition.

IT 193199-46-1P 193199-50-7P 193199-55-2P
193199-59-6P 193199-62-1P 193199-65-4P
193199-77-8P 193199-80-3P 193199-95-0P
193200-00-9P 193200-04-3P 193200-21-4P
193200-23-6P 193200-29-2P 193200-33-8P
193200-35-0P 193200-37-2P 193200-40-7P
193200-41-8P 193200-42-9P 193200-43-0P
193200-44-1P 193200-45-2P 193200-51-0P
193200-53-2P 193200-54-3P 193200-55-4P
193200-64-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolyl- and indazopyridinylpiperidines as tachykinin antagonists)

RN 193199-46-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-dimethylbenzoyl)-2'-(phenylmethyl)-N-[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:516068 CAPLUS

DOCUMENT NUMBER: 127:135802

TITLE: N-acyl-2-substituted-4-(benzimidazolyl- or indazopyridinyl)piperidines as tachykinin antagonists

INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; Surlerlaux, Dominique Louis Nestor Ghislaine

PATENT ASSIGNER(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: PCT Int. Appl., 50 pp.

CODEN: FIKX02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

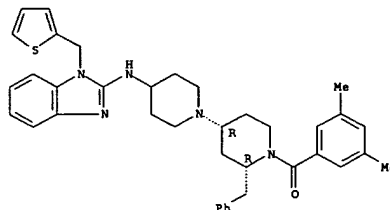
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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CA 2238816	AA	19970710	CA 1996-2238816	19961220
AU 5713080	A1	19970728	AU 1997-13080	19961220
AU 707116	B2	19990701		
EP 869955	A1	19981014	EP 1996-944686	19961220
EP 869955	B1	20011024		
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JP 2000502689	T2	20000307	JP 1997-524029	19961220
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ES 2166915	T3	20020501	ES 1996-944686	19961220
PL 184489	B1	20021129	PL 1996-327440	19961220
ZA 9610894	A	19980623	ZA 1996-10894	19961223
NO 9802406	A	19980824	NO 1998-2406	19980527
US 6110939	A	20000829	US 1998-102121	19980619
HK 1012187	A1	20020308	HK 1998-113363	19981215
PRIORITY APPLN. INFO.:				
			EP 1995-203650	A 19951227
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			WO 1996-EP5877	W 19961220

OTHER SOURCE(S):

MARFAT 127:135802

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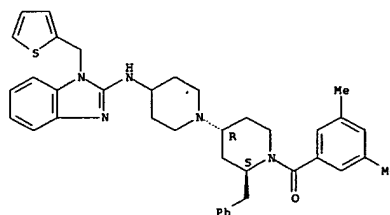
L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193199-50-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-dimethylbenzoyl)-2'-(phenylmethyl)-N-[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



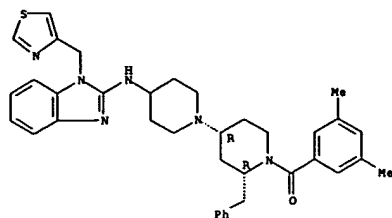
RN 193199-55-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-dimethylbenzoyl)-2'-(phenylmethyl)-N-[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

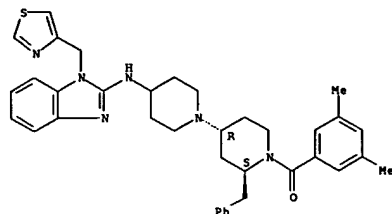
6/02/2003

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193199-59-6 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[(3,5-dimethylbenzoyl)-2'-(phenylmethyl)-N-[(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-, trans- (9CI) (CA INDEX NAME)

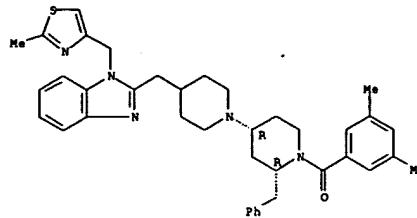
Relative stereochemistry.



RN 193199-62-1 CAPLUS
 CN 1,4'-Bipiperidine, 1'-[(3,5-dimethylbenzoyl)-4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

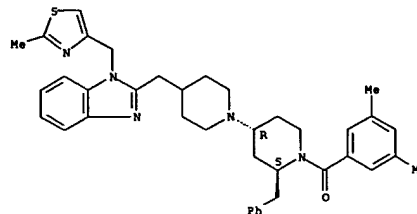
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193199-65-4 CAPLUS
 CN 1,4'-Bipiperidine, 1'-[(3,5-dimethylbenzoyl)-4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

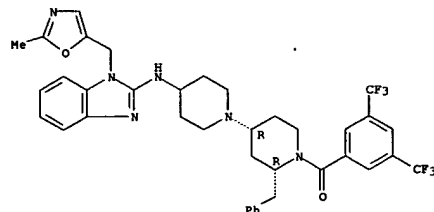
Relative stereochemistry.



RN 193199-77-8 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

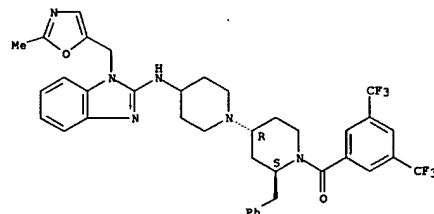
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193199-80-3 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

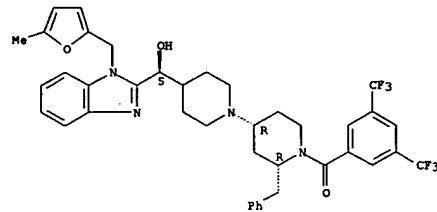
Relative stereochemistry.



RN 193199-95-0 CAPLUS
 CN [1,4'-Bipiperidine]-4-methanol, 1'-[3,5-bis(trifluoromethyl)benzoyl]-.alpha.-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, [2'.alpha.,4'.alpha.(S*)]- (9CI) (CA INDEX NAME)

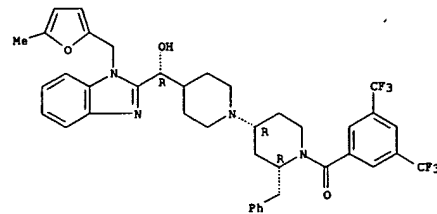
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-00-9 CAPLUS
 CN [1,4'-Bipiperidine]-4-methanol, 1'-[3,5-bis(trifluoromethyl)benzoyl]-.alpha.-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, [2'.alpha.,4'.alpha.(R*)]- (9CI) (CA INDEX NAME)

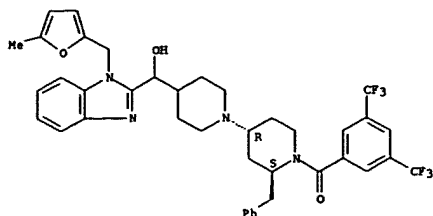
Relative stereochemistry.



RN 193200-04-3 CAPLUS
 CN [1,4'-Bipiperidine]-4-methanol, 1'-[3,5-bis(trifluoromethyl)benzoyl]-.alpha.-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, (2'.alpha.,4'.beta.)-[partial]- (9CI) (CA INDEX NAME)

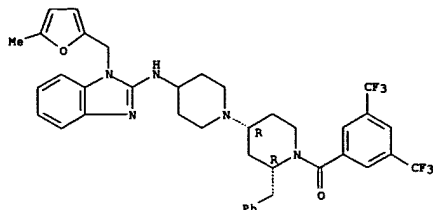
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-21-4 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

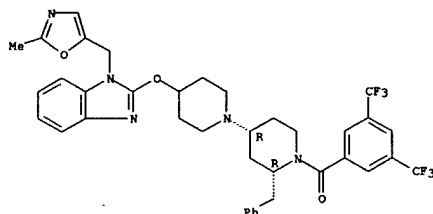
Relative stereochemistry.



RN 193200-23-6 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

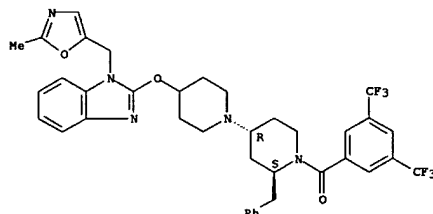
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-35-0 CAPLUS
 CN 1,4'-Bipiperidine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

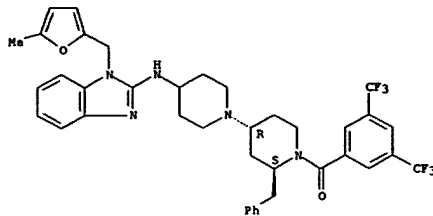
Relative stereochemistry.



RN 193200-37-2 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-benzoyl-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

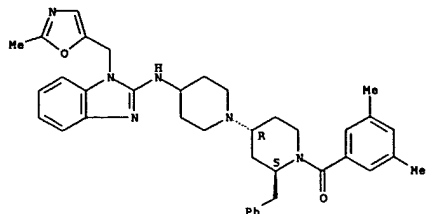
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-29-2 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-dimethylbenzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

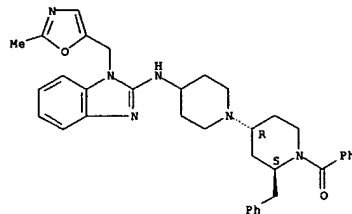
Relative stereochemistry.



RN 193200-33-8 CAPLUS
 CN 1,4'-Bipiperidine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

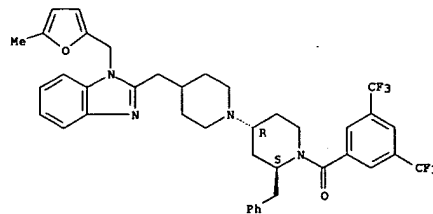
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-40-7 CAPLUS
 CN 1,4'-Bipiperidine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

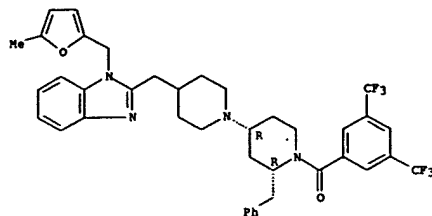
Relative stereochemistry.



RN 193200-41-8 CAPLUS
 CN 1,4'-Bipiperidine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

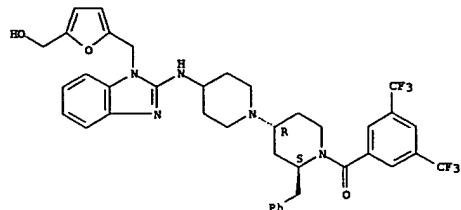
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-42-9 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

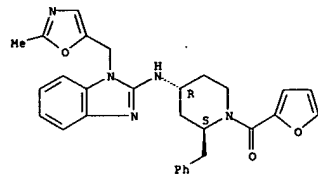
Relative stereochemistry.



RN 193200-43-0 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

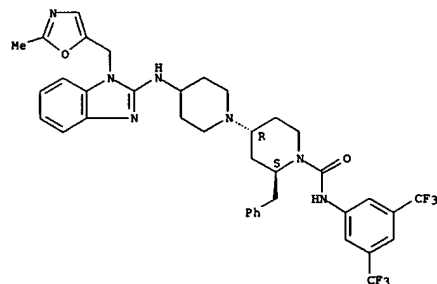
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-51-0 CAPLUS
 CN [1,4'-Bipiperidine]-1'-carboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

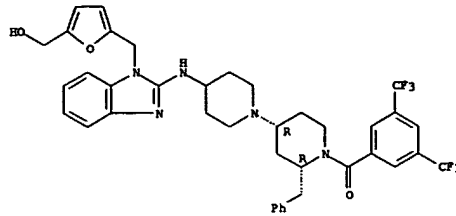
Relative stereochemistry.



RN 193200-53-2 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3-(1-methylethoxy)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

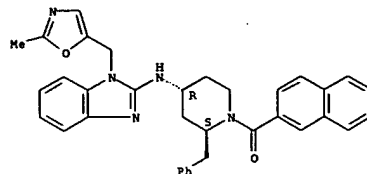
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-44-1 CAPLUS
 CN 4-Piperidinamine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1-(2-naphthalenylcarbonyl)-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

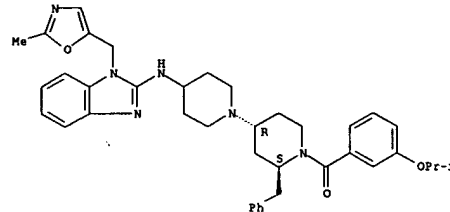
Relative stereochemistry.



RN 193200-45-2 CAPLUS
 CN 4-Piperidinamine, 1-(2-furanylcarbonyl)-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

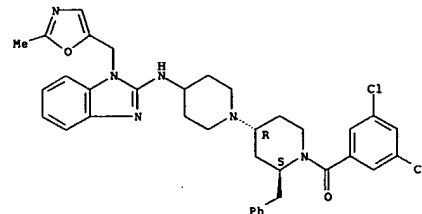
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-54-3 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-dichlorobenzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

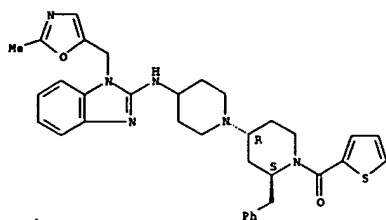
Relative stereochemistry.



RN 193200-55-4 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-(2-thienylcarbonyl)-, trans- (9CI) (CA INDEX NAME)

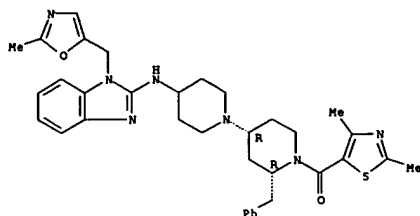
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-64-5 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[(2,4-dimethyl-5-thiazolyl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

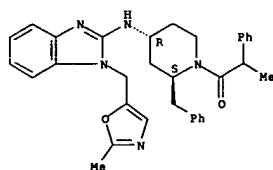


IT 193201-90-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of benzimidazolyl- and imidazopyridinylpiperidines as tachykinin antagonists)
 RN 193201-90-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[2'-(phenylmethyl)[1,4'-bipiperidin]-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

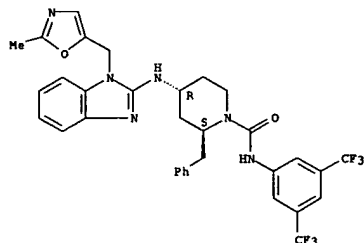
L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

Relative stereochemistry.



RN 193200-48-5 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

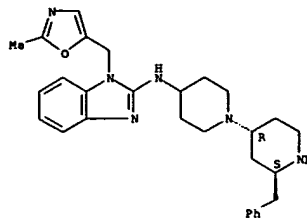
Relative stereochemistry.



RN 193200-49-6 CAPLUS
 CN 4-Piperidinamine, 1-(6-benzothiazolylcarbonyl)-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

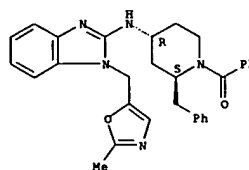
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



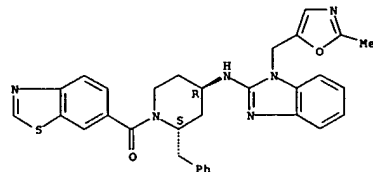
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 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzimidazolyl- and imidazopyridinylpiperidines as tachykinin antagonists)
 RN 193200-46-3 CAPLUS
 CN 4-Piperidinamine, 1-benzoyl-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



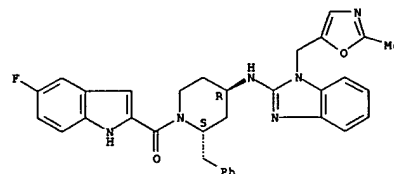
RN 193200-47-4 CAPLUS
 CN 4-Piperidinamine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1-(1-oxo-2-phenylpropyl)-2-(phenylmethyl)-, (2.alpha.,4.beta.)-[partial]-

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



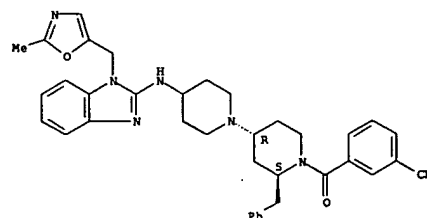
RN 193200-50-9 CAPLUS
 CN 4-Piperidinamine, 1-[(5-fluoro-1H-indol-2-yl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 193200-52-1 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3-cyanobenzoyl)-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

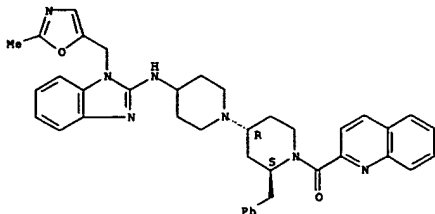


L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 193200-56-5 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-(2-quinolinylcarbonyl)-, trans- (9CI) (CA INDEX NAME)

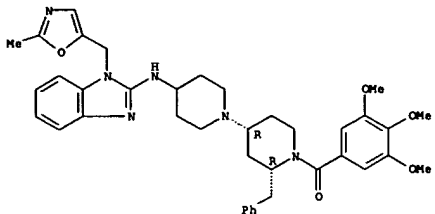
Relative stereochemistry.



RN 193200-57-6 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-(3,4,5-trimethoxybenzoyl)-, cis- (9CI) (CA INDEX NAME)

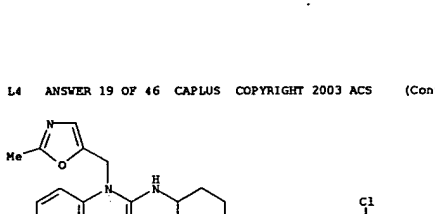
Relative stereochemistry.



RN 193200-58-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-(2-thienylcarbonyl)-, cis- (9CI) (CA INDEX NAME)

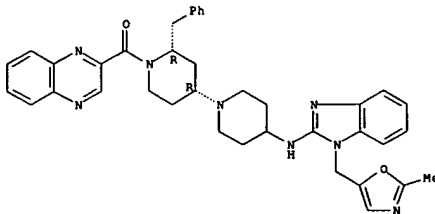
Relative stereochemistry.



RN 193200-61-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-(2-quinoxalylcarbonyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



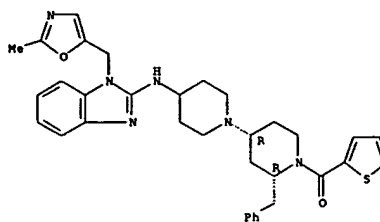
RN 193200-62-3 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[[3-(1-methylethoxy)phenyl]acetyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



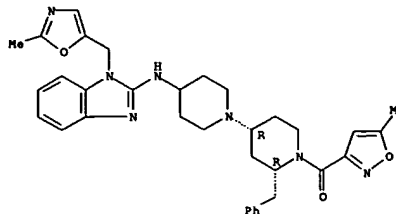
L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-59-8 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(5-methyl-3-isoxazolyl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

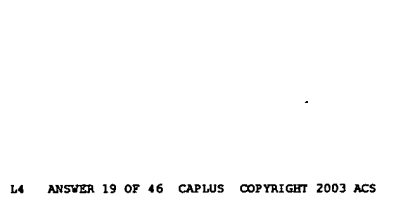
Relative stereochemistry.



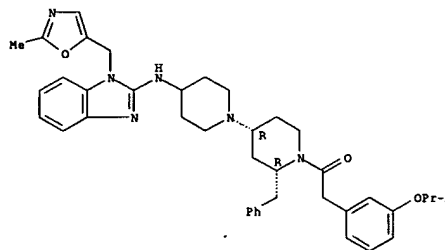
RN 193200-60-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(2,6-dichloro-4-pyridinyl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



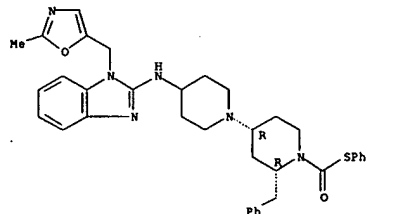
L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-63-4 CAPLUS

CN [1,4'-Bipiperidine]-1'-carbothioic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-2'-(phenylmethyl)-, S-phenyl ester, cis- (9CI) (CA INDEX NAME)

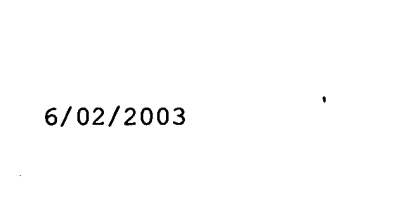
Relative stereochemistry.



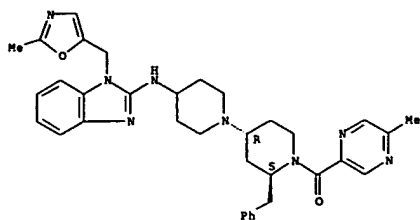
RN 193200-65-6 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1'-[(5-methylpyrazinyl)carbonyl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

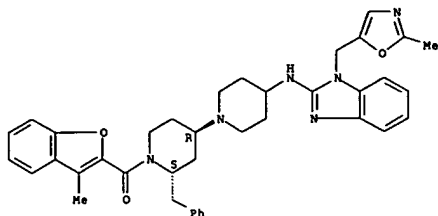


L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-66-7 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[(3-methyl-2-benzofuranyl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

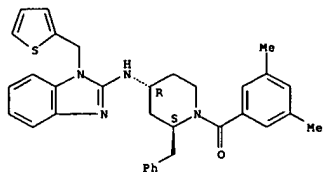
Relative stereochemistry.



RN 193200-67-8 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[(5-fluoro-1H-indol-2-yl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

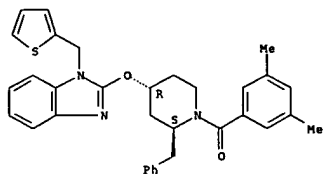
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



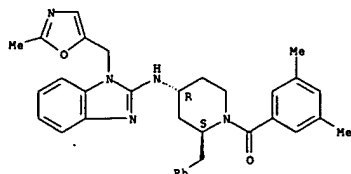
RN 193200-80-5 CAPLUS
 CN Piperidine, 1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]oxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 193200-85-0 CAPLUS
 CN 4-Piperidinamine, 1-(3,5-dimethylbenzoyl)-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

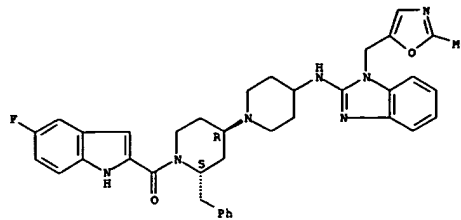
Relative stereochemistry.



RN 193200-94-1 CAPLUS
 CN Piperidine, 1-(3,5-dimethylbenzoyl)-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

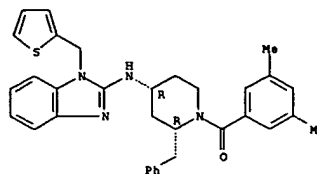
Habe

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-75-8 CAPLUS
 CN 4-Piperidinamine, 1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-N-[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

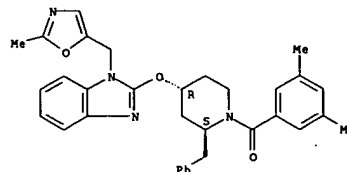


RN 193200-77-0 CAPLUS
 CN 4-Piperidinamine, 1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-N-[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

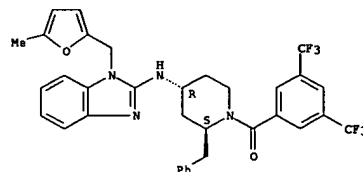
L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

Relative stereochemistry.



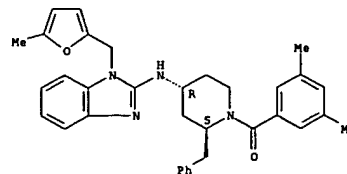
RN 193201-01-3 CAPLUS
 CN 4-Piperidinamine, 1-(3,5-bis(trifluoromethyl)benzoyl)-N-[1-(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 193201-02-4 CAPLUS
 CN 4-Piperidinamine, 1-(3,5-dimethylbenzoyl)-N-[1-(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

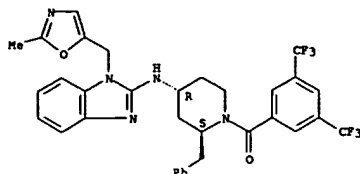
Relative stereochemistry.



6/02/2003

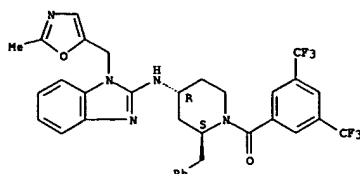
L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 193201-15-9 CAPLUS
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans-(+)- (9CI)
 (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



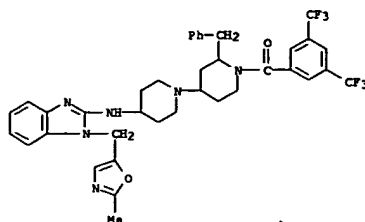
RN 193201-17-1 CAPLUS
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans-(-)- (9CI)
 (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

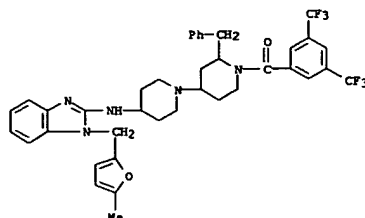


RN 193201-94-4 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI)
 (CA INDEX NAME)

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

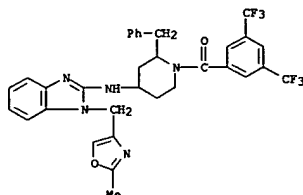


RN 193201-97-7 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)- (9CI)
 (CA INDEX NAME)

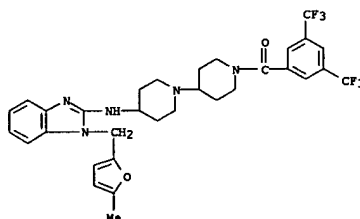


RN 193201-99-9 CAPLUS
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-4-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193202-16-3 CAPLUS
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:453985 CAPLUS
 DOCUMENT NUMBER: 127:81450
 TITLE: Substituted 4-[(1H-benzimidazol-2-ylamino)piperidines useful for the treatment of allergic diseases
 INVENTOR(S): Kane, John M.; Maynard, George D.; Burkholder, Timothy P.; Bratton, Larry D.; Dalton, Christopher R.; Santiago, Braulio; Kudlacz, Elizabeth M.
 PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA
 SOURCE: PCT Int. Appl., 323 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719074	A1	19970529	WO 1996-0518001	19961107
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 2000500742	T2	20000125	JP 1997-517638	19961030
CA 2237971	AA	19970529	CA 1996-2237971	19961107
CA 2237971	C	20020122		
AU 9710508	A1	19970611	AU 1997-10508	19961107
AU 703701	B2	19990401		
CN 1202894	A	19981223	CN 1996-198360	19961107
CN 1098264	B	20030108		
EP 920425	A1	19990609	EP 1996-941334	19961107
EP 920425	B1	20030219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 11513991	T2	19991130	JP 1996-519767	19961107
IL 124396	A1	20010319	IL 1996-124396	19961107
AT 232858	E	20030315	AT 1996-941334	19961107
ZA 9609484	A	19970610	ZA 1996-9484	19961112
TV 394771	B	20000621	TV 1996-85115760	19961220
NO 9802238	A	19980701	NO 1998-2238	19980515
PRIORITY APPL. INFO.:			US 1995-560419	A 19951117
			US 1996-734508	A 19961017
			US 1995-8108P	P 19951030
			US 1995-7473P	P 19951122
			US 1995-8992P	P 19951221
			US 1996-13747P	P 19960320
			US 1996-13748P	P 19960320
			US 1996-13764P	P 19960320
			US 1996-17455P	P 19960517
			US 1996-17892P	P 19960517
			US 1996-22047P	P 19960722
			US 1996-23494P	P 19960907
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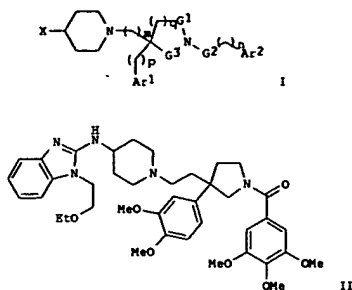
OTHER SOURCE(S):
 GI

MARPAT 127:81450

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6/02/2003

L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

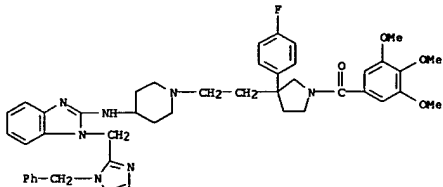


AS The invention relates to novel substituted piperidine derivs. I [n = 2, 3; n = 0, 1; q = 1, 2; p = 0, 1; G1 = CH2, G2 = CH2, CHMe, G3 = CH2, CO; Ar1 = (un)substituted Ph, naphthyl, pyridyl, or thienyl; Ar2 = (un)substituted Ph, benzodioxol-5-yl, benzodioxan-6-yl; X = (un)substituted benzimidazol-2-ylamino; with several provisos] and their stereoisomers and pharmaceutically acceptable salts. The compds. are useful as histamine receptor antagonists and tachykinin receptor antagonists (no data). Such antagonists are useful in the treatment of allergic rhinitis, including seasonal rhinitis and sinusitis, inflammatory bowel diseases, including Crohn's disease and ulcerative colitis, asthma, bronchitis, and for example, as antagonists of the tachykinin 1-[2-(2-methoxyethyl)pyrrolidine] (peptide) undervant amidation with 3,4,5-trimethoxybenzoyl chloride, followed by acylation with MeSO2Cl and Et3N, and coupling with [1-(2-ethoxyethyl)-1H-benzimidazol-2-yl] (piperidin-4-yl)amine (prepn. given), to give title compd. II.

IT 132551-78-1P 132552-50-2P 191605-13-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; prepn. of (benzimidazolylamino)piperidins as
antiallergics)

RN 132551-78-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

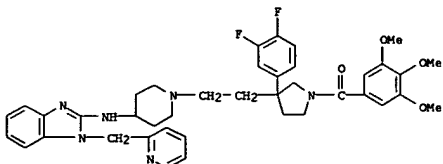
L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 191603-66-4P 191603-67-5P 191603-70-0P
191603-80-2P 191604-17-8P 191605-16-0P

191803-80-2F 191804-17-8F 191805-18-0F
 R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (pregn. of (benzimidazolylamino)piperidines as antiarrhythmics)

RN 191603-66-4 CAPLUS
 CN Pyrrolidine, 3-(3,4-difluorophenyl)-3-[2-{4-[[1-(2-pyridinylmethyl)-1H-benziaidazol-2-yl]amino]-1-piperidinyl]ethyl}-1-(3,4,5-trimethoxybenzoyl)-(9CI) (CA INDEX NAME)

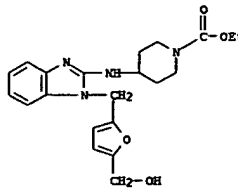


RN 191603-67-5 CAPLUS

CN Pyrrolidine, 3-(3,4-difluorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

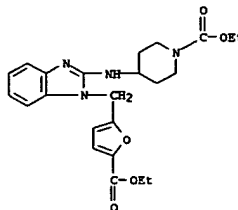
Rotation' (-).

L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132552-50-2 CAPLUS

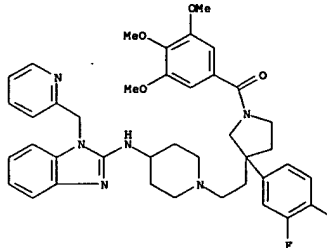
1-Piperidinecarboxylic acid, 4-[[1-[[5-(ethoxycarbonyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 191605-13-7 CAPLUS

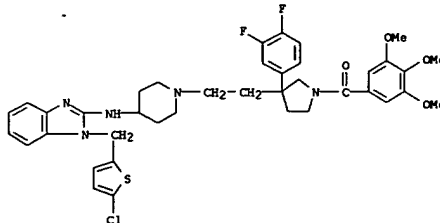
Pyrrrolidine, 3-(4-fluorophenyl)-3-[2-[4-[[1-[[1-(phenylmethyl)-1H-imidazol-2-yl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191603-70-0 CAPLUS

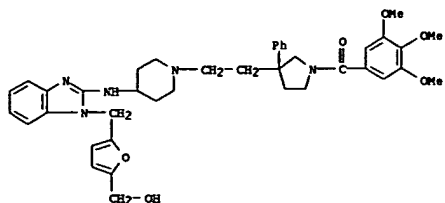
Pyrrrolidine, 3-[2-(4-[[1-[(5-chloro-2-thienyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl)ethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 191603-80-2 CAPLUS

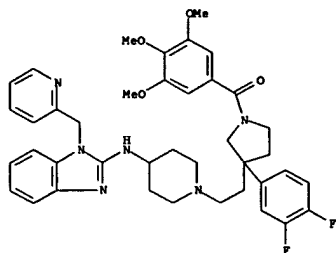
Pyrrrolidine, 3-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191604-17-8 CAPLUS
 CN Pyrrolidine, 3-[2-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RN 191605-16-0 CAPLUS
 CN Pyrrolidine, 3-[2-[[1-(5-chloro-2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 191603-70-0
 CMF C39 H42 Cl F2 N5 O4 S

L4 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2003 ACS

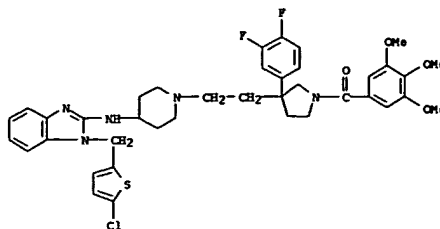
ACCESSION NUMBER: 1997:425258 CAPLUS
 DOCUMENT NUMBER: 127:34245
 TITLE: Preparation of 1-(1,2-disubstituted piperidinyl)-4-substituted piperazine derivatives as substance-P antagonists
 INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine; Leenaerts, Joseph Elisabeth; Van Roosbroeck, Yves Emiel Maria
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Janssens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine; Leenaerts, Joseph Elisabeth; Van Roosbroeck, Yves Emiel Maria
 SOURCE: PCT Int. Appl., 69 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716440	A1	19970509	WO 1996-EP4660	19961025
W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 460473	B	20011021	TW 1996-85113017	19961024
CA 2234096	AA	19970509	CA 1996-2234096	19961025
AU 9674932	A1	19970522	AU 1996-74932	19961025
AU 704155	B2	19990415		
EP 862566	A1	19980909	EP 1996-937248	19961025
EP 862566	B1	20000112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1205699	A	19990120	CN 1996-199225	19961025
BR 9611184	A	19990330	BR 1996-11184	19961025
JP 11514634	T2	19991214	JP 1996-517050	19961025
AT 188691	E	20000115	AT 1996-937248	19961025
ES 2143238	T3	20000501	ES 1996-937248	19961025
JP 3073238	B2	20000807	JP 1997-517050	19961025
IL 123962	A1	20010111	IL 1996-123962	19961025
PL 185029	B1	20030228	PL 1996-327406	19961025
ZA 9609090	A	19980429	ZA 1996-9090	19961029
CN 1206406	A	19990127	CN 1996-199389	19961220
CN 1206414	A	19990127	CN 1996-199406	19961220
NO 9801534	A	19980624	NO 1998-1534	19980403
US 6197772	B1	20010306	US 1998-54963	19980403
US 6521621	B1	20030218	US 2000-745513	20001222
US 37886	E	20021015	US 2001-935698	20010823
PRIORITY APPLN. INFO.: EP 1995-202929 A 19951030				
WO 1996-EP4660 W 19961025				
US 1998-54963 A1 19980403				

OTHER SOURCE(S): MARPAT 127:34245
 GI

Habe

L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

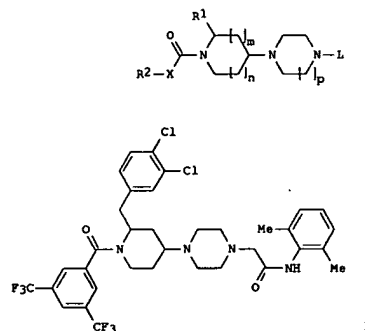


CM 2

CRN 75-75-2
 CMF C H4 O3 S



L4 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB The title compds. [I; n = 0-2; m = 1-2 (if m = 2, then n = 1); p = 1-2; Q = O, NR3; X = a covalent bond, a bivalent radical of formula O, S, NR3; R1 = Ar1, Ar1C1-6alkyl, di(Ar1)C1-6alkyl (wherein each Cl-6alkyl group is optionally substituted with hydroxy, Cl-4alkyloxy, oxo, a ketalized oxo substituent); R2 = Ar2, Ar2C1-6alkyl, Het1, Het1C1-6alkyl; R3 = H, Cl-6alkyl; L = H; Ar3: Cl-6alkyl, etc.Ar1, Ar2, Ar3 = (un)substituted Ph; Het1, Het2 = monocyclic, bicyclic heterocycle] and their N-oxide forms, the pharmaceutically acceptable addn. salts and the stereoisomeric forms, useful as substance-P antagonists were prepd. and formulated. Thus, reaction of 3,5-bis(trifluoromethyl)benzoyl chloride with (+-)-trans-4-[(2-[(3,4-dichlorophenyl)methyl]-4-piperidinyl)-N-(2,6-dimethylphenyl)-1-piperazineacetamide] in the presence of Et3N in DCM afforded 44% II which showed IC50 of 0.13x10⁻⁹ M against substance-P induced relaxation of the pig coronary arteries.

IT 190963-36-1P 190963-37-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 1-(1,2-disubstituted piperidinyl)-4-substituted piperazine derivs. as substance-P antagonists)

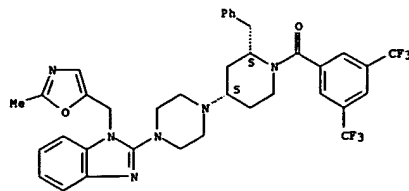
RN 190963-36-1 CAPLUS

CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1-piperazinyl]-2-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

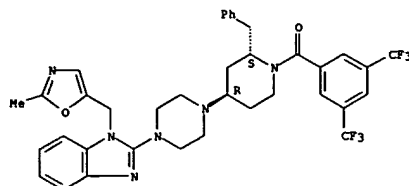
6/02/2003

L4 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

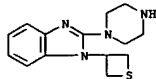


RN 190963-37-2 CAPLUS
 CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1-piperazinyl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

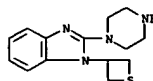


L4 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:488344 CAPLUS
 DOCUMENT NUMBER: 125:237997
 TITLE: Preparation and immunomodulating effect of (1-thietanyl-3)benzimidazoles
 AUTHOR(S): Kataev, V. A.; Sadykov, R. F.; Khaliullin, F. A.; Sibiriyak, S. V.; Alekhin, E. K.; Volkova, S. S.
 CORPORATE SOURCE: Bashkirskii Gosudarstvennyi Meditsinskii Institut, Ufa, Russia
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1996), 30(7), 22-24
 CODEN: KHFZAN; ISSN: 0023-1134
 PUBLISHER: Izdatel'stvo Folium
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB 2-Amino-substituted 1-(3-thietanyl)benzimidazoles, 2-[1-(3-thietanyl)benzimidazolyl]-2-thio]acetic acid, and its potassium salt (I) were prepd. and their effects on anti-infectious and antitumor immunity were studied. I demonstrated considerable potentiation of antitumor immunity.
 IT 182193-15-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and immunomodulating effect of thietanylbenzimidazoles)
 RN 182193-15-3 CAPLUS
 CN 1H-Benzimidazole, 2-(1-piperazinyl)-1-(3-thietanyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCL

IT 182193-12-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and immunomodulating effect of thietanylbenzimidazoles)
 RN 182193-12-0 CAPLUS
 CN 1H-Benzimidazole, 2-(1-piperazinyl)-1-(3-thietanyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS

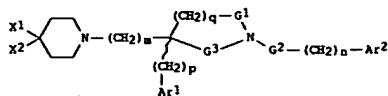
ACCESSION NUMBER: 1996:404635 CAPLUS
 DOCUMENT NUMBER: 125:114615
 TITLE: 1-Benzoyl-3-[2-[4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivatives and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases
 INVENTOR(S): Burkholder, Timothy P.; Bratton, Larry D.; Kudlacz, Elizabeth M.; Maynard, George D.; Kane, John M.; Santiago, Braulio
 PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 294 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9606094	A1	19960229	WO 1995-US10640	19950817
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TH				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2198084	AA	19960229	CA 1995-2198084	19950817
AU 9534928	A1	19960314	AU 1995-34928	19950817
AU 693936	B2	19980709		
EP 777666	A1	19970611	EP 1995-931551	19950817
EP 777666	B1	19990303		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CN 1158612	A	19970903	CN 1995-195293	19950817
CN 1067385	B	20010620		
HU 76644	A2	19971028	HU 1997-1257	19950817
HU 221434	B	20021028		
JP 10504580	T2	19980506	JP 1995-508257	19950817
AT 177095	E	19990315	AT 1995-931551	19950817
ES 2132709	T3	19990816	ES 1995-931551	19950817
ZA 9507033	A	19960416	ZA 1995-7033	19950822
IL 115040	A1	20000229	IL 1995-115040	19950823
TV 130663	B	20010421	TV 1995-84108797	19950823
FI 9700771	A	19970224	FI 1997-771	19970224
NO 9700831	A	19970418	NO 1997-831	19970224
PRIORITY APPLN. INFO.:			US 1994-295960	A 19940825
			US 1995-501914	A 19950713
			WO 1995-US10640	W 19950817
OTHER SOURCE(S):		MARPAT 125:114615		
GI				

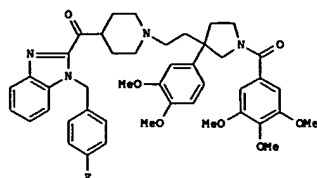
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L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



I



II

AB The present invention relates to novel substituted piperidine derivs. I wherein: G1 is CH2 or CO; G2 is CH2 or CO; G3 is CH2 or CO; m is 2 or 3; n is 0 or 1; q is 1 or 2; p is 0 or 1; Ar1 = (un)substituted Ph, naphthyl, pyridyl, thienyl; Ar2 = (un)substituted Ph, pyridyl; X1 and X2 are defined in one of (A), (B), or (C): (A) X1 = H and X2 = substituted benzothiazole-2-carbonyl, diphenylmethyl, benzimidazolyl-2-carbonyl; (B) X1 = OH and X2 = substituted benzothiazole-2-yl, benzimidazol-2-yl; (C) X2 = (R5C6H4)(C21)(C6H4R6) wherein R5, R6 = from 1 to 3 substituents chosen independently from, e.g., H, halo, CF3, and X1 and Z1 taken together form a second bond between the carbon atoms bearing X1 and Z1; provided that when G1 is CO, then G2 and G3 are CH2, and that when G2 is CO, then G1 and G3 are CH2, and that when G3 is CO, then G1 and G2 are CH2; stereoisomers thereof, and pharmaceutically acceptable salts thereof which are useful as histamine receptor antagonists and tachykinin receptor antagonists. Such antagonists are useful in the treatment of allergic diseases including: seasonal rhinitis, allergic rhinitis, and sinusitis. Thus, e.g., substitution reaction of 4-[[1-(4-fluorobenzyl)-1H-benzimidazole-2-carbonyl]piperidine with 1-(3,4,5-trimethoxybenzoyl)-3-(3,4-dimethoxyphenyl)-3-(2-methanesulfonyloxyethyl)pyrrolidine (prepn. given) afforded II which exhibited H1 receptor antagonism in vitro with pA2 = 7.50, and NK1 receptor binding affinity with IC50 = 31 nM.

178371-08-9P 178371-09-0P 178371-10-3P
178371-11-4P 178371-15-8P 178371-35-2P
178372-47-9P 178372-82-2P 178372-83-3P
178372-84-4P 178372-85-5P 178372-92-4P
178372-93-5P 178373-06-3P 178373-07-4P
178373-36-9P 178373-37-0P 178373-45-0P
178373-46-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

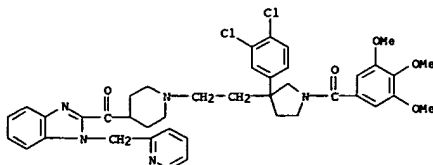
L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178371-10-3 CAPLUS
CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

CM 1

CRN 178371-10-3
CMF C41 H43 C12 N5 O5



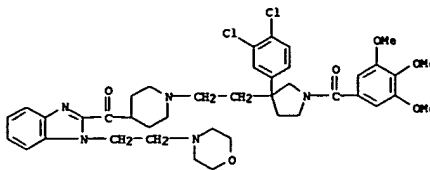
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CRN 75-75-2
CMF C H4 O3 S

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L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 178371-08-9 CAPLUS
CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-(4-morpholinyl)ethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

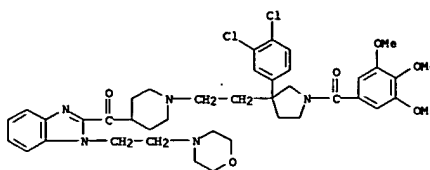


RN 178371-09-0 CAPLUS

CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-(4-morpholinyl)ethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 178371-08-9
CMF C41 H49 C12 N5 O6



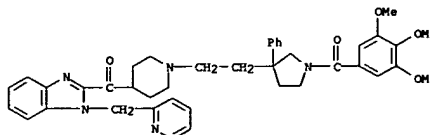
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CMF C H4 O3 S

L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

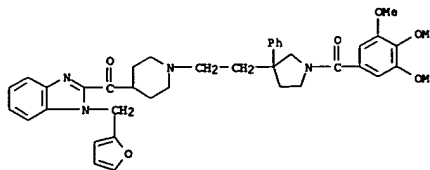


RN 178371-15-8 CAPLUS
CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 178371-35-2 CAPLUS

CN Pyrrolidine, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

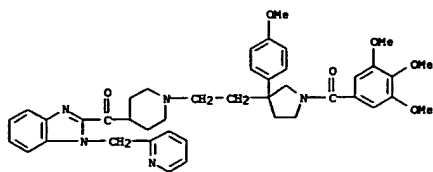


RN 178372-47-9 CAPLUS

CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

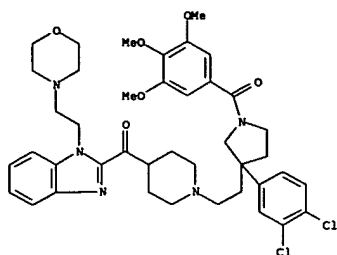
6/02/2003

L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178372-82-2 CAPLUS
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-(4-morpholinyl)ethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

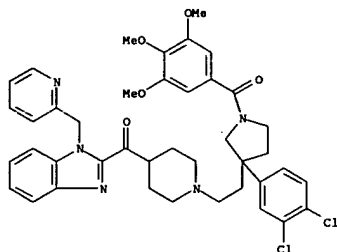
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RN 178372-83-3 CAPLUS
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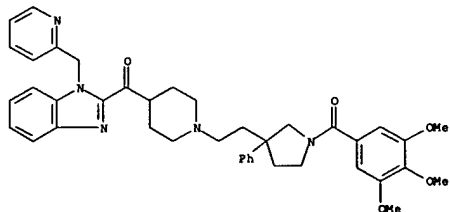
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L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178372-92-4 CAPLUS
 CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

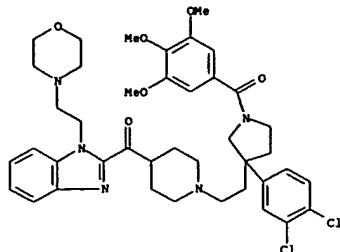
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RN 178372-93-5 CAPLUS
 CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

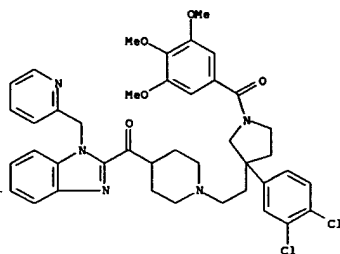
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L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178372-84-4 CAPLUS
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

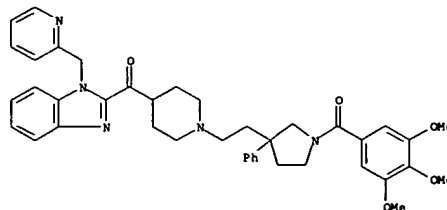
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RN 178372-85-5 CAPLUS
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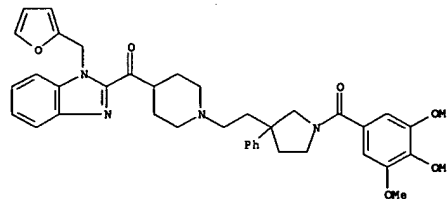
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L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178373-06-3 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

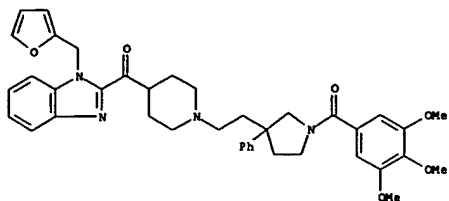
Rotation (+).



RN 178373-07-4 CAPLUS
 CN Pyrrolidine, 3-[2-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

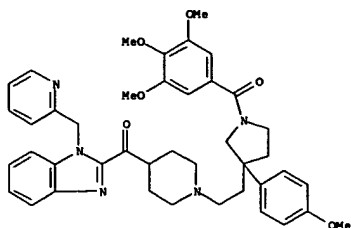
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L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178373-36-9 CAPLUS
 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinylethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

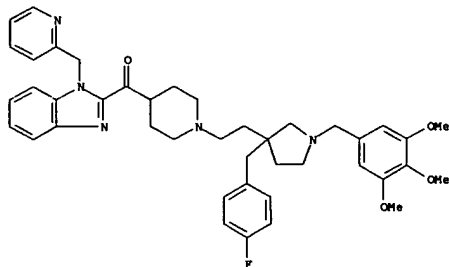
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RN 178373-37-0 CAPLUS
 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinylethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

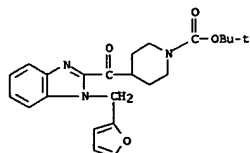
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L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



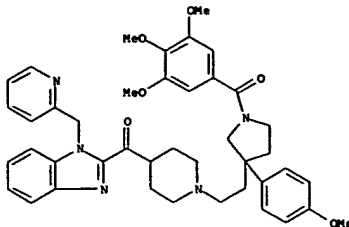
IT 178371-33-0P 178371-34-1P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (1-benzoyl-3-[2-[4-[[1H-benzimidazole-2-carbonyl]piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivs. and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases)

RN 178371-33-0 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



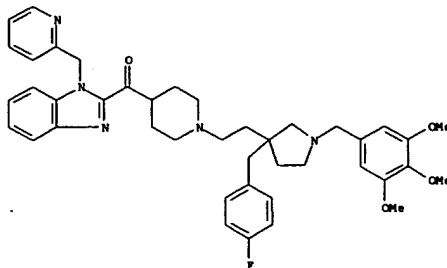
RN 178371-34-1 CAPLUS
 CN Methanone, [1-(2-furanylmethyl)-1H-benzimidazol-2-yl]-4-piperidinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178373-45-0 CAPLUS
 CN Methanone, [1-[2-[3-[(4-fluorophenyl)methyl]-1-[(3,4,5-trimethoxyphenyl)methyl]-3-pyrrolidinylethyl]-4-piperidinyl][1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, (+)- (9CI) (CA INDEX NAME)

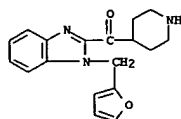
Rotation (+).



RN 178373-46-1 CAPLUS
 CN Methanone, [1-[2-[3-[(4-fluorophenyl)methyl]-1-[(3,4,5-trimethoxyphenyl)methyl]-3-pyrrolidinylethyl]-4-piperidinyl][1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 24 OF 46 CAPIUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:658478 CAPIUS

DOCUMENT NUMBER: 124:8747

TITLE: Synthesis and structure-activity relationship of new piperidinyl and piperazinyl derivatives as antiallergics

AUTHOR(S): Orjales, Aurelio; Bordell, Maravillas; Rubio, Victor
CORPORATE SOURCE: Research Department, FARES S.A., Bilbao, 48080, Spain
SOURCE: Journal of Heterocyclic Chemistry (1995), 32(3), 707-18

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of piperazinebenzothiazoles, piperazinebenzimidazoles, piperidinobenzoimidazoles, piperidinobenzoazoles and piperidinobenzoimidazoles has been synthesized and their antiallergic activity evaluated by means of the passive cutaneous anaphylaxis (PCA) assay. Structure-activity relationships are discussed and related to classical antihistaminics. Piperidino derivs. with an aryl group linked to the nitrogen atom by an Et chain are the most active compds., with ID50 < 1 mg/kg po. Some of these compds. are more potent antiallergics than astemizole and terfenadine.

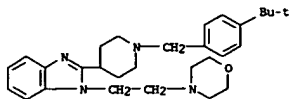
IT 171068-96-5P 171069-14-0P 171069-76-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure-activity relationship of antiallergic benzimidazole benzoxazole and benzothiazole derivs.)

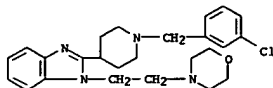
RN 171068-96-5 CAPIUS

CN 1H-Benzimidazole, 2-[1-[(4-(1,1-dimethylethyl)phenyl)methyl]-4-piperidinyl]-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 171069-14-0 CAPIUS

CN 1H-Benzimidazole, 2-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 46 CAPIUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:435541 CAPIUS

DOCUMENT NUMBER: 121:35541

TITLE: Design and syntheses of a series of novel serotonin 53 antagonists

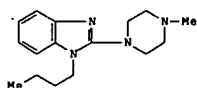
AUTHOR(S): Hori, Manabu; Suzuki, Kenji; Yamamoto, Takeshi; Nakajima, Fumio; Ozaki, Akio; Ohtaka, Hiroshi
CORPORATE SOURCE: New Drug Res. Lab. Kanebo Ltd., Osaka, 534, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1993), 41(10), 1832-41

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB From a structural comparison study between serotonin and serotonin3 (5-HT3) antagonists using a 2-dimensional grid template composed of regular hexagons, the authors deduced structural modification patterns from agonists to antagonists, and designed new 5-HT3 antagonist prototypes. Among them, 2-(4-methyl-1-piperazinyl)-1-butylbenzimidazole (I) was identified as a lead compd. which has potent 5-HT3 antagonistic activity comparable to that of granisetron. Using a quant. structure-activity relations method, the authors optimized the structure of 6 and selected 6-amino-5-chloro-1-isopropyl-2-(4-methyl-1-piperazinyl)benzimidazole dimaleate (69, KB-6933), 1 of the most potent and long-acting 5-HT3 antagonists, as a candidate drug.

IT 155583-86-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as HT3-antagonist)

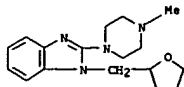
RN 155583-86-1 CAPIUS

CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[(tetrahydro-2-furanyl)methyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 155583-85-0

CMF C17 H24 N4 O



L4 ANSWER 24 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

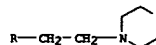
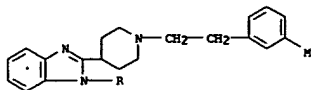
RN 171069-76-4 CAPIUS

CN 1H-Benzimidazole, 2-[1-[2-(3-methylphenyl)ethyl]-4-piperidinyl]-1-[2-(4-morpholinyl)ethyl]-, (ZE)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 171069-75-3

CMF C27 H36 N4 O

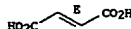


CH 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



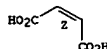
L4 ANSWER 25 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CH 2

CRN 110-16-7

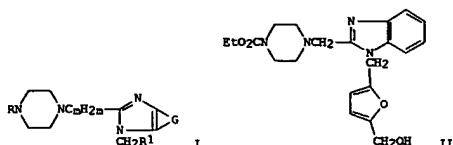
CMF C4 H4 O4

Double bond geometry as shown.

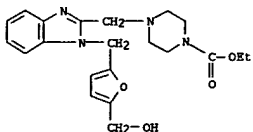


L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:54556 CAPLUS
 DOCUMENT NUMBER: 120:54556
 TITLE: Preparation of N-(arenoimidazol-2-ylalkyl)piperazines
 as antiallergics
 INVENTOR(S): Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth;
 Diels, Gaston Stanislas Marcella; Sommen, Francois
 Maria
 PATENT ASSIGNER(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: PCT Int. Appl., 39 pp.
 CODEN: PIXKX2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

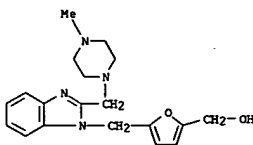
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9314088	A1	19930722	WO 1992-EP3000	19921229
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9332582	A1	19930803	AU 1993-32582	19921229
ZA 9300143	A	19940708	ZA 1993-143	19930108
PRIORITY APPL. INFO.: EP 1992-20042 19920109 WO 1992-EP3000 19921229				
OTHER SOURCE(S): MARPAT 120:54556				
GI				



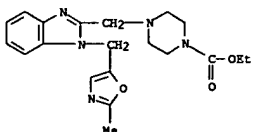
AB Title compds. [I; G = CH:CHCH:CH, N:CHCH:CH, etc.; R = H, (cyclo)alkyl, alkanoyl, alkoxyalkyl, etc.; R1 = (hydroxyalkyl)furanyl, - oxazolyl, alkylloxazolyl; m = 1-4] were prepd. Thus, Et 1-piperazinecarboxylate was condensed with 2-chloromethyl-1H-benzimidazole and the product condensed with Et 5-chloromethyl-2-furancarboxylate to give, after redn., title compd. II. Selected I had ED50 of 0.02-0.08 mg/kg for protection of rats from compd. 48/80-induced lethality (route of administration not given).
 IT 151856-33-6P 152072-74-7P
 R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, in prepn. of antiallergic agent)
 RN 151856-33-6 CAPLUS



RN 151856-05-2 CAPLUS
 CN 2-Furamethanol, 5-[[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



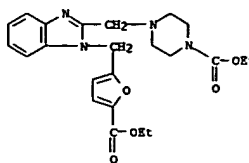
RN 151856-06-3 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 151856-10-9 CAPLUS
 CN 2-Furamethanol, 5-[[2-(1-piperazinylmethyl)-1H-benzimidazol-1-yl]methyl]-

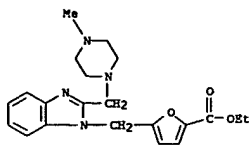
Habte

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1-Piperazinecarboxylic acid, 4-[[1-[[5-(ethoxycarbonyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



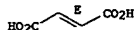
RN 152072-74-7 CAPLUS
 CN 2-Furancarboxylic acid, 5-[[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1
 CRN 152072-73-6
 CMF C21 H26 N4 O3



CH 2
 CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

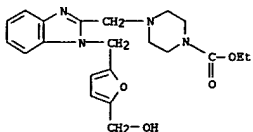


IT 151856-04-1P 151856-05-2P 151856-06-3P
 151856-10-9P 151856-11-0P 151856-13-2P
 151856-15-4P 151856-17-6P 151856-18-7P

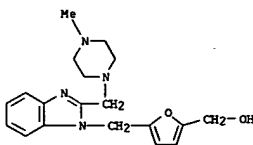
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

151856-23-4P 152072-24-7P 152072-33-8P
 152072-35-9P 152072-37-2P 152072-39-4P
 152072-41-8P 152072-43-0P 152072-45-2P
 152072-48-5P 152072-58-7P 152072-64-5P
 152072-70-3P 152072-72-5P
 R1: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antiallergic agent)

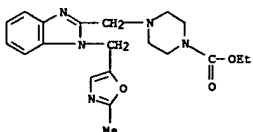
RN 151856-04-1 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 151856-05-2 CAPLUS
 CN 2-Furamethanol, 5-[[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

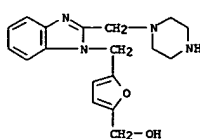


RN 151856-06-3 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

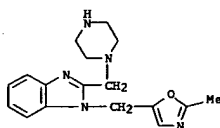


RN 151856-10-9 CAPLUS
 CN 2-Furamethanol, 5-[[2-(1-piperazinylmethyl)-1H-benzimidazol-1-yl]methyl]-

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

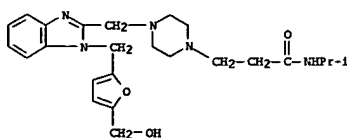


RN 151856-11-0 CAPLUS
 CN 1H-Benzimidazole, 1-[[2-methyl-5-oxazolyl)methyl]-2-(1-piperazinylmethyl)-, tetrahydrobromide (9CI) (CA INDEX NAME)



● 4 HB:

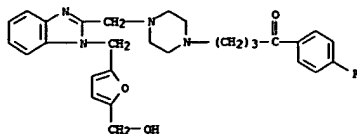
RN 151856-13-2 CAPLUS
 CN 1-Piperazinepropanamide, 4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



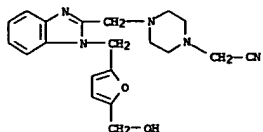
RN 151856-15-4 CAPLUS
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl)- (9CI) (CA INDEX NAME)

6/02/2003

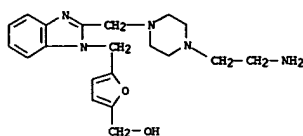
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 151856-17-6 CAPLUS
CN 1-Piperazineacetonitrile, 4-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 151856-18-7 CAPLUS
CN 2-Furanmethanol, 5-[[[2-[[4-(2-aminoethyl)-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



RN 151856-23-4 CAPLUS
CN 3-Furancarboxamide, N-[2-[4-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

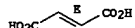
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4

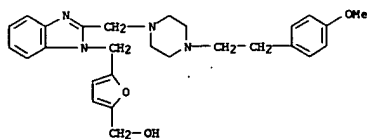
Double bond geometry as shown.



RN 152072-33-8 CAPLUS
CN 2-Furanmethanol, 5-[[[2-[[4-[2-(4-methoxyphenyl)ethyl]-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

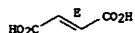
CRN 152072-32-7
CMF C27 H32 N4 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

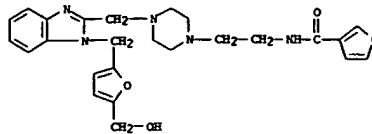
Double bond geometry as shown.



RN 152072-35-0 CAPLUS

Habte

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

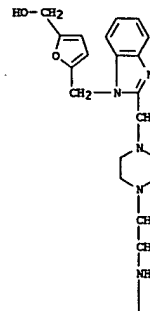


RN 152072-24-7 CAPLUS
CN 2-Furanmethanol, 5-[[[2-[[4-[2-(1H-imidazo[4,5-c]pyridin-2-ylamino)ethyl]-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, (2E)-2-butenedioate (1:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-23-6
CMF C26 H30 N8 O2

PAGE 1-A



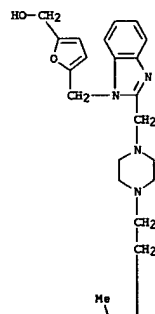
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-7-methyl-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

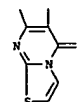
CM 1

CRN 152072-34-9
CMF C27 H30 N6 O3 S

PAGE 1-A



PAGE 2-A



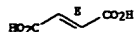
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

6/02/2003

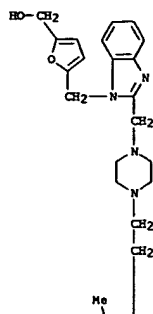
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



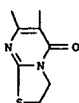
RN 152072-37-2 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-7-methyl-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-36-1
 CMF C27 H32 N6 O3 S

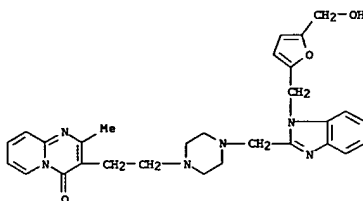


PAGE 1-A



PAGE 2-A

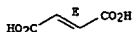
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CRN 152072-40-7
 CMF C29 H32 N6 O3



CM 2

CRN 110-17-8
 CMF C4 H4 O4

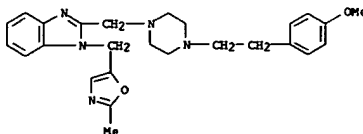
Double bond geometry as shown.



RN 152072-43-0 CAPLUS
 CN 1H-Benzimidazole, 2-[[[4-[[2-(4-methoxyphenyl)ethyl]-1-piperazinyl]methyl]-1-[[2-methyl-5-oxazolyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-42-9
 CMF C26 H31 N5 O2



CM 2

CRN 110-17-8
 CMF C4 H4 O4

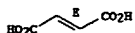
Habe

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 110-17-8
 CMF C4 H4 O4

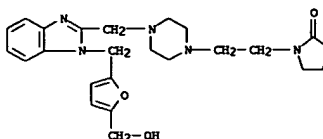
Double bond geometry as shown.



RN 152072-39-4 CAPLUS
 CN 2-Oxazolidinone, 3-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

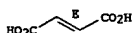
CRN 152072-38-3
 CMF C23 H29 N5 O4



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

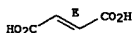


RN 152072-41-8 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-2-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

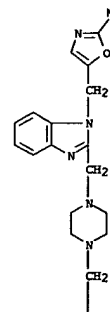
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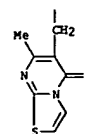
RN 152072-45-2 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[[[1-[[2-methyl-5-oxazolyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-44-1
 CMF C26 H29 N7 O2 S



PAGE 1-A



PAGE 2-A

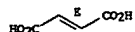
6/02/2003

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

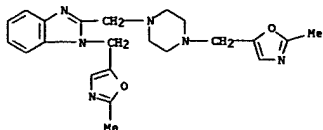
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 152072-48-5 CAPLUS
CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[[4-[(2-methyl-5-oxazolyl)methyl]-1-piperazinyl]methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

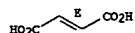
CM 1

CRN 152072-47-4
CMF C22 H26 N6 O2

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

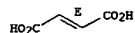


RN 152072-58-7 CAPLUS
CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[[4-methyl-1-piperazinyl]methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

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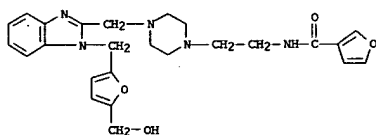
CRN 152072-57-6
CMF C18 H23 N5 O

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 152072-70-3 CAPLUS
CN 3-Furancarboxamide, N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

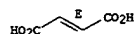
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CRN 151856-23-4
CMF C25 H29 N5 O4

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

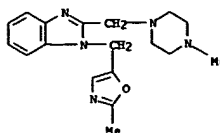


RN 152072-72-5 CAPLUS
CN Benzamide, 2-amino-N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-71-4
CMF C27 H32 N6 O3

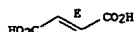
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

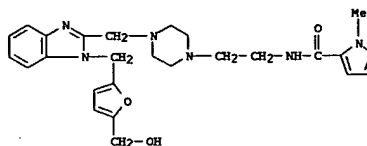
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 152072-64-5 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperazinyl]ethyl]-1-methyl-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

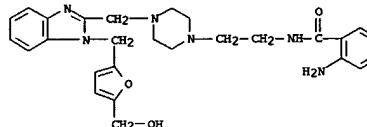
CRN 152072-63-4
CMF C26 H32 N6 O3

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

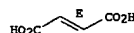
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



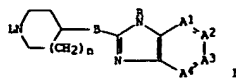
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1992:531195 CAPLUS
 DOCUMENT NUMBER: 117:131195
 TITLE: Preparation of substituted thiazoles and other heterocyclic derivatives
 INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; Diels, Gaston Stanislas Marcell
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9201697	A1	19920206	WO 1991-EP1292	19910709
V: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9182093	A1	19920218	AU 1991-82093	19910709
AU 646280	B2	19940217		
EP 539420	A1	19930505	EP 1991-912667	19910709
EP 539420	B1	20000105		
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HU 64066	A2	19931129	HU 1993-96	19910709
JP 05508638	T2	19931202	JP 1991-511645	19910709
JP 3085707	B2	20000911		
RU 2067978	C1	19961020	RU 1992-16595	19910709
PL 170580	B1	19970131	PL 1991-297612	19910709
AT 188477	E	20000115	AT 1991-912667	19910709
ES 2142802	T3	20000501	ES 1991-912667	19910709
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NO 9300139	A	19930115	NO 1993-139	19930115
US 5360807	A	19941101	US 1994-197579	19940217

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 117:131195
 G1

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB Title compds. 1 (A1:A2A3:A4 = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N, N:CHCH:CH, CH:NCH:N wherein 1 or 2 H may each be replaced by halo, C1-6 alkyl, C1-6 alkoxy, HO, F3C; B = R1N, CH2, O, SO, SO2 wherein R1 = H, C1-4 alkyl; R = C1-6-alkyl-thiazolyl-C1-4 alkanediyl, -pyridyl-C1-4-alkanediyl; L = H, C1-12 alkyl, C3-6 cycloalkyl, etc.; n = 0-2), a salt or stereoisomer thereof, useful as antiallergics, are prepd. A mixt. of 1 [L = H, B = NH, R = (2-methyl-4-thiazolyl)methyl, A1-A4 = CH:CHCH:CH, n = 1]. 3HCl (prepn. given), polymers, AcOH and MeOH was hydrogenated at normal pressure and at 50.degree. with Pd/C catalyst to give 1 [L = Me, B = NH, R = (2-methyl-4-thiazolyl)methyl, A1-A4 = CH:CHCH:CH, n = 1]. ethanedioate (1:1) (II). II showed antiallergic and antihistaminic properties in rats with ED50 value of 0.04 mg/kg in the test "protection of rats from compd. 48/80-induced lethality" (US Patent 4,556,660).

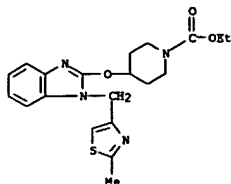
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L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

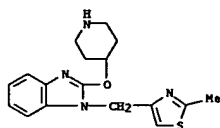
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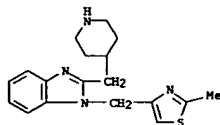
RN 141841-14-7 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 141841-15-8 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-4-thiazolyl)methyl]-2-(4-piperidinyl)oxy- (9CI) (CA INDEX NAME)



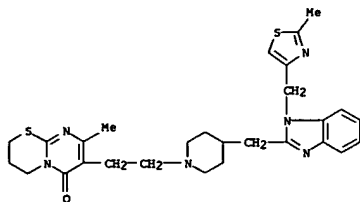
RN 141841-16-9 CAPLUS
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-{4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-1-piperidinyl]ethyl}- (9CI) (CA INDEX NAME)



RN 141841-21-6 CAPLUS
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-{4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-1-piperidinyl]ethyl}-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

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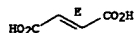
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CM 2

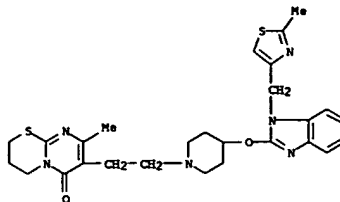
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

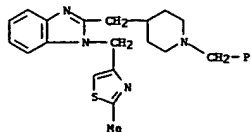


RN 141841-22-7 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-{4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-1-piperidinyl]ethyl}- (9CI) (CA INDEX NAME)

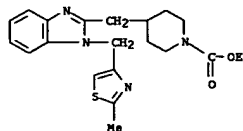
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141841-17-0 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-4-thiazolyl)methyl]-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



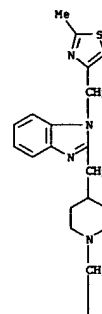
RN 141841-18-1 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



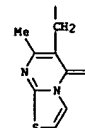
RN 141841-19-2 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-4-thiazolyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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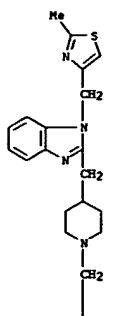
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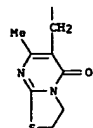
RN 141841-23-8 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-{4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-1-piperidinyl]ethyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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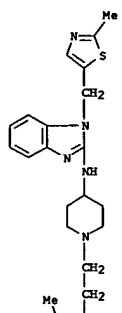
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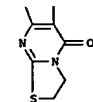
RN 141841-24-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[4-[[1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

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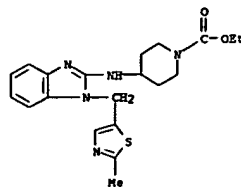


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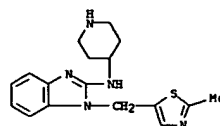


RN 141841-28-3 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[4-[[1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

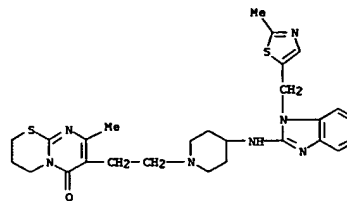
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141841-25-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-thiazolyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)



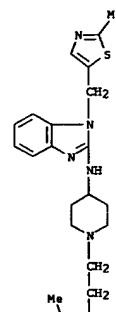
RN 141841-26-1 CAPLUS
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-[4-[[1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)



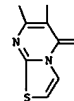
RN 141841-27-2 CAPLUS

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

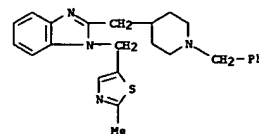
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RN 141841-29-4 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-5-thiazolyl)methyl]-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

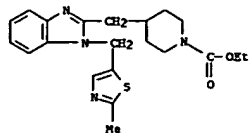


RN 141841-30-7 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

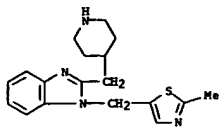
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L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



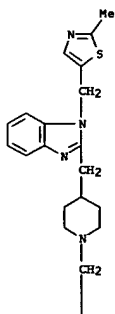
RN 141841-31-8 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-5-thiazolyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



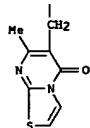
RN 141841-32-9 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-{4-[[1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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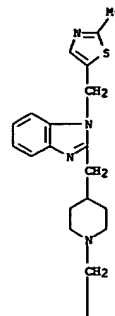
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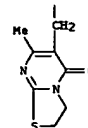
RN 141841-34-1 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-{4-[[1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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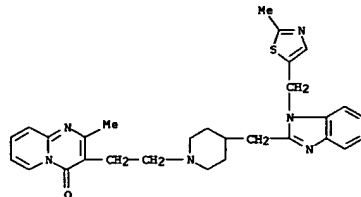


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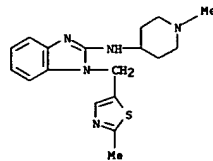


RN 141841-33-0 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-{4-[[1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



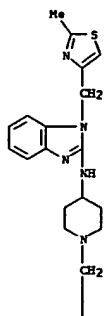
RN 141841-35-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-4-piperidinyl)-1-[(2-methyl-5-thiazolyl)methyl]- (9CI) (CA INDEX NAME)



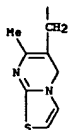
RN 141865-74-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(7-methyl-5H-thiazolo[3,2-a]pyrimidin-6-yl)ethyl]-4-piperidinyl]-1-[(2-methyl-4-thiazolyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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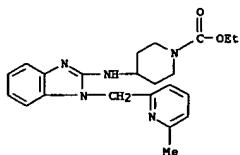
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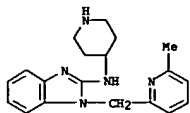
RN 141865-75-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(3,4-dihydro-8-methyl-2H,6H-pyrimido[2,1-b][1,3]thiazin-7-yl)ethyl]-4-piperidinyl]-1-[(2-methyl-4-thiazolyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

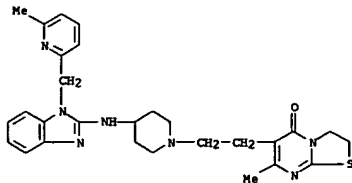
RN 141891-47-6 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 141891-48-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(6-methyl-2-pyridinyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 141891-49-8 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[4-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

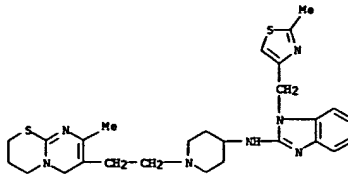


RN 141891-51-2 CAPLUS
 CN 1H-Benzimidazole, 1-[[[(6-methyl-2-pyridinyl)methyl]-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

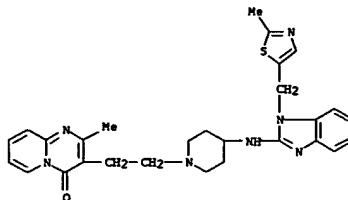
CM 1

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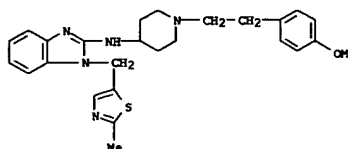
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141865-76-1 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[[1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

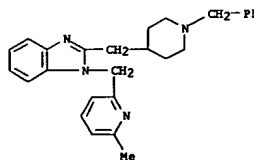


RN 141891-46-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-[(2-methyl-5-thiazolyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 141891-50-1
 CMF C27 H30 N4

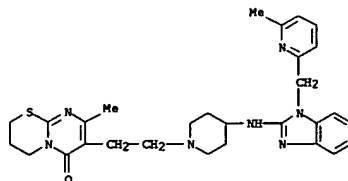


CM 2

CRN 144-62-7
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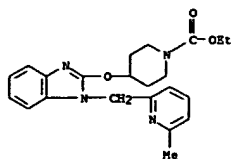
RN 141891-52-3 CAPLUS
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-[4-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



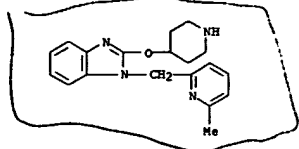
RN 141891-53-4 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

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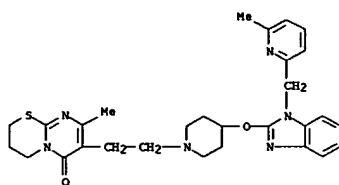
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141891-54-5 CAPLUS
CN 1H-Benzimidazole, 1-[(6-methyl-2-pyridinyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 141891-55-6 CAPLUS
CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-[[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]oxy]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



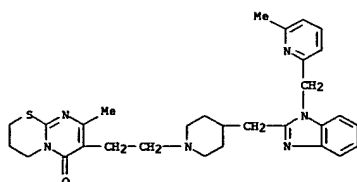
RN 141891-56-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-[[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

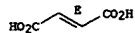
CRN 141891-59-0
CMF C30 H36 N6 O 5



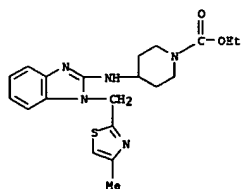
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



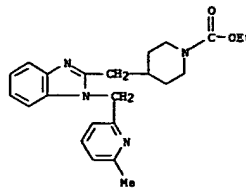
RN 141891-61-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



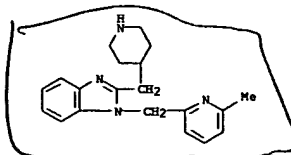
RN 141891-62-5 CAPLUS
CN 1H-Benzimidazole, 1-[(4-methyl-2-thiazolyl)methyl]-N-4-piperidinyl-

Habe

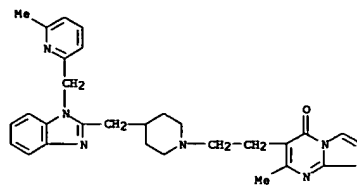
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141891-57-8 CAPLUS
CN 1H-Benzimidazole, 1-[(6-methyl-2-pyridinyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

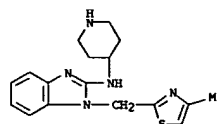


RN 141891-58-9 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



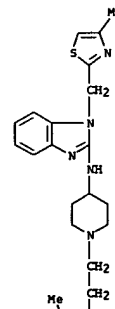
RN 141891-60-3 CAPLUS

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

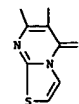


RN 141891-64-7 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[[[1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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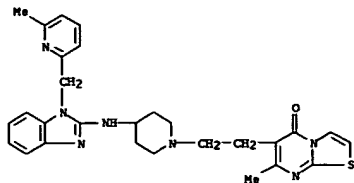


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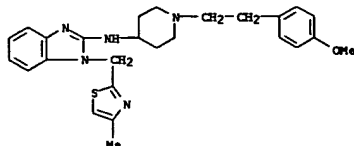


6/02/2003

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 141891-65-8 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-({[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino}-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 141891-66-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-[(4-methyl-2-thiazolyl)methyl]- (9CI) (CA INDEX NAME)

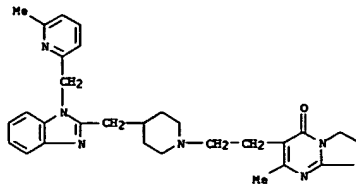


RN 141913-80-6 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-4-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 141913-79-3
 CMF C29 H34 N6 O 5

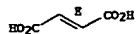
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 110-17-8
 CMF C4 H4 O4

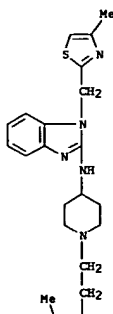
Double bond geometry as shown.



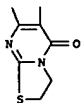
RN 141913-81-7 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-4-[[1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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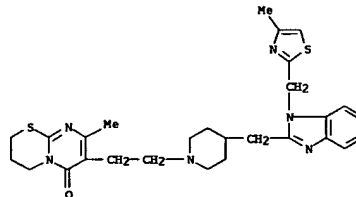


PAGE 2-A

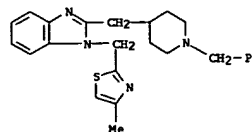


RN 141913-82-8 CAPLUS
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-4-[[1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

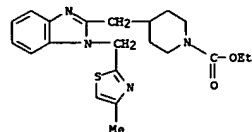
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141913-83-9 CAPLUS
 CN 1H-Benzimidazole, 1-[(4-methyl-2-thiazolyl)methyl]-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

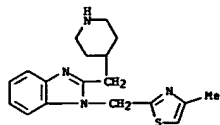


RN 141913-84-0 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



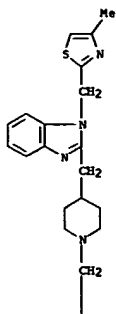
RN 141913-85-1 CAPLUS
 CN 1H-Benzimidazole, 1-[(4-methyl-2-thiazolyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



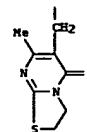
RN 141913-86-2 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[4-[[1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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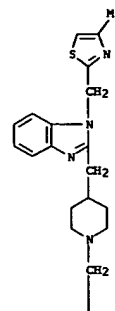


RN 141913-88-4 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[4-[[1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

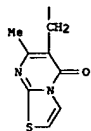
CRN 141913-87-3
 CMF C27 H30 N6 O S2

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L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

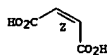
PAGE 2-A



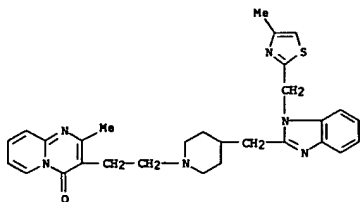
CM 2

CRN 110-16-7
 CMF C4 H4 O4

Double bond geometry as shown.



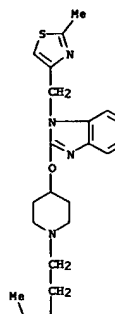
RN 141913-89-5 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[[1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



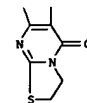
RN 141927-65-3 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]oxyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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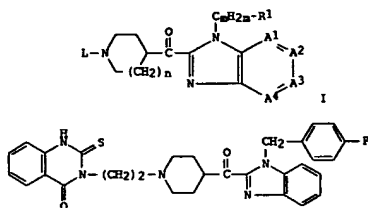


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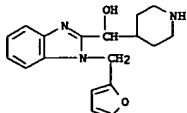
L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1992:511638 CAPLUS
 DOCUMENT NUMBER: 117:111638
 TITLE: Preparation of piperidinyl benzimidazolyl ketones and related compounds as antihistaminics
 INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas
 PATENT ASSIGNEE(S): Marcell, Sommen, Francois Maria
 SOURCE: Janssen Pharmaceutica N. V., Belg.
 PCT Int. Appl., 51 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
VO 9206086	A1	19920416	VO 1991-EP1782	19910917
V: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9185067	A1	19920428	AU 1991-85067	19910917
PRIORITY APPLN. INFO.:			US 1990-590716	19901001
			WO 1991-EP1782	19910917
OTHER SOURCE(S):		MARPAT 117:111638		
G1				



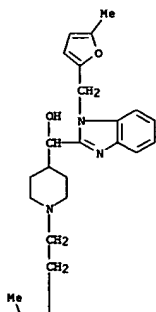
AB The title compds. [I; A1:A2A3:A4 = (un)substituted CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, etc.; m = 1-4; n = 0-2; R1 = aryl, DR2; D = O, S; R2 = (un)substituted C1-6 alkyl; L = H, C1-12 alkyl(carbonyl), C3-6 cycloalkyl, (aryl)C3-6 alkenyl, Alk-R3, Alk-VR4, etc.; R3 = cyano, aryl, heterocyclyl; R4 = H, aryl, heterocyclyl, (un)substituted C1-6 alkyl; Alk = C1-6 alkylene; Y = O, S, NR7; R7 = H, C1-6 alkyl(carbonyl)] or their stereoisomers and pharmaceutically acceptable acid addn. salts, effective antihistaminics (no data) useful in the treatment of, e.g., allergic rhinitis, conjunctivitis, asthma, and chronic urticaria, were prepd. A

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

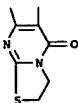


RN 142850-72-4 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[4-(hydroxy[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

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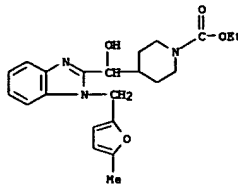
PAGE 2-A



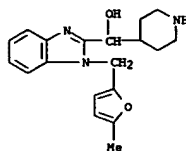
RN 142850-73-5 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(hydroxy[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

Habte

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 soln. of 2-MeO2CCG6H4NCS in THF was added dropwise to a stirred mixt. of 1-(2-aminoethyl)-4-piperidinyl 1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl ketone (prepn. given) and THF and the whole stirred for 2 h at the ambient temp. to give title compd. II.
 IT 142850-47-3P 142850-49-5P 142850-51-9P
 142850-72-4P 142850-73-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, in prepn. of antihistaminics)
 RN 142850-47-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[hydroxy[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



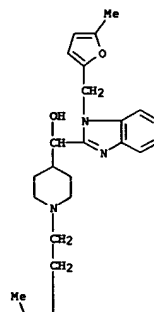
RN 142850-49-5 CAPLUS
 CN 1H-Benzimidazole-2-methanol, 1-[(5-methyl-2-furanyl)methyl]-.alpha.-4-piperidinyl- (9CI) (CA INDEX NAME)



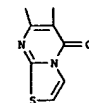
RN 142850-51-9 CAPLUS
 CN 1H-Benzimidazole-2-methanol, 1-(2-furanylmethyl)-.alpha.-4-piperidinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

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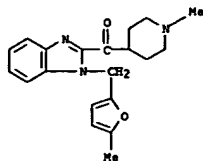
PAGE 2-A



IT 142849-91-0P 142849-92-1P 142849-94-3P
 142850-15-5P 142850-24-6P 142850-30-4P
 142850-35-9P 142850-39-3P 142850-41-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antihistaminic)
 RN 142849-91-0 CAPLUS
 CN Methanone, [1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl](1-methyl-4-piperidinyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)
 CM 1
 CRN 142849-90-9
 CMF C20 H23 N3 O2

6/02/2003

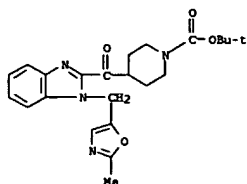
L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 142849-92-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

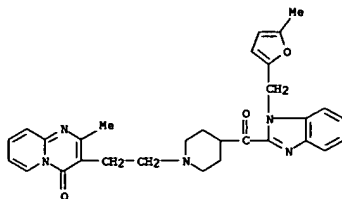


RN 142849-93-2 CAPLUS
CN Methanone, [1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-4-piperidinyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 142849-93-2
CMF C18 H20 N4 O2

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

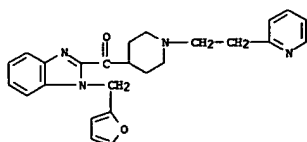


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 142850-30-4 CAPLUS
CN Methanone, [1-(2-furanylmethyl)-1H-benzimidazol-2-yl][1-[2-(2-pyridinyl)ethyl]-4-piperidinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

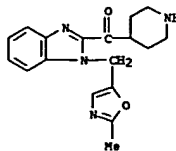
CRN 142850-29-1
CMF C25 H26 N4 O2

CM 2

CRN 144-62-7
CMF C2 H2 O4

Habe

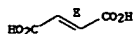
L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



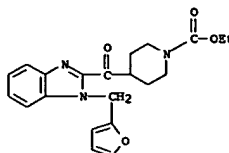
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 142850-15-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 142850-24-6 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

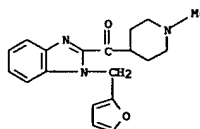
CRN 142850-23-5
CMF C30 H31 N5 O3

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 142850-35-9 CAPLUS
CN Methanone, [1-(2-furanylmethyl)-1H-benzimidazol-2-yl][1-methyl-4-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 142850-34-8
CMF C19 H21 N3 O2

CM 2

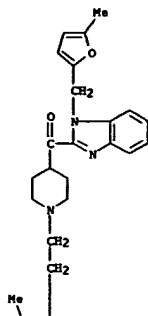
CRN 144-62-7
CMF C2 H2 O4

RN 142850-39-3 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

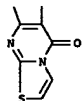
6/02/2003

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

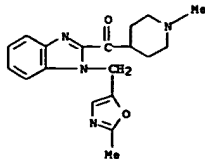


PAGE 2-A



RN 142850-41-7 CAPLUS
CN Methanone, [1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl](1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

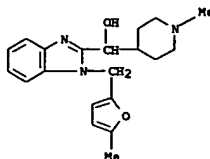
L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



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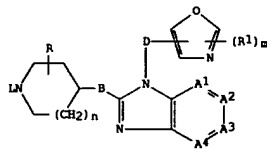
IT 142851-05-6
RL: RCT (Reactant); RACT (Reactant or reagent)
    (reaction of, in prepn. of antihistaminics)
RN 142851-05-6 CAPLWS
CN 1H-Benzimidazole-2-methanol, 1-[(5-methyl-2-furanyl)methyl]-.alpha.-(1-
    methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:255611 CAPLUS
DOCUMENT NUMBER: 116:255611
TITLE: Preparation of oxazolyl derivatives
INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria;
Dierckx, Ann Christina Joannes; Coymans, Ludwig Paul
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXX02
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB Title compds. I [A]-A = CH₃-CH=CH-CH; N = N-CH=CH-CH; CH₃NH-CH=CH; CH₃CN-CH=CH;
CH₃CH=N; N-CH=CH; CH₃CH=N; where in 1 or 2 H may be replaced by halo,
C1-6 alkyl, C1-6 alkoxy, HO, F3C, R = H, C1-4 alkyl; R1 = H, C1-6 alkyl,
C1-6-8-alkyl; D = C1-4 alkanedioyl, B = RZN where RZ = H, C1-4 alkyl,
H2C, O, S, SO, SO2; L = H, C1-12 alkyl, C3-6 cycloalkyl, (aryl) C3-6
alkenyl, C1-6 alkylcarbonyl, C1-6 alkoxy carbonyl, arylylcarbonyl, etc. n =
1,2; n = 0-2), stereoisomer or salt thereof, useful as antiallergic (no
analogs). 2-methyl-4-(4-hydroxycyclohexyloxy)-2-methoxy-N,N-dimethyl-
4-[(1*H*-benzimidazol-2-yl)amino]N,1-piperidinedecarboxylate, Na2CO3 and DMF
were stirred for 18 h at 80 degree, to give after work-up I [A]-A =
CH₃-CH=CH-CH; R = H, (R1)a = 2-Me, D = H2C, B = NH, L = EtO2C, n = 1].

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WO 9201687	A1	19920206	WO 1991-EP1291	19910709
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RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN,				
GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
US 5217980	A	19930806	US 1991-723862	19910701
AU 3114141	A1	19920518	AU 1991-82141	19910709
AE 644202	B2	19931202		
EP 539421	A1	19930505	EP 1991-912700	19910709
EP 539421	B1	19980923		
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JP 05508829	T2	19931209	JP 1991-511644	19910709
JP 7307951	B2	20000731		
HU 64340	A2	19931228	HU 1993-97	19910709
RU 2056536	C1	19960510	RU 1992-16667	19910709
PL 169361	B1	19960731	PL 1991-297611	19910709
RO 111768	B1	19970130	RO 1946-93000	19910709
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ES 2121784	T3	19981216	ES 1991-912700	19910709
IL 98864	A1	19951208	IL 1991-98864	19910717
ZA 1905653	A	19930331	ZA 1991-5653	19910718
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SK 278133	B6	19960207	SK 1991-2240	19910718
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NO 9300156	A	19930118	NO 1993-156	19930118
US 5278165	A	19940111	US 1993-35854	19930323
PRIORITY APPLN. INFO.:		US 1990-554326	A	19900719
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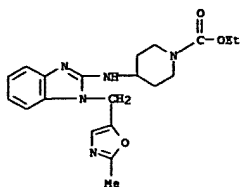
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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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141591-84-6P 141591-85-7P 141591-87-9P
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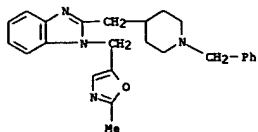
RN 141567-55-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 141567-57-9 CAPLUS

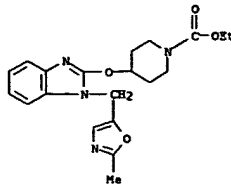
CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[[1-(phenylmethyl)-4-piperidiny]methyl]- (9CI) (CA INDEX NAME)



RN 141567-60-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



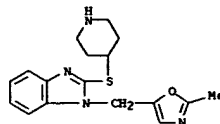
RN 141567-63-7 CAPLUS

CN Cyclohexanesulfonic acid, compd. with 1-[(2-methyl-5-oxazolyl)methyl]-2-(4-piperidinythio)-1H-benzimidazole (2:1) (9CI) (CA INDEX NAME)

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CRN 141567-62-6

CHF C17 H20 N4 O 5



CH 2

CRN 6922-46-9

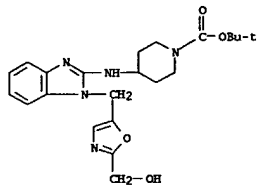
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RN 141567-64-8 CAPLUS

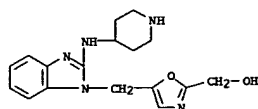
CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-(hydroxymethyl)-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141567-65-9 CAPLUS

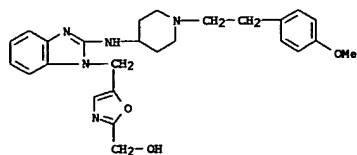
CN 2-Oxazolemethanol, 5-[[2-(4-piperidinylamino)-1H-benzimidazol-1-yl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 141567-66-0 CAPLUS

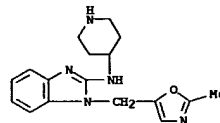
CN 2-Oxazolemethanol, 5-[[2-[[1-[(2-(4-methoxyphenyl)ethyl)-4-piperidiny]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



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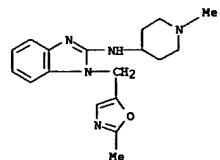
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-4-piperidiny- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141567-68-2 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidiny)- (9CI) (CA INDEX NAME)

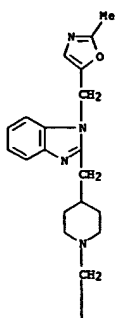


RN 141567-70-6 CAPLUS

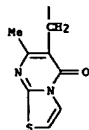
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidiny]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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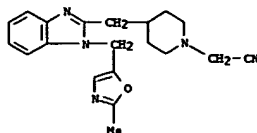


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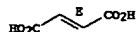
RN 141567-72-8 CAPLUS
CN 1-Piperidineacetonitrile, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
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CRN 141567-71-7
CMF C20 H23 N5 O

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

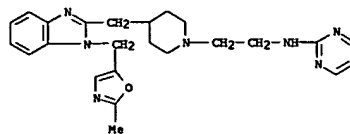


CM 2
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 141567-74-0 CAPLUS
CN 2-Pyridinidinamine, N-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinylethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)
CM 1
CRN 141567-73-9
CMF C24 H29 N7 O

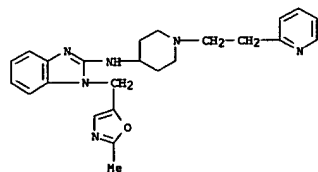


CM 2
CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

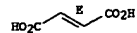


RN 141567-76-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(2-(2-pyridinyl)ethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
CM 1
CRN 141567-75-1
CMF C24 H28 N6 O



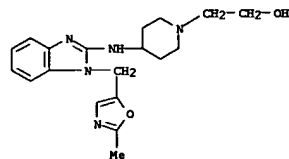
CM 2
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



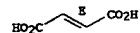
RN 141567-78-4 CAPLUS
CN 1-Piperidineethanol, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-, (2E)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)
CM 1
CRN 141567-77-3
CMF C19 H25 N5 O2

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

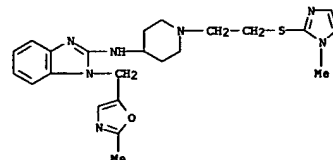


CM 2
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 141567-81-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-[(1-methyl-1H-imidazol-2-yl)thio]ethyl]-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)
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CMF C23 H29 N7 O S

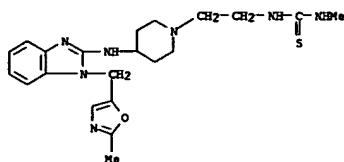


CM 2
CRN 144-62-7
CMF C2 H2 O4

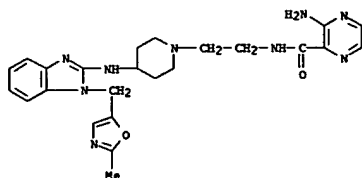
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141567-82-0 CAPLUS
 CN Thiourea, N-methyl-N'-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

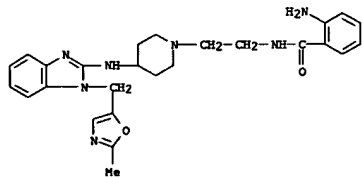


RN 141567-83-1 CAPLUS
 CN Pyrazinecarboxamide, 3-amino-N-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 141567-84-2 CAPLUS
 CN 1H-Indole-2-carboxamide, 1-methyl-N-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

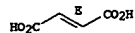
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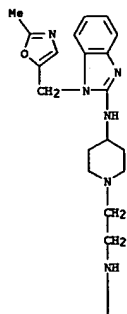
CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 141567-89-7 CAPLUS
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

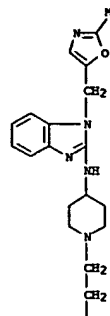


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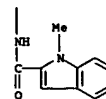
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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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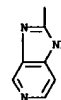
RN 141567-86-4 CAPLUS
 CN Benzanide, 2-amino-N-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

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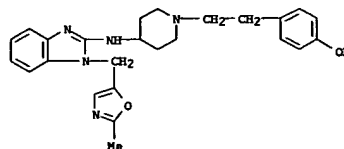
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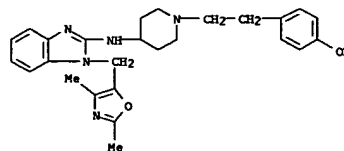
PAGE 2-A



RN 141567-90-0 CAPLUS
 CN Phenol, 4-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 141567-91-1 CAPLUS
 CN Phenol, 4-[2-{4-[[1-[(2,4-dimethyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, trihydrobromide (9CI) (CA INDEX NAME)



● 3 HBr

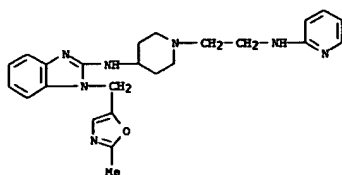
RN 141567-93-3 CAPLUS
 CN Cyclohexanesulfonic acid, compd. with 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-[2-(2-pyridinylamino)ethyl]-4-piperidinyl]-1H-benzimidazol-2-amine (2:1) (9CI) (CA INDEX NAME)

CM 1

6/02/2003

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 141567-92-2
CMF C24 H29 N7 O



CM 2

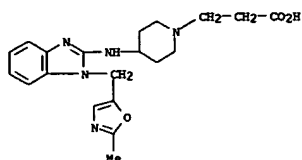
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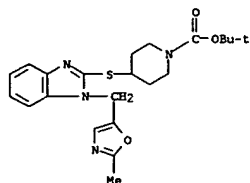
RN 141567-95-5 CAPLUS
CN 1-Piperidinepropanoic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-, dicyclohexanesulfonate (9CI) (CA INDEX NAME)

CM 1

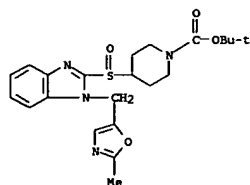
CRN 141567-94-4
CMF C20 H25 N5 O3



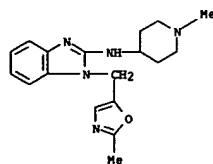
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141567-99-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]sulfinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 141568-00-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

Habte

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

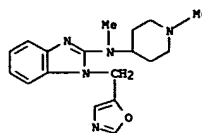
CRN 6922-46-9
CMF C6 H12 O3 S



RN 141567-97-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-methyl-N-(1-methyl-4-piperidinyl)-1-(5-oxazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 141567-96-6
CMF C18 H23 N5 O



CM 2

CRN 144-62-7
CMF C2 H2 O4



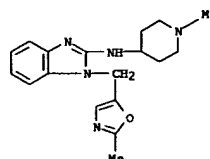
RN 141567-98-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141568-01-6 CAPLUS
CN Cyclohexanesulfonic acid, compd. with 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-1H-benzimidazol-2-amine (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 141567-68-2
CMF C18 H23 N5 O



CM 2

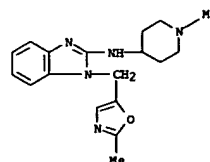
CRN 6922-46-9
CMF C6 H12 O3 S



RN 141568-02-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:2) (9CI) (CA INDEX NAME)

CM 1

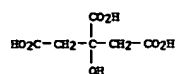
CRN 141567-68-2
CMF C18 H23 N5 O



6/02/2003

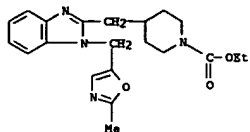
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN 2

CRN 77-92-9
CMF C6 H8 O7

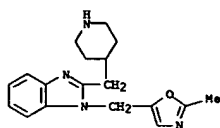
RN 141568-03-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-([1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 141568-08-3 CAPLUS

CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

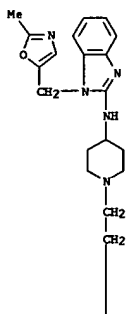


RN 141568-09-4 CAPLUS

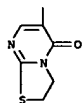
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-{4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 2-A

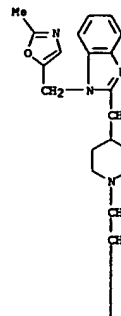


RN 141568-11-8 CAPLUS

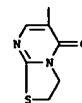
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-{4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



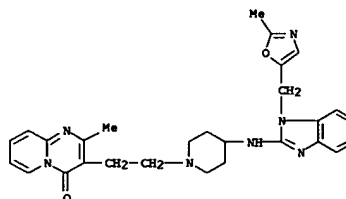
PAGE 2-A



RN 141568-10-7 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-{4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)

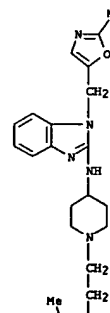
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-12-9 CAPLUS

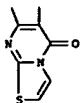
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-{4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

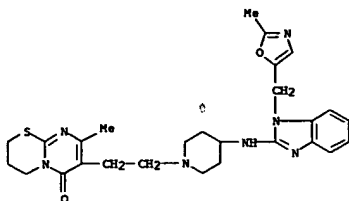
PAGE 2-A



RN 141568-14-1 CAPLUS
CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

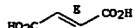
CRN 141568-13-0
CMF C27 H33 N7 O2 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



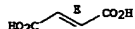
RN 141568-15-2 CAPLUS
CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 110-17-8
CMF C4 H4 O4

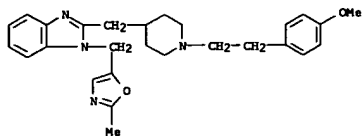
Double bond geometry as shown.



RN 141568-20-9 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-[(2-methyl-5-oxazolyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 141568-19-6
CMF C27 H32 N4 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



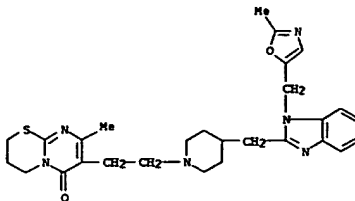
RN 141568-22-1 CAPLUS
CN 2-Oxazolidinone, 3-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 141568-21-0
CMF C22 H28 N6 O3

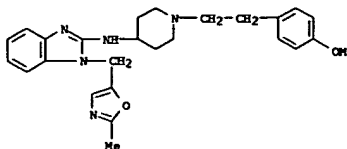
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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-16-3 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)

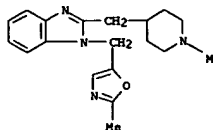


RN 141568-18-5 CAPLUS

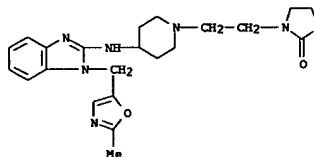
CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[[1-methyl-4-piperidinyl]methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 141568-17-4
CMF C19 H24 N4 O



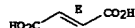
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

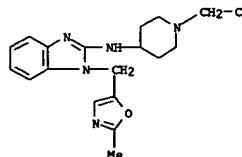
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 141568-23-2 CAPLUS

CN 1-Piperidineacetonitrile, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 141568-25-4 CAPLUS

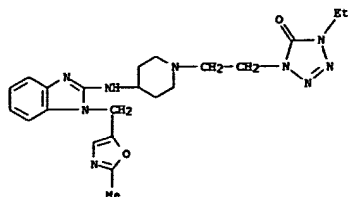
CN 5H-Tetrazol-5-one, 1-ethyl-1,4-dihydro-4-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 141568-24-3
CMF C22 H29 N9 O2

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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



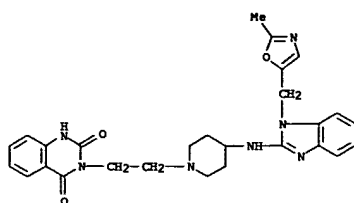
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



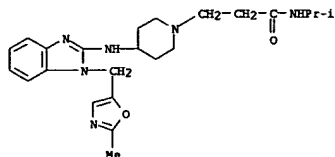
RN 141568-26-5 CAPLUS
CN 2,4(1H,3H)-Quinazolin-2-one, 3-[2-{4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 141568-27-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(3-phenyl-2-propenyl)-4-piperidinyl]-, (E)- (9CI) (CA INDEX NAME)

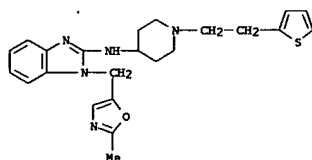
Double bond geometry as shown.

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-32-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(2-(2-thienyl)ethyl)-4-piperidinyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

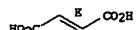
CM 1

CRN 141568-31-2
CMF C23 H27 N5 O 5

CM 2

CRN 110-17-8
CMF C4 H4 O4

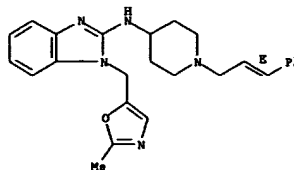
Double bond geometry as shown.



RN 141568-33-4 CAPLUS
CN 1-Piperidine-methanol, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-.alpha.-(phenoxy-methyl)- (9CI) (CA INDEX NAME)

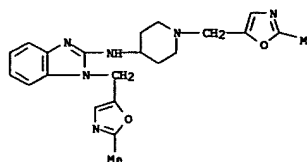
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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-29-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

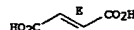
CM 1

CRN 141568-28-7
CMF C22 H26 N6 O2

CM 2

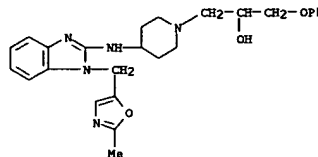
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



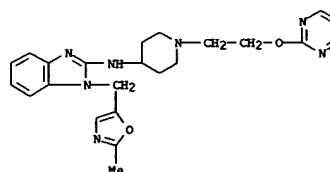
RN 141568-30-1 CAPLUS
CN 1-Piperidinepropanamide, N-(1-methylethyl)-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-35-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(2-(2-pyrimidinyl)oxy)ethyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

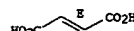
CM 1

CRN 141568-34-5
CMF C23 H27 N7 O2

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



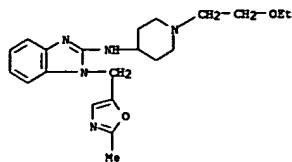
RN 141568-37-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-ethoxyethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

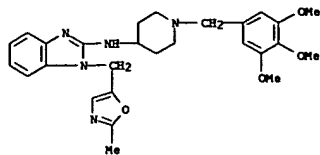
CRN 141568-36-7
CMF C21 H29 N5 O2

6/02/2003

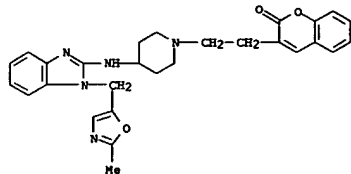
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4RN 141568-38-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[(1-cyclohexyl-4-piperidinyl)-1-[(2-methyl-5-oxazolyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)RN 141568-39-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-(1-cyclohexyl-4-piperidinyl)-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)

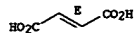
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



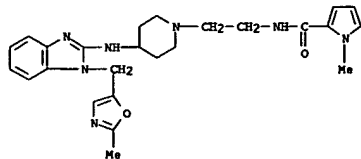
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

RN 141568-44-7 CAPLUS
CN 1H-Pyrrole-2-carboxamide, 1-methyl-N-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

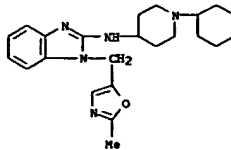
CRN 141568-43-6
CMF C25 H31 N7 O2

CM 2

CRN 144-62-7
CMF C2 H2 O4

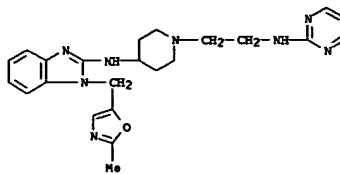
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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-40-3 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[(1-cyclohexyl-4-piperidinyl)-1-[(2-methyl-5-oxazolyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 141568-42-5 CAPLUS

CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

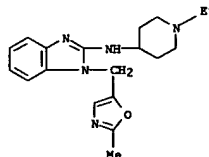
CRN 141568-41-4
CMF C28 H29 N5 O3

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



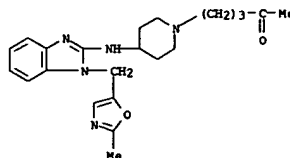
RN 141568-45-8 CAPLUS

CN 1H-Benzimidazol-2-amine, N-(1-ethyl-4-piperidinyl)-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 141568-46-9 CAPLUS

CN 2-Pentanone, 5-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 141568-47-0 CAPLUS

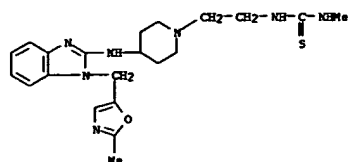
CN Cyclohexanesulfonic acid, compd. with N-methyl-N'-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]thiourea (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 141567-82-0
CMF C21 H29 N7 O S

6/02/2003

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CN 2

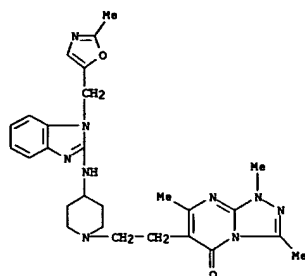
CRN 6922-46-9

CMF C6 H12 O3 S

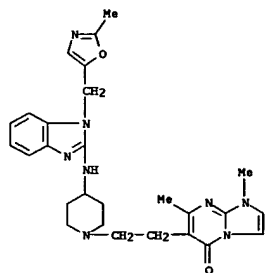


RN 141568-48-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrimidin-5(1H)-one, 1,3,7-trimethyl-6-[2-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

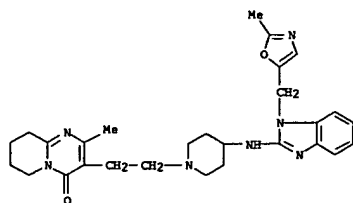


L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-51-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



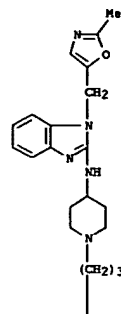
RN 141568-52-7 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[3-(4-fluorophenoxy)propyl]-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)

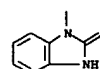
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141568-49-2 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[3-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



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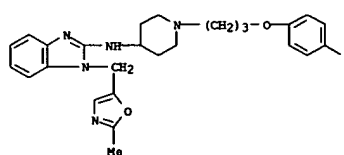


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RN 141568-50-5 CAPLUS

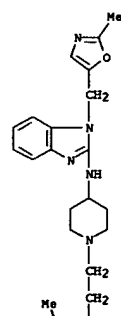
CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 1,7-dimethyl-6-[2-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-53-8 CAPLUS

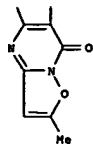
CN 7H-Isowaxolo[2,3-a]pyrimidin-7-one, 2,5-dimethyl-6-[2-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

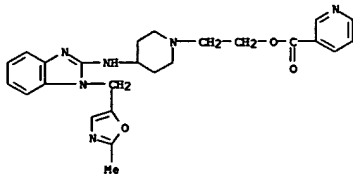
PAGE 2-A



RN 141568-55-0 CAPLUS
 CN 3-Pyridinecarboxylic acid, 2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl ester, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 141568-54-9
 CMF C25 H28 N6 O3



CM 2

CRN 144-62-7
 CMF C2 H2 O4



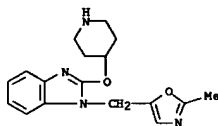
RN 141568-56-1 CAPLUS
 CN 4(3H)-Pyrimidinone, 2-amino-3,6-dimethyl-5-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141568-60-7 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-(4-piperidinyl)oxy-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 141568-59-4
 CMF C17 H20 N4 O2



CM 2

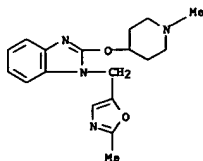
CRN 144-62-7
 CMF C2 H2 O4



RN 141568-62-9 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(1-methyl-4-piperidinyl)oxy]-, (2S)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

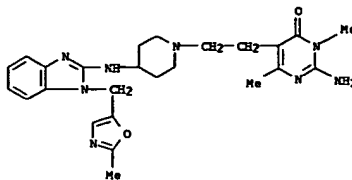
CRN 141568-61-8
 CMF C18 H22 N4 O2



CM 2

Habe

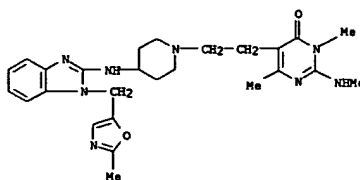
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-58-3 CAPLUS
 CN 4(3H)-Pyrimidinone, 3,6-dimethyl-2-(methylamino)-5-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

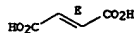
CRN 141568-57-2
 CMF C26 H34 N8 O2



CM 2

CRN 110-17-8
 CMF C4 H4 O4

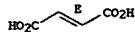
Double bond geometry as shown.



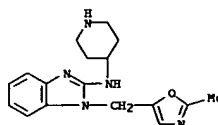
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141568-63-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-4-piperidinyl-, trihydrobromide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

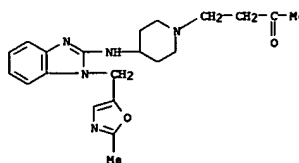


RN 141568-63-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-4-piperidinyl-, trihydrobromide (9CI) (CA INDEX NAME)



● 3 HBr

RN 141568-64-1 CAPLUS
 CN 2-Butanone, 4-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-, trihydrobromide (9CI) (CA INDEX NAME)

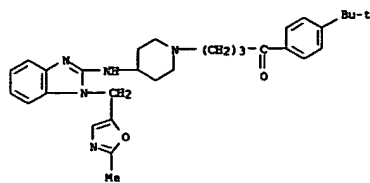


● 3 HBr

RN 141568-65-2 CAPLUS
 CN 1-Butanone, 1-[4-[(1,1-dimethylethyl)phenyl]-4-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

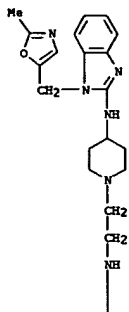


RN 141568-67-4 CAPLUS
 CN 1H-Purin-6-amine, N-[2-[[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

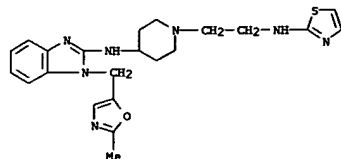
CM 1

CRN 141568-66-3
 CMF C24 H28 N10 O

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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

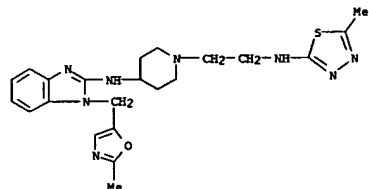


CM 2

CRN 6922-46-9
 CMF C6 H12 O3 S



RN 141568-71-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-[2-[(5-methyl-1,3,4-thiadiazol-2-yl)amino]ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 141568-73-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(1-methylethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)

Hatte

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

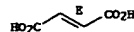
PAGE 2-A



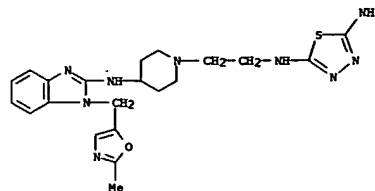
CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 141568-68-5 CAPLUS
 CN 1,3,4-Thiadiazole-2,5-diamine, N-[2-[[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (9CI) (CA INDEX NAME)

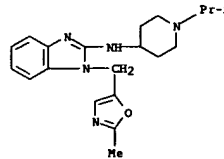


RN 141568-70-9 CAPLUS
 CN Cyclohexanesulfonic acid, compd. with 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-[2-[(2-thiazolylamino)ethyl]-4-piperidinyl]-1H-benzimidazol-2-amine (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 141568-69-6
 CMF C22 H27 N7 O S

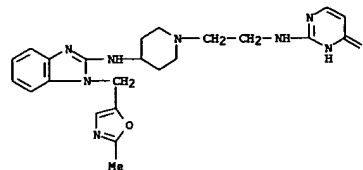
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-75-4 CAPLUS
 CN 4(1H)-Pyrimidinone, 2-[[[2-[[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]amino]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

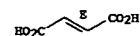
CRN 141568-74-3
 CMF C23 H28 N8 O2



CM 2

CRN 110-17-8
 CMF C4 H4 O4

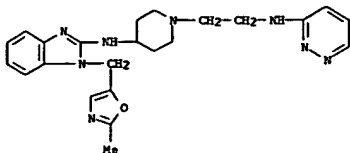
Double bond geometry as shown.



RN 141568-76-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-[2-[(3-pyridazinylamino)ethyl]-4-piperidinyl]-, (9CI) (CA INDEX NAME)

6/02/2003

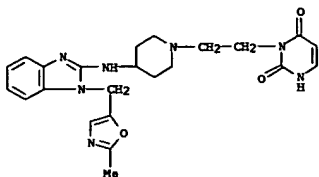
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-78-7 CAPLUS
 CN 2,4-bis(1H,3H)-Pyrimidinone, 3-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

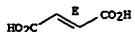
CRN 141568-77-6
 CMF C23 E27 N7 O3



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



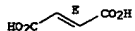
RN 141568-80-1 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-(4-piperidinylsulfanyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

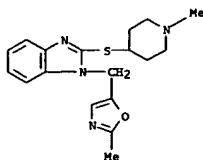
CM 2

CRN 110-17-8
 CMF C4 H4 O4

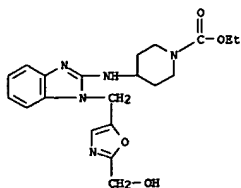
Double bond geometry as shown.



RN 141568-83-4 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



RN 141569-17-7 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[[[2-(hydroxymethyl)-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

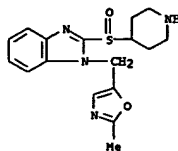


RN 141569-18-8 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 3-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 1

CRN 141568-79-8
 CMF C17 H20 N4 O2 S



CM 2

CRN 110-17-8
 CMF C4 H4 O4

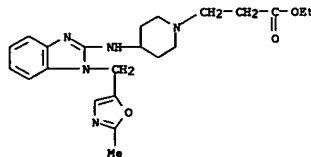
Double bond geometry as shown.



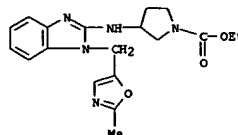
RN 141568-82-3 CAPLUS
 CN 1-Piperidinepropanoic acid, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 141568-81-2
 CMF C22 H29 N5 O3



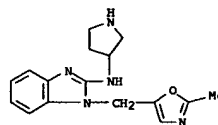
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141569-20-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-3-pyrrolidinyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 141569-19-9
 CMF C16 H19 N5 O



CM 2

CRN 144-62-7
 CMF C2 H2 O4

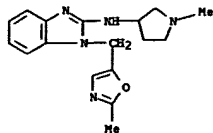


RN 141569-22-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-3-pyrrolidinyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

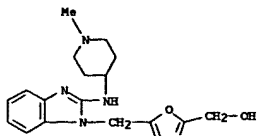
CM 1

CRN 141569-21-3
 CMF C17 H21 N5 O

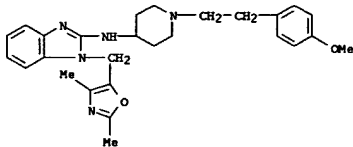
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



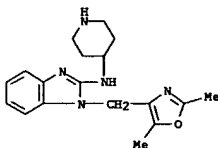
CM 2

CRN 144-62-7
CMF C2 H2 O4RN 141569-40-6 CAPLUS
CN 2-Oxazolemethanol, 5-[(2-[(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)RN 141569-41-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1-[(2,4-dimethyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141569-46-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2,5-dimethyl-4-oxazolyl)methyl]-N-4-piperidinyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

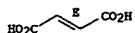
CM 1

CRN 141569-45-1
CMF C18 H23 N5 O

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

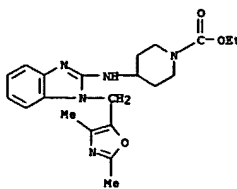
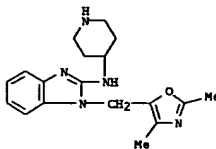
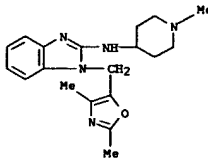
RN 141569-48-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-4-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

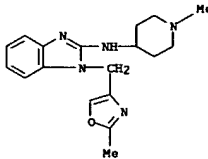
CRN 141569-47-3
CMF C18 H23 N5 O

Habe

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141569-42-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2,4-dimethyl-5-oxazolyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)RN 141569-43-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2,4-dimethyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)RN 141569-44-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2,4-dimethyl-5-oxazolyl)methyl]-N-[1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

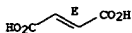
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



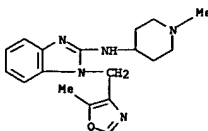
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

RN 141569-50-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-4-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

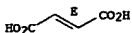
CM 1

CRN 141569-49-5
CMF C18 H23 N5 O

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



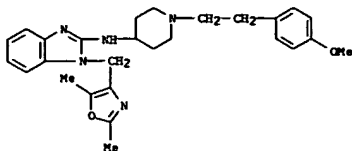
RN 141569-52-0 CAPLUS

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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Benzimidazol-2-amine, 1-[(2,5-dimethyl-4-oxazolyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

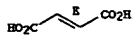
CRN 141569-51-9
 CMF C27 H33 N5 O2



CH 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

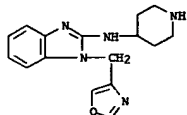


RN 141569-54-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2,5-dimethyl-4-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CH 1

CRN 141569-53-1
 CMF C19 H25 N5 O

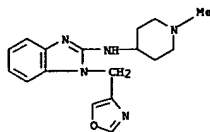
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141569-58-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-4-piperidinyl)-1-(4-oxazolylmethyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CH 1

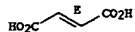
CRN 141569-57-5
 CMF C17 H21 N5 O



CH 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



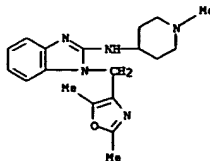
RN 141591-84-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, (+)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 141591-83-5
 CMF C18 H23 N5 O

Habte

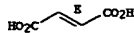
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



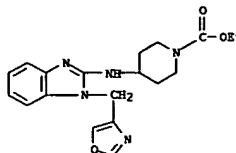
CH 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

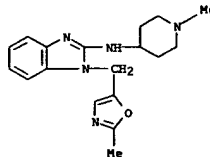


RN 141569-55-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(4-oxazolylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 141569-56-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(4-oxazolylmethyl)-N-4-piperidinyl-, (9CI) (CA INDEX NAME)

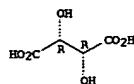
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



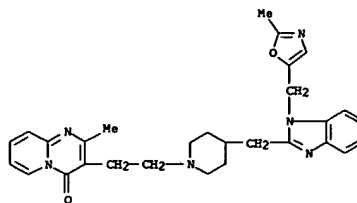
CH 2

CRN 87-69-4
 CMF C4 H6 O6

Absolute stereochemistry.



RN 141591-85-7 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[[1-(4-oxazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

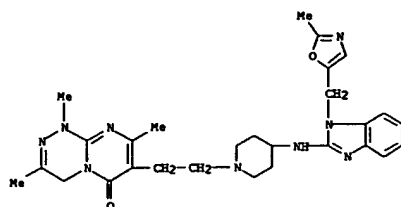


RN 141591-87-9 CAPLUS
 CN 6H-Pyrido[2,1-c][1,2,4]triazin-6-one, 1,4-dihydro-1,3-dimethyl-7-[2-[4-[[1-(4-oxazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CH 1

6/02/2003

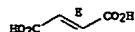
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CRN 141591-86-8
 CMF C28 H35 N9 O2



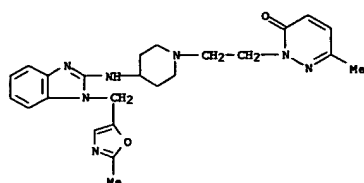
CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

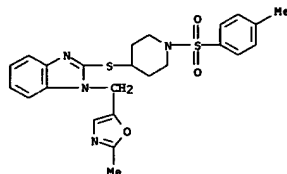


RN 141591-88-0 CAPLUS
 CN 3(2H)-Pyridazinone, 6-methyl-2-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

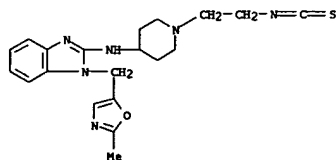


IT 141567-48-8P 141567-49-9P 141567-61-5P
 141567-87-5P 141567-88-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)

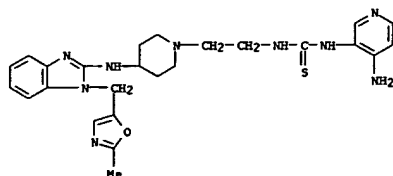
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141567-87-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[[1-(2-isothiocyanatoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)



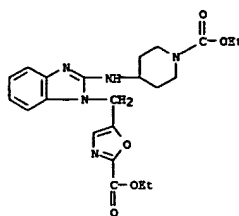
RN 141567-88-6 CAPLUS
 CN Thiourea, N-(4-amino-3-pyridinyl)-N'-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



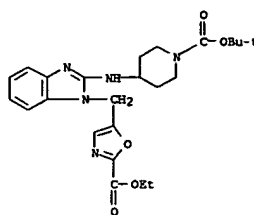
IT 141567-79-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate in prepn. of oxazole antileptics)
 RN 141567-79-5 CAPLUS
 CN 1-Piperidineethanol, 4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-

Habte

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 (prepn. of, as intermediate in prepn. of oxazole antileptics)
 RN 141567-48-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[[2-(ethoxycarbonyl)-5-oxazolyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

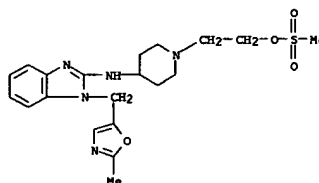


RN 141567-49-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[[2-(ethoxycarbonyl)-5-oxazolyl]methyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 141567-61-5 CAPLUS
 CN Piperidine, 4-[[1-[[2-(methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]thio]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

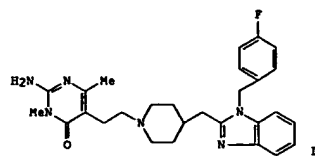
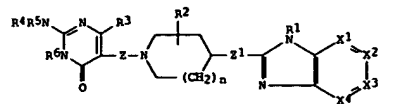


6/02/2003

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:608009 CAPLUS
 DOCUMENT NUMBER: 115:208009
 TITLE: Preparation of 2-aminopyrimidinones as antihistaminics and antiserotonergic
 INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Sommen, Francois M.; Dierckx, Ann C. J.
 PATENT ASSIGNER(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: Eur. Pat. Appl., 30 pp.
 DOCUMENT TYPE: CODEN: EPXIXD
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1 English
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 378254	A2	19900718	EP 1990-200004	19900103
EP 378254	A3	19910109		
EP 378254	B1	19940622		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
US 5008268	A	19910416	US 1989-456391	19891226
ES 2058749	T3	19941101	ES 1990-200004	19900103
CA 2007198	AA	19900709	CA 1990-2007198	19900105
FI 9000084	A	19900710	FI 1990-84	19900108
FI 94526	B	19950615		
FI 94526	C	19950925		
NO 9000070	A	19900710	NO 1990-70	19900108
NO 172645	B	19930510		
NO 172645	C	19930818		
AU 9047780	A1	19900712	AU 1990-47780	19900108
AU 622509	B2	19920409		
HU 53364	A2	19901028	HU 1990-63	19900108
HU 206350	B	19921028		
ZA 9000120	A	19910925	ZA 1990-120	19900108
SU 1825364	A3	19930630	SU 1990-4742861	19900108
CN 1044099	A	19900725	CN 1990-100077	19900109
CN 1030452	B	19951206		
JP 02233678	A2	19900917	JP 1990-2414	19900109
PRIORITY APPLN. INFO.: HARPAT 115:208009			GB 1989-380	19890109
OTHER SOURCE(S): GI				

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

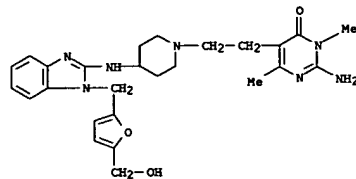


AB The title compds. [I: X1X2X3X4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, N:CHN:CH, CH:NCH:CH, R1 = H, alkyl, cycloalkyl, arylalkyl, etc.; R2, R3, R6 = H, alkyl; n = 0-2; R4 = H, (substituted) alkyl; R5 = H, alkyl, alkylaminocarbonyl, arylaminocarbonyl; R5R6 = (alkyl-substituted) CH2CH2, CH2CH2CH2, CH:CH, CH:N, N:CH, N:CHCH2; Z = alkylene; Z1 = CH2, O, S, SO, SO2, imino], were prep'd. Thus, 1-[(4-fluorophenyl)methyl]-2-(4-piperidinylmethyl)-1H-benzimidazole, 4-methyl-2-pentanone, and Na2CO3 were refluxed 42 h to give 51.2% title comp'd. II which had an ED50 of 0.005 mg/kg in rats for redn. of tryptamine-induced hyperemia.

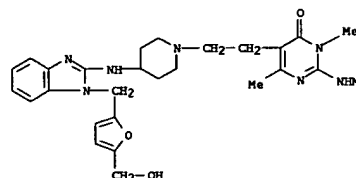
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 131263-90-6P 131263-92-8P 131264-13-6P
 131264-15-8P 131264-17-0P 131264-21-6P
 131264-22-7P 131264-24-9P 131264-26-1P
 131264-32-9P 131263-64-2P 131263-66-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prep. of, as antihistaminic and antiserotonergic)

RN 131263-61-1 CAPLUS
 CN 4(3H)-Pyrimidinone, 2-amino-5-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl- (9CI) (CA INDEX NAME)

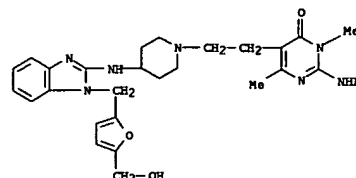
L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 131263-63-3 CAPLUS
 CN 4(3H)-Pyrimidinone, 5-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl-2-(methylamino)- (9CI) (CA INDEX NAME)



RN 131263-78-0 CAPLUS
 CN 4(3H)-Pyrimidinone, 2-(ethylamino)-5-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl- (9CI) (CA INDEX NAME)

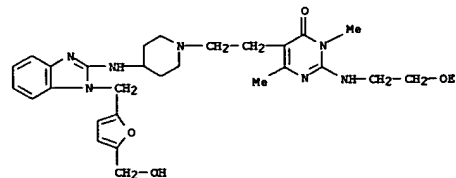


RN 131263-79-1 CAPLUS

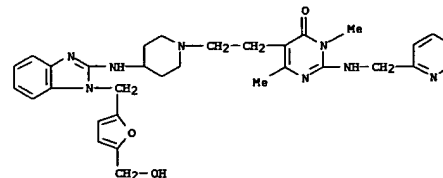
Habte

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN 4(3H)-Pyrimidinone, 2-[(2-ethoxyethyl)amino]-5-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl- (9CI) (CA INDEX NAME)



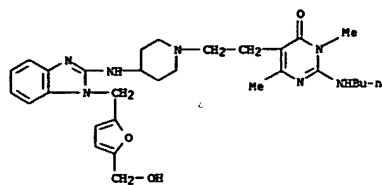
RN 131263-87-1 CAPLUS
 CN 4(3H)-Pyrimidinone, 5-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



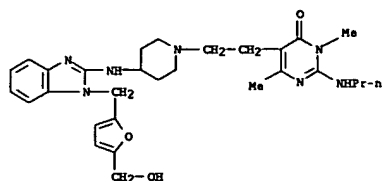
RN 131263-88-2 CAPLUS
 CN 4(3H)-Pyrimidinone, 2-(butylamino)-5-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl- (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

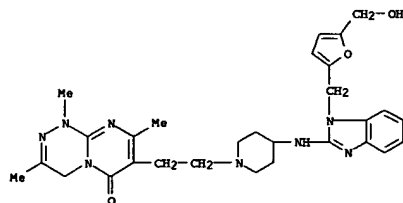


RN 131263-90-6 CAPLUS
 CN 4-(3H)-Pyrimidinone, 5-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl-2-(propylamino)- (9CI) (CA INDEX NAME)

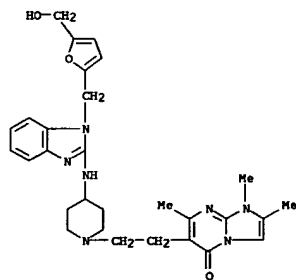


RN 131263-92-8 CAPLUS
 CN 4-(3H)-Pyrimidinone, 5-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl-2-[[5-methyl-2-furanyl]methyl]amino)- (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

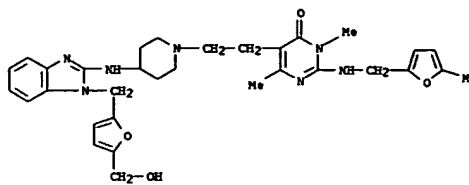


RN 131264-17-0 CAPLUS
 CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1,2,7-trimethyl- (9CI) (CA INDEX NAME)

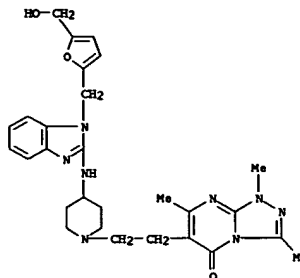


RN 131264-21-6 CAPLUS
 CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 2,3-dihydro-6-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-1,7-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

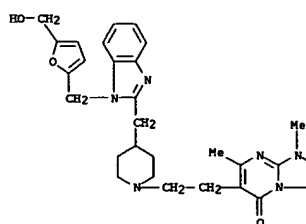


RN 131264-13-6 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyrimidin-5(1H)-one, 6-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1,3,7-trimethyl- (9CI) (CA INDEX NAME)

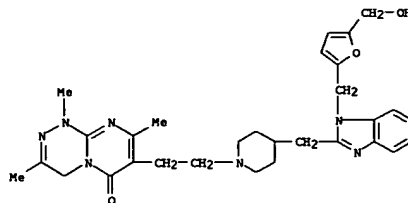


RN 131264-15-8 CAPLUS
 CN 6H-Pyrimido[2,1-c][1,2,4]triazin-6-one, 1,4-dihydro-7-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1,3,8-trimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

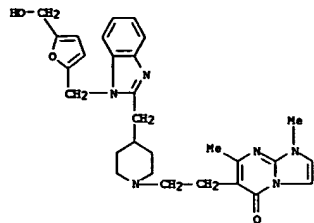


RN 131264-22-7 CAPLUS
 CN 6H-Pyrimido[2,1-c][1,2,4]triazin-6-one, 1,4-dihydro-7-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-1,3,8-trimethyl- (9CI) (CA INDEX NAME)



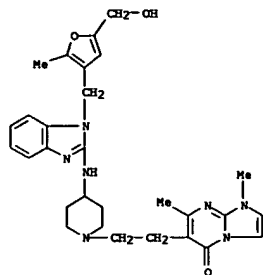
RN 131264-24-9 CAPLUS
 CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-1,7-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 131264-26-1 CAPLUS

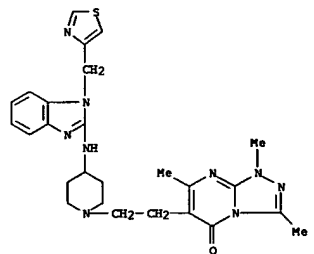
CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-[[1-[[5-(hydroxymethyl)-2-methyl-3-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1,7-dimethyl- (9CI) (CA INDEX NAME)



RN 131264-32-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrimidin-5(1H)-one, 6-[2-[[1-[[3-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-1,3,7-trimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

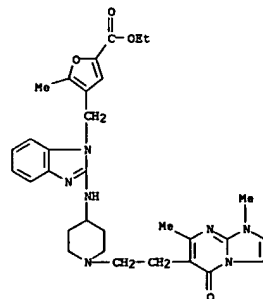


IT 131264-69-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antihistamine and antiserotonergic)

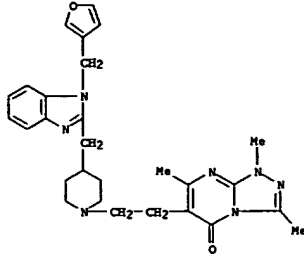
RN 131264-69-2 CAPLUS

CN 2-Furancarboxylic acid, 4-[[2-[[1-[[2-[[1,5-dihydro-1,7-dimethyl-5-oxoimidazo[1,2-a]pyrimidin-6-yl]ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



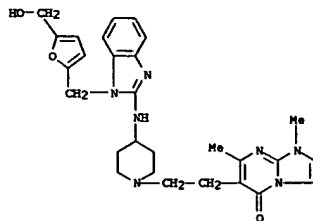
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L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 131283-64-2 CAPLUS

CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1,7-dimethyl- (9CI) (CA INDEX NAME)



RN 131283-66-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyrimidin-5(1H)-one, 1,3,7-trimethyl-6-[2-[[1-[[4-thiazolyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:185500 CAPLUS

DOCUMENT NUMBER: 114:185500

TITLE: Preparation of [(hydroxyalkylfuryl)methyl]benzimidazides and -imidazopyridines as antihistamines

INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas

Marcella; Leenaerts, Joseph Elisabeth

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 48 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

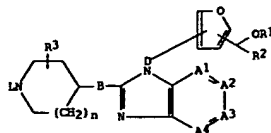
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

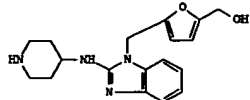
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 393738	A1	19901024	EP 1990-200720	19900327
EP 393738	B1	19941130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2067645	T3	19950401	ES 1990-200720	19900327
CA 2013892	AA	19901007	CA 1990-2013892	19900405
AU 9052951	A1	19901011	AU 1990-52951	19900405
AU 615612	B2	19911003		
ZA 9002664	A	19911224	ZA 1990-2664	19900405
IL 94008	A1	19970218	IL 1990-94008	19900405
NO 9001580	A	19901008	NO 1990-1580	19900406
NO 174851	B	19940411		
NO 174851	C	19940720		
JP 02290874	A2	19901130	JP 1990-90528	19900406
HU 58324	A2	19920228	HU 1990-2107	19900406
RU 2030415	C1	19950310	RU 1990-4743517	19900406
FI 95702	B	19951130	FI 1990-1755	19900406
FI 95702	C	19960311		
CN 1046161	A	19901017	CN 1990-101997	19900407
CN 1031403	B	19960327		
US 5272150	A	19931221	US 1992-842024	19920226
PRIORITY APPL. INFO.:			US 1989-335022	19890407
			US 1990-488566	19900305
OTHER SOURCE(S):		MARPAT 114:185500		
GI				

6/02/2003

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



I

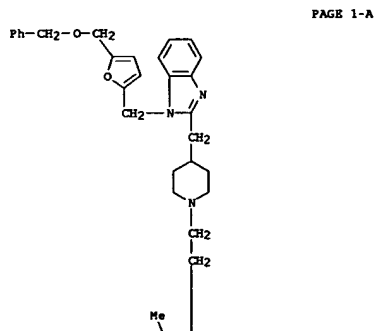


II

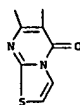
AB The title compds. (I; A1A2A3A4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:CHCH:CH, N:CHCH:CH, etc.; D = C1-4 alkylene; R1 = H, alkyl, arylalkyl, alkylcarbonyl; R2, R3 = H, alkyl; n = 0-2; B = NR4, O, S, SO, SO2, CH2; R4 = H, alkyl, cycloalkyl, arylalkyl; L = H, alkyl, cycloalkyl, (aryl)alkenyl, alkylcarbonyl, alkoxy carbonyl, arylcarbonyl, arylalkoxy carbonyl, alkylsulfonyl, arylsulfonyl, heterocyclylalkyl, etc.), were prepd. Thus, a mixt. of Et 4-(1H-benzimidazol-2-ylamino)-1-piperidinecarboxylate, Et 5-chloromethyl-2-furancarboxylate, Na2CO3, and DMF was stirred 2 nights at 70 degree, to give 70.8% condensation product, which was refluxed with LiBH4 in THF followed by reflux with KOH in Me2COH to give title compd. II. II had an ED50 of 0.04 mg/kg s.c. in rats for inhibition of compd. 48/80-induced lethality. Several I are also serotonin antagonists.

IT 132551-16-7P 132551-18-9P 132551-19-0P
132551-20-3P 132551-21-4P 132551-22-5P
132551-24-7P 132551-25-8P 132551-27-0P
132551-28-1P 132551-29-2P 132551-31-6P
132551-32-7P 132551-34-9P 132551-35-0P
132551-37-2P 132551-39-4P 132551-76-8P
132551-77-0P 132551-78-1P 132551-80-5P
132551-82-7P 132551-84-9P 132551-86-1P
132551-87-2P 132551-89-3P 132551-89-4P
132551-90-7P 132551-92-9P 132551-93-0P
132551-94-1P 132551-95-2P 132551-96-3P
132551-97-4P 132551-98-5P 132551-99-6P
132552-00-2P 132552-01-3P 132552-02-4P
132552-03-5P 132552-04-6P 132552-05-7P
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132552-42-2P 132552-43-3P 132552-44-4P
132552-45-5P 132552-47-7P 132584-59-9P
132584-61-3P 132584-64-6P 132584-65-7P
132584-66-8P 132584-68-0P

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



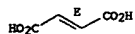
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 132551-19-0 CAPLUS
CN 5H-Tetrazol-5-one, 1,4-dihydro-1-[2-[4-[[1-[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-4-phenyl- (9CI) (CA INDEX NAME)

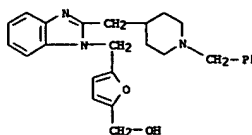
Habte

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as antihistaminic)

RN 132551-16-7 CAPLUS

CN 2-Furamethanol, 5-[[2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



RN 132551-18-9 CAPLUS

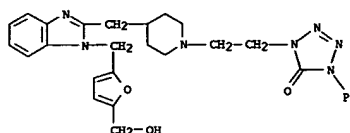
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[4-[[1-[5-[[phenylmethoxy]methyl]-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132551-17-8

CMF C35 H37 N5 O3 S

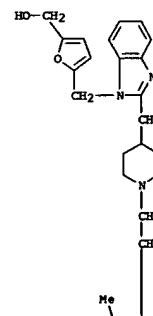
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



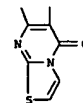
RN 132551-20-3 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[1-[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

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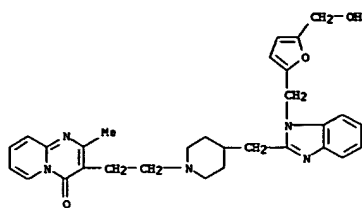
PAGE 2-A



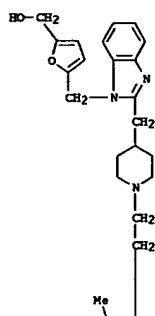
RN 132551-21-4 CAPLUS

6/02/2003

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 48-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

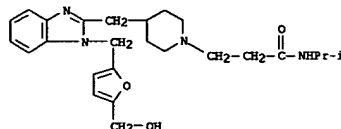


RN 132551-22-5 CAPLUS
 CN 58-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



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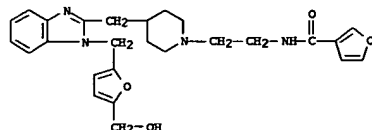
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132551-27-0 CAPLUS
 CN 3-Furancarboxamide, N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 132551-26-9
 CMF C26 H30 N4 O4



CM 2

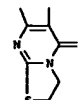
CRN 144-62-7
 CMF C2 H2 O4



RN 132551-28-1 CAPLUS
 CN 2-Furancarboxamide, N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

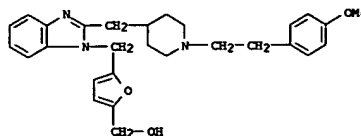
PAGE 2-A



RN 132551-24-7 CAPLUS
 CN 2-Furancarboxamide, N-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 132551-23-6
 CMF C28 H33 N3 O3



CM 2

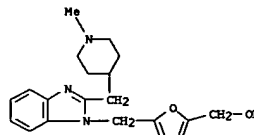
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



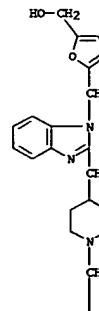
RN 132551-25-8 CAPLUS
 CN 1-Piperidinepropanamide, 4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



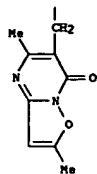
RN 132551-29-2 CAPLUS
 CN 7H-Isoxazolo[2,3-a]pyrimidin-7-one, 6-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

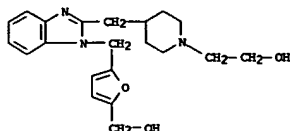
PAGE 2-A



RN 132551-31-6 CAPLUS
CN 1-Piperidinoethanol, 4-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

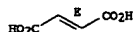
CRN 132551-30-5
CMF C21 H27 N3 O3



CM 2

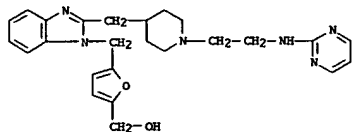
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 132551-32-7 CAPLUS
CN 2-Furanmethanol, 5-[[[2-[[[1-[[2-(6-chloro-3-pyridazinyl)amino]ethyl]-4-piperidinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, (9CI) (CA INDEX NAME)

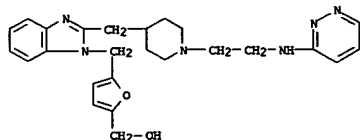
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132551-37-2 CAPLUS
CN 2-Furanmethanol, 5-[[[2-[[[1-[[2-(3-pyridazinylamino)ethyl]-4-piperidinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, ethanedioate (1:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 132551-36-1
CMF C25 H30 N6 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

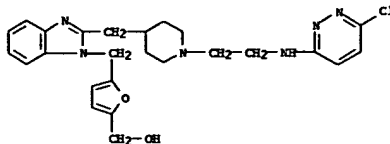


RN 132551-39-4 CAPLUS
CN 3(2H)-Pyridazinone, 6-[[[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]amino]-, ethanedioate (2:5) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 132551-38-3
CMF C25 H30 N6 O3

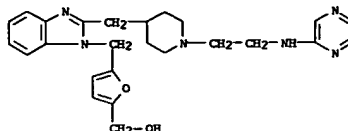
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132551-34-9 CAPLUS
CN 2-Furanmethanol, 5-[[[2-[[[1-[[2-(pyrazinylamino)ethyl]-4-piperidinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

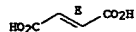
CRN 132551-33-8
CMF C25 H30 N6 O2



CM 2

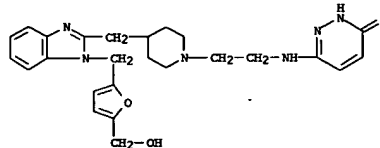
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 132551-35-0 CAPLUS
CN 2-Furanmethanol, 5-[[[2-[[[1-[[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

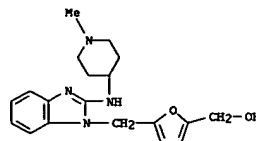


CM 2

CRN 144-62-7
CMF C2 H2 O4

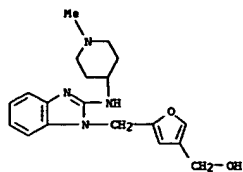


RN 132551-76-9 CAPLUS
CN 2-Furanmethanol, 5-[[[2-[[[1-methyl-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-, (9CI) (CA INDEX NAME)

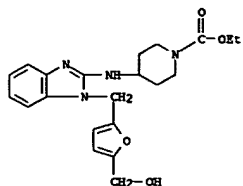


RN 132551-77-0 CAPLUS
CN 3-Furanmethanol, 5-[[[2-[[[1-methyl-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-, (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



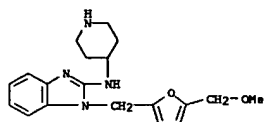
RN 132551-78-1 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[5-[(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 132551-80-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[[[5-(methoxymethyl)-2-furanyl]methyl]-N-(4-piperidinyl)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

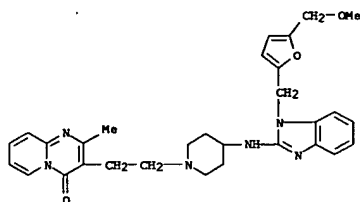
CM 1

CRN 132551-79-2
 CMF C19 H24 N4 O2



L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

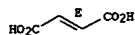
CRN 132551-83-8
 CMF C30 H34 N6 O3



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 132551-86-1 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[[5-(methoxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-7-methyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 132551-85-0
 CMF C28 H32 N6 O3 5

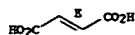
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L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 110-17-8
 CMF C4 H4 O4

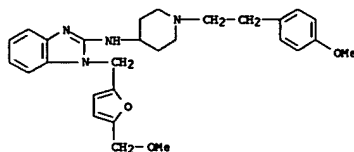
Double bond geometry as shown.



RN 132551-82-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[[[5-(methoxymethyl)-2-furanyl]methyl]-N-[[[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

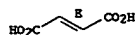
CRN 132551-81-6
 CMF C28 H34 N4 O3



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

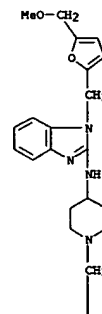


RN 132551-84-9 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[[[5-(methoxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2-methyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

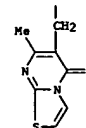
CM 1

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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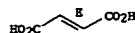
PAGE 2-A



CM 2

CRN 110-17-8
 CMF C4 H4 O4

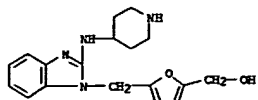
Double bond geometry as shown.



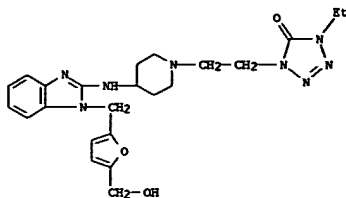
RN 132551-87-2 CAPLUS
 CN 2-Furanmethanol, 5-[[[2-(4-piperidinylamino)-1H-benzimidazol-1-yl]methyl]-, (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



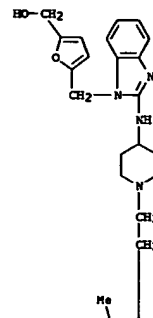
RN 132551-88-3 CAPLUS
 CN 5H-Tetrazol-5-one, 1-ethyl-1,4-dihydro-4-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



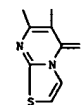
RN 132551-89-4 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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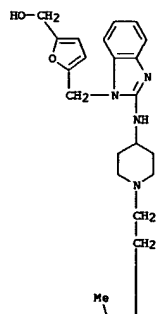
PAGE 2-A



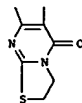
RN 132551-90-7 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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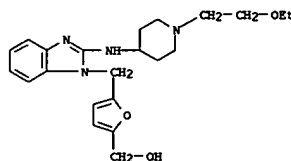


RN 132551-92-9 CAPLUS
 CN 2-Furanmethanol, 5-[[[2-[[[1-(2-ethoxyethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 132551-91-8
 CMF C22 H30 N4 O3

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

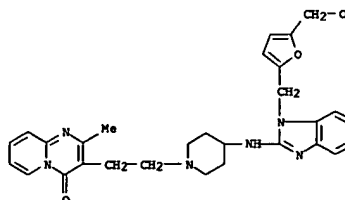


CM 2

CRN 144-62-7
 CMF C2 H2 O4

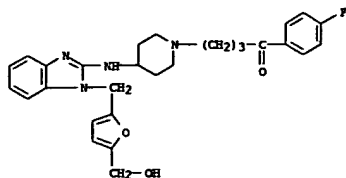


RN 132551-93-0 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

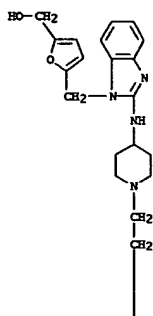


RN 132551-94-1 CAPLUS
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-[[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



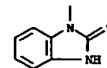
RN 132551-95-2 CAPLUS
 CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[2-[[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



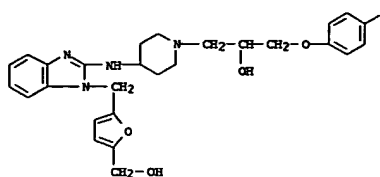
PAGE 1-A

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

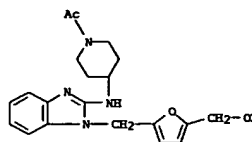
PAGE 2-A



RN 132551-96-3 CAPLUS
 CN 1-Piperidineethanol, .alpha.-[[4-fluorophenyl]methyl]-4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

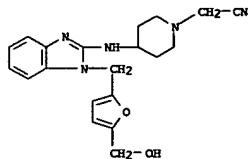


RN 132551-97-4 CAPLUS
 CN 4-Piperidineacetone, 1-acetyl-N-[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

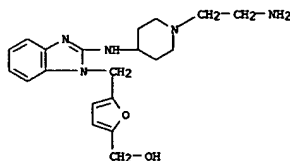


RN 132551-98-5 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

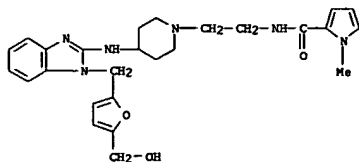
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132551-99-6 CAPLUS
 CN 2-Furanmethanol, 5-[[2-[[1-[[2-(aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

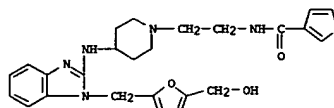


RN 132552-00-2 CAPLUS
 CN 1H-Pyrrole-2-carboxamide, N-[2-[[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-methyl]- (9CI) (CA INDEX NAME)

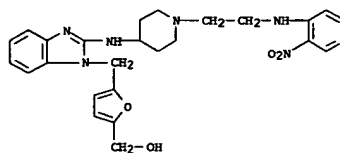


RN 132552-01-3 CAPLUS
 CN 3-Furancarboxamide, N-[2-[[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



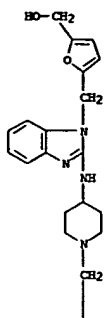
RN 132552-02-4 CAPLUS
 CN 2-Furanmethanol, 5-[[2-[[1-[[2-(3-nitro-4-pyridinyl)amino]ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



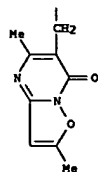
RN 132552-03-5 CAPLUS
 CN 7H-Isowazolo[2,3-a]pyrimidin-7-one, 6-[2-[[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2,5-dimethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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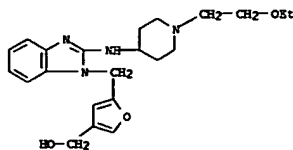


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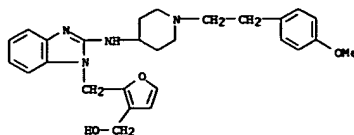


RN 132552-04-6 CAPLUS
 CN 3-Furanmethanol, 5-[[2-[[1-(2-ethoxyethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

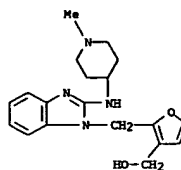
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132552-05-7 CAPLUS
 CN 3-Furanmethanol, 2-[[2-[[1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

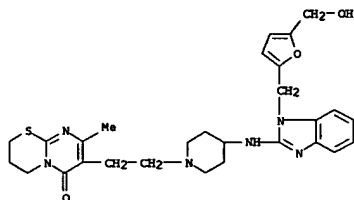


RN 132552-06-8 CAPLUS
 CN 3-Furanmethanol, 2-[[2-[[1-(2-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



RN 132552-07-9 CAPLUS
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-7-[2-[4-[[1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-8-methyl- (9CI) (CA INDEX NAME)

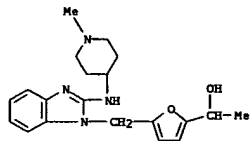
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132552-09-1 CAPLUS
 CN 2-Furanmethanol, .alpha.-methyl-5-[[2-[[1-(2-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl]methyl]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

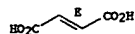
CRN 132552-08-0
 CMF C20 H26 N4 O2



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 132552-11-5 CAPLUS
 CN 2-Furanmethanol, 5-[[2-[[methyl(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl]methyl]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

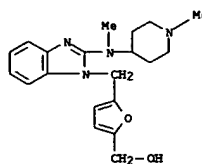
CM 1

CRN 132552-10-4

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L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

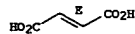
CMF C20 H26 N4 O2



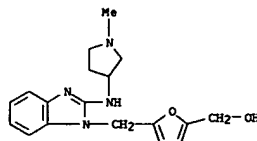
CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



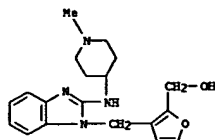
RN 132552-32-0 CAPLUS
 CN 2-Furanmethanol, 5-[[2-[[1-(2-methyl-3-pyrrolidinyl)amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



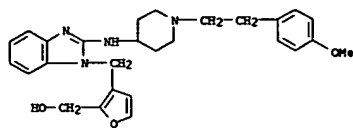
RN 132552-41-1 CAPLUS
 CN 2-Furanmethanol, 3-[[2-[[1-(2-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

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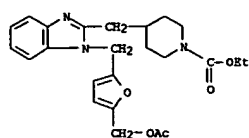
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132552-42-2 CAPLUS
 CN 2-Furanmethanol, 3-[[[2-[[[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

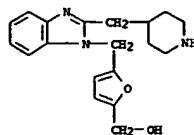


RN 132552-43-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[5-(acetoxy)methyl]-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

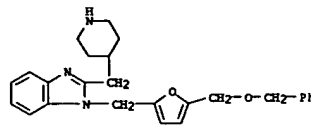


RN 132552-44-4 CAPLUS
 CN 2-Furanmethanol, 5-[[[2-(4-piperidinylmethyl)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

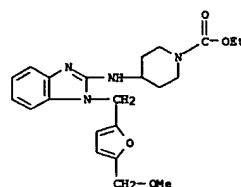
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132552-45-5 CAPLUS
 CN 1H-Benzimidazole, 1-[[[5-(phenylmethoxy)methyl]-2-furanyl]methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 132552-47-7 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[5-(methoxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

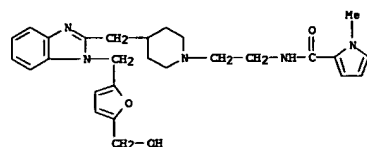


RN 132584-59-9 CAPLUS
 CN 1H-Pyrrole-2-carboxamide, N-[2-[4-[[[1-[[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-1-methyl-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 132584-58-8
 CMF C27 H33 N5 O3



CM 2

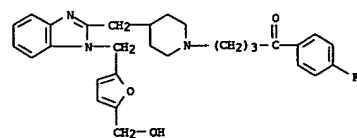
CRN 144-62-7
 CMF C2 H2 O4



RN 132584-61-3 CAPLUS
 CN Sulfamic acid, cyclohexyl-, compd. with 1-(4-fluorophenyl)-4-[4-[[[1-[[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]-1-butanone (2:1) (9CI) (CA INDEX NAME)

CM 1

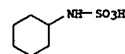
CRN 132584-60-2
 CMF C29 H32 F N3 O3



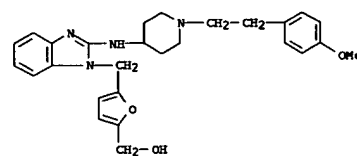
CM 2

CRN 100-88-9
 CMF C6 H13 N O3 S

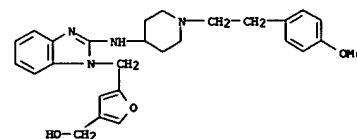
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



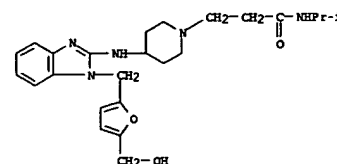
RN 132584-64-6 CAPLUS
 CN 2-Furanmethanol, 5-[[[2-[[[1-[[[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



RN 132584-65-7 CAPLUS
 CN 3-Furanmethanol, 5-[[[2-[[[1-[[[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



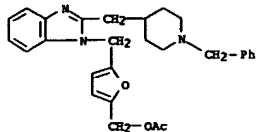
RN 132584-66-8 CAPLUS
 CN 1-Piperidinepropanamide, 4-[[[1-[[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



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L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 132584-68-0 CAPLUS
 CN 2-Furancarboxylic acid, 5-[[2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, acetate (ester) (9CI) (CA INDEX NAME)

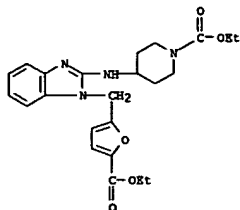


17 132552-50-2P 132552-51-3P 132552-52-4P
 132552-53-5P 132552-56-8P 132552-57-9P
 132552-58-0P 132584-69-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for antihistaminic)

RN 132552-50-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[[5-(ethoxycarbonyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



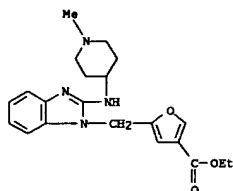
RN 132552-51-3 CAPLUS

CN 2-Furancarboxylic acid, 5-[[2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-benzimidazol-1-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 benzimidazol-1-yl]methyl]-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI)
 (CA INDEX NAME)

CH 1

CRN 132552-55-7
 CMF C21 H26 N4 O3



CH 2

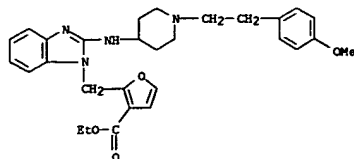
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 132552-57-9 CAPLUS

CN 3-Furancarboxylic acid, 2-[[2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

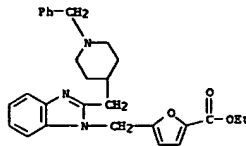


RN 132552-58-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[[3-(ethoxycarbonyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

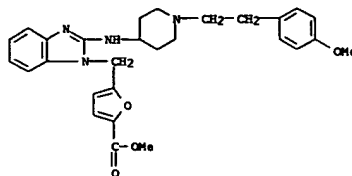
Habte

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



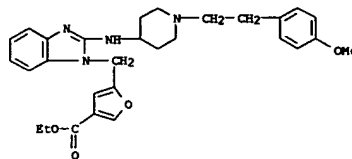
RN 132552-52-4 CAPLUS

CN 2-Furancarboxylic acid, 5-[[2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 132552-53-5 CAPLUS

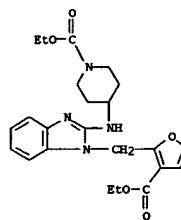
CN 3-Furancarboxylic acid, 5-[[2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 132552-56-8 CAPLUS

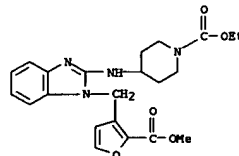
CN 3-Furancarboxylic acid, 5-[[2-[[1-methyl-4-piperidinyl]amino]-1H-

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132584-69-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[[2-(methoxycarbonyl)-3-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



6/02/2003

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1989:212855 CAPLUS
 DOCUMENT NUMBER: 110:212855
 TITLE: Preparation of [(bicyclic heterocyclyl)amino]hexahydro-1H-azepines and -pyrrolidines as antihistamines
 INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas
 PATENT ASSIGNEE(S): Marcellas Pille, Gaert Marcel Ester
 SOURCE: Janssen Pharmaceutica N. V., Belg.
 CODEN: EPXKXW
 DOCUMENT TYPE: Dur. Pat. Appl., 49 pp.
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 297661	A1	19890104	EP 1988-201291	19880623
EP 297661	B1	19920916		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 1317939	A1	19930518	CA 1988-568377	19880602
AT 80628	E	19921015	AT 1988-201291	19880623
ES 2052686	T3	19940716	ES 1988-201291	19880623
AU 8818353	A1	19890105	AU 1988-18353	19880624
AU 603684	B2	19901122		
JP 01034979	A2	19890206	JP 1988-161124	19880630
ZA 8804696	A	19900328	ZA 1988-4696	19880630
IL 86921	A1	19921115	IL 1988-86921	19880630
US 4988689	A	19910129	US 1989-338440	19890413
US 5071846	A	19911210	US 1990-609989	19901106
US 5151424	A	19920929	US 1991-756673	19910909

PRIORITY APPL. INFO.:
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 US 1988-19860 19880526
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 US 1989-338440 19890413
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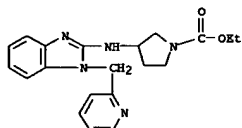
OTHER SOURCE(S): MARPAT 110:212855

GI For diagram(s), see printed CA issue.
 AB Title compds. I (R = H, C1-6 alkyl; R1 = H, C1-10 alkyl, C3-6 cycloalkyl, etc.; A1-A4 = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N, N:CHN:CH, CH:NCH:N wherein 1 or 2 H may be replaced by halo, C1-6 alkyl, C1-6 alkoxy, F3C, ED, B = CH2, O, SO, SO2, R2N; R2 = H, C1-6 alkyl, C3-6 cycloalkyl, C1-6 alkylcarbonyl, C1-6 alkoxycarbonyl, etc.; L = H, C1-6 alkylcarbonyl, C1-6 alkylsulfonyl, C1-6 alkoxycarbonyl, (un)substituted C2-6 alkenyl, etc.; n = 0, 2), a pharmaceutically acceptable salt, or stereochem. isomeric form thereof, are prepd. MeNCO and N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-(4-fluorophenyl)methyl]-1H-benzimidazol-2-amine were reacted in THF to give I (L = MeNCO; NCH2CH2; R = H, B = NH; R1 = 4-FC6H4CH2; A1-A4 = CH:CHCH:CH; n = 0) which had ED50 of 0.01 mg/kg for protection of rats from compd. 48/80-induced lethality.
 120429-68-7P

IT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, in prepn. of allergy inhibitors)

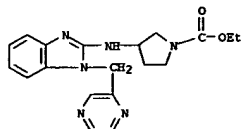
RN 120429-68-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-3-pyrrolidinyl-,

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1-Pyrrolidinecarboxylic acid, 3-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

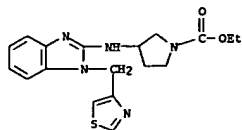


●2 HCl

RN 120429-54-1 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 3-[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



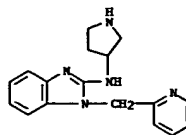
RN 120429-59-6 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 3-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 120429-60-9 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 3-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

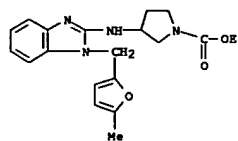
Habte

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 dihydrochloride (9CI) (CA INDEX NAME)



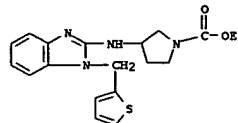
●2 HCl

IT 120429-36-9P 120429-53-0P 120429-54-1P
 120429-59-6P 120429-60-9P 120429-66-5P
 120429-67-6P 120429-68-7P 120429-63-6P
 120429-80-5P 120429-92-7P 120429-94-9P
 120429-98-3P 120430-00-4P 120430-19-5P
 120430-21-9P 120430-25-3P 120430-31-1P
 120430-33-3P 120430-36-6P 120430-41-3P
 120430-43-5P 120430-50-4P 120430-68-4P
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 120431-11-0P 120431-15-4P 120431-21-2P
 120431-29-0P 120431-31-4P 120431-32-6P
 120431-35-8P 120431-42-7P 120431-44-9P
 120431-78-9P 120445-21-8P 120457-51-4P
 120457-68-3P 120457-70-7P 120457-73-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as anti-allergic agent)
 RN 120429-36-9 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 3-[[1-(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

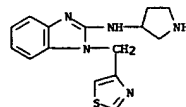


RN 120429-53-0 CAPLUS

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

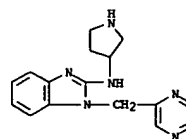


RN 120429-66-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-3-pyrrolidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

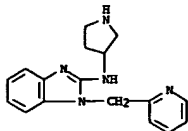
RN 120429-67-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(pyrazinylmethyl)-N-3-pyrrolidinyl- (9CI) (CA INDEX NAME)



RN 120429-68-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-3-pyrrolidinyl-, dihydrochloride (9CI) (CA INDEX NAME)

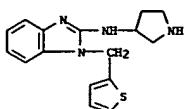
6/02/2003

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

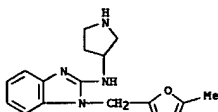


● 2 HCl

RN 120429-83-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-3-pyrrolidinyl-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 120429-90-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-3-pyrrolidinyl-, monohydrochloride (9CI) (CA INDEX NAME)



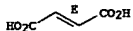
● HCl

RN 120429-92-7 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-3-[2-{3-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl}ethyl]-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 110-17-8
 CMF C4 H4 O4

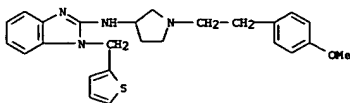
Double bond geometry as shown.



RN 120429-98-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-3-pyrrolidinyl]-1-(2-thienylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120429-97-2
 CMF C25 H28 N4 O 5



CM 2

CRN 144-62-7
 CMF C2 H2 O4



RN 120430-00-4 CAPLUS
 CN Carbanic acid, [2-[3-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, ethyl ester, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

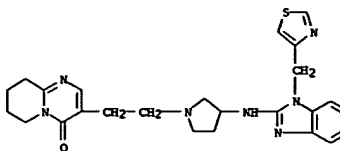
CRN 120429-99-4
 CMF C21 H27 N5 O2 5

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

(2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

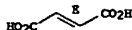
CRN 120429-91-6
 CMF C25 H29 N7 O 5



CM 2

CRN 110-17-8
 CMF C4 H4 O4

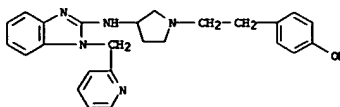
Double bond geometry as shown.



RN 120429-94-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-3-pyrrolidinyl]-1-(2-pyridinylmethyl)-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

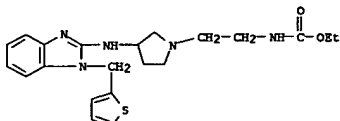
CM 1

CRN 120429-93-8
 CMF C26 H29 N5 O



CM 2

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

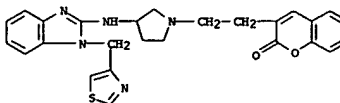
CRN 144-62-7
 CMF C2 H2 O4



RN 120430-19-5 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[3-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

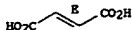
CRN 120430-18-4
 CMF C26 H25 N5 O2 5



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 120430-21-9 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[3-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

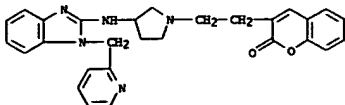
6/02/2003

Habte

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 1

CRN 120430-20-8
CMF C28 H27 N5 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

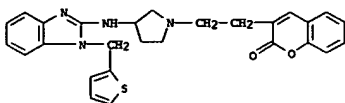
Double bond geometry as shown.



RN 120430-25-3 CAPLUS
CN 2H-1-Benzopyran-2-one, 3-[2-[3-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

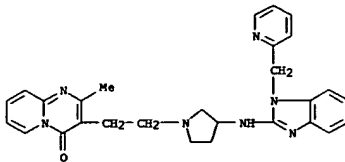
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CMF C27 H26 N4 O2 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

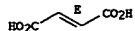
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

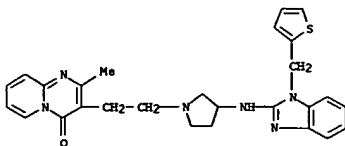
Double bond geometry as shown.



RN 120430-36-6 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[3-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 120430-35-5
CMF C27 H28 N6 O 5



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

Habte

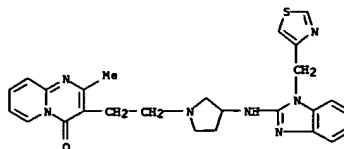
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120430-31-1 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[3-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

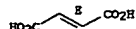
CRN 120430-30-0
CMF C26 H27 N7 O 5



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

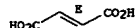


RN 120430-33-3 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[3-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 120430-32-2
CMF C28 H29 N7 O

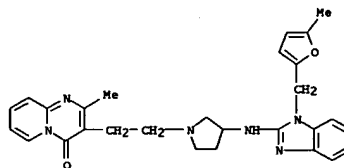
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120430-41-3 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[3-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 120430-40-2
CMF C28 H30 N6 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



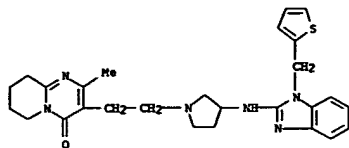
RN 120430-43-5 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[3-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120430-42-4
CMF C27 H32 N6 O 5

6/02/2003

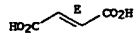
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

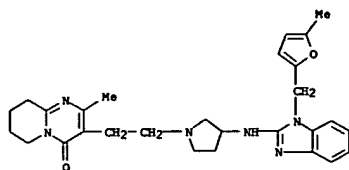
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 120430-50-4 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-{3-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2S)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

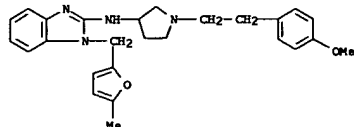
CM 1

CRN 120430-49-1
CMF C28 H34 N6 O2

CM 2

CRN 110-17-8
CMF C4 H4 O4

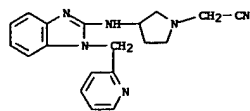
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

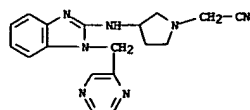
CRN 144-62-7
CMF C2 H2 O4

RN 120430-80-0 CAPLUS
CN 1-Pyrrolidineacetonitrile, 3-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 120430-83-3 CAPLUS
CN 1-Pyrrolidineacetonitrile, 3-[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 120430-82-2
CMF C18 H19 N7

Habe

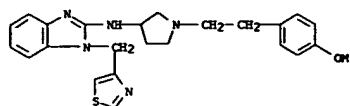
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

Double bond geometry as shown.



RN 120430-68-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-{2-(4-methoxyphenyl)ethyl}-3-pyrrolidinyl]-1-(4-thiazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120430-67-3
CMF C24 H27 N5 O5

CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 120430-70-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-{2-(4-methoxyphenyl)ethyl}-3-pyrrolidinyl]-1-[(5-methyl-2-furanyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

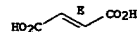
CRN 120430-69-5
CMF C26 H30 N4 O2

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

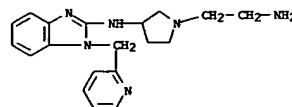
CM 2

CRN 110-17-8
CMF C4 H4 O4

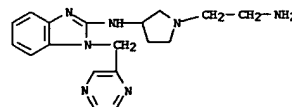
Double bond geometry as shown.



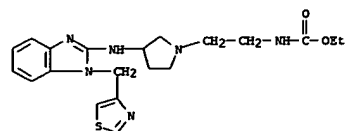
RN 120430-86-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 120430-88-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)



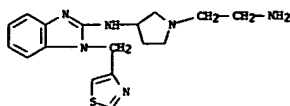
RN 120430-93-5 CAPLUS
CN Carbanic acid, [2-{3-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 120431-00-7 CAPLUS

6/02/2003

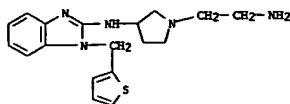
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 120431-03-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-(2-thienylmethyl)-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CH 1

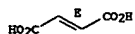
CRN 120431-02-9
 CMF C18 H23 N5 S



CH 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 120431-09-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-pyrimidinylamino)ethyl)-3-pyrrolidinyl]-1-(4-thiazolylmethyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

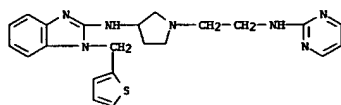
CH 1

CRN 120431-08-5
 CMF C21 H24 N8 S

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-pyrimidinylamino)ethyl)-3-pyrrolidinyl]-1-(2-thienylmethyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CH 1

CRN 120431-14-3
 CMF C22 H25 N7 S



CH 2

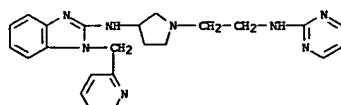
CRN 144-62-7
 CMF C2 H2 O4



RN 120431-21-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-[1-(2-(2-pyrimidinylamino)ethyl)-3-pyrrolidinyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CH 1

CRN 120431-20-1
 CMF C23 H26 N8



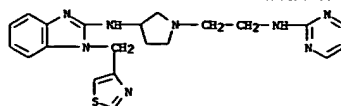
CH 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

Habte

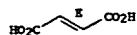
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CH 2

CRN 110-17-8
 CMF C4 H4 O4

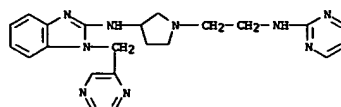
Double bond geometry as shown.



RN 120431-11-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(pyrazinylmethyl)-N-[1-(2-(2-pyrimidinylamino)ethyl)-3-pyrrolidinyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CH 1

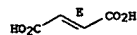
CRN 120431-10-9
 CMF C22 H25 N9



CH 2

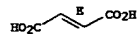
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

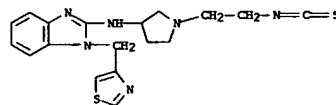


RN 120431-15-4 CAPLUS

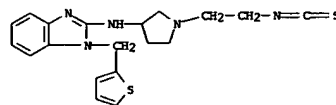
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



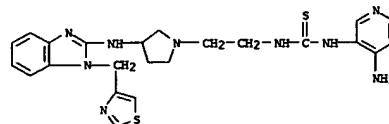
RN 120431-29-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-isothiocyanatoethyl)-3-pyrrolidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 120431-31-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-isothiocyanatoethyl)-3-pyrrolidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



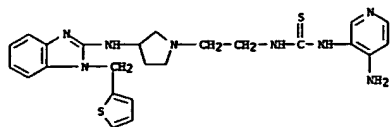
RN 120431-33-6 CAPLUS
 CN Thiourea, N-(4-amino-3-pyridinyl)-N'-(2-[3-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl)- (9CI) (CA INDEX NAME)



RN 120431-35-8 CAPLUS
 CN Thiourea, N-(4-amino-3-pyridinyl)-N'-(2-[3-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl)- (9CI) (CA INDEX NAME)

6/02/2003

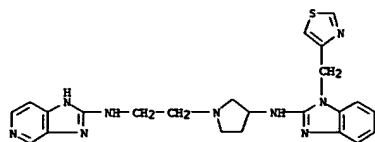
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120431-42-7 CAPLUS
 CN 1H-imidazo[4,5-c]pyridin-2-amine, N-[2-[[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

CRN 120431-41-6
 CHF C23 H25 N9 S



CM 2

CRN 144-62-7
 CHF C2 H2 O4



RN 120431-44-9 CAPLUS
 CN 1H-imidazo[4,5-c]pyridin-2-amine, N-[2-[[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

CRN 120431-43-8

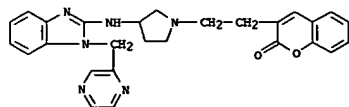
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120445-21-8 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

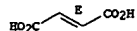
CRN 120445-20-7
 CHF C27 H26 N6 O2



CM 2

CRN 110-17-8
 CHF C4 H4 O4

Double bond geometry as shown.



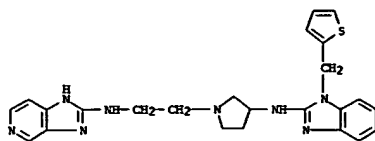
RN 120457-51-4 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 120457-50-3
 CHF C28 H33 N7 O

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CHF C24 H26 N8 S



CM 2

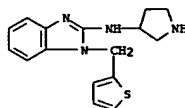
CRN 144-62-7
 CHF C2 H2 O4



RN 120431-78-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-3-pyrrolidinyl-1-(2-thienylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

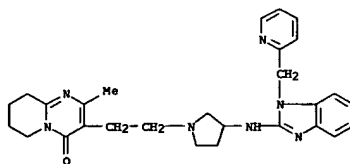
CRN 120429-83-6
 CHF C16 H18 N4 S



CM 2

CRN 144-62-7
 CHF C2 H2 O4

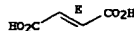
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 110-17-8
 CHF C4 H4 O4

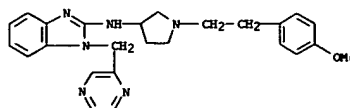
Double bond geometry as shown.



RN 120457-68-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-3-pyrrolidinyl]-1-(pyrazinylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120457-67-2
 CHF C25 H28 N6 O



CM 2

CRN 144-62-7
 CHF C2 H2 O4



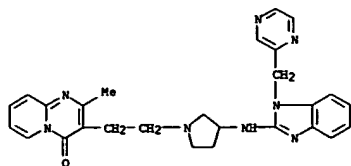
Habe

6/02/2003

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 120457-70-7 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-{3-[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 120457-69-4
 CMF C27 H28 N8 O



CM 2

CRN 110-17-8
 CMF C4 H4 O4

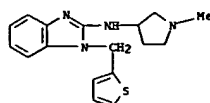
Double bond geometry as shown.



RN 120457-73-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-3-pyrrolidinyl)-1-(2-thienylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120457-72-9
 CMF C17 H20 N4 S



L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1989:173236 CAPLUS
 DOCUMENT NUMBER: 110:173236
 TITLE: Preparation of bicyclic condensed N-(4-piperidinyl)-2-imidazolamine derivatives as antihistaminic agents
 INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo
 Ghislanus; Diels, Gaston Stanislas Marcella
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: Eur. Pat. Appl., 28 pp.
 CODEN: EPXOKW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 295742	A1	19881221	EP 1988-201172	19880608
EP 295742	B1	19920926		
A: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4897401	A	19900130	US 1988-182814	19880418
CA 1324133	A1	19931109	CA 1988-565978	19880505
AT 79878	E	19920915	AT 1988-201172	19880608
ES 2045083	T3	19940116	ES 1988-201172	19880608
SU 1644717	A3	19910423	SU 1988-4355903	19880614
NO 8802641	A	19881220	NO 1988-2641	19880615
NO 167803	B	19910902		
NO 167803	C	19911211		
JP 01025776	A2	19890127	JP 1988-145933	19880615
DK 8803332	A	19881220	DK 1988-3332	19880617
DK 169761	B1	19950220		
FI 8802909	A	19881220	FI 1988-2909	19880617
FI 90233	B	19930930		
FI 90233	C	19940110		
AU 8818109	A1	19881222	AU 1988-18109	19880617
AU 600144	B2	19900802		
CN 88103784	A	19881228	CN 1988-103784	19880617
CN 1029964	B	19951011		
HU 48628	A2	19890628	HU 1988-3118	19880617
HU 201755	B	19901228		
ZA 8804346	A	19900228	ZA 1988-4346	19880617
IL 86788	A1	19920818	IL 1988-86788	19880617
KR 9701158	B1	19970129	KR 1988-7315	19880617
US 5006527	A	19910409	US 1989-445812	19891204
PRIORITY APPL. INFO.:				
			US 1987-63899	A 19870619
			US 1988-182814	A3 19880418
			EP 1988-201172	A 19880608
OTHER SOURCE(S): CASREACT 110:173236; MARPAT 110:173236				
G1				

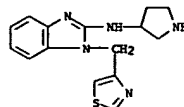
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7
 CMF C2 H2 O4

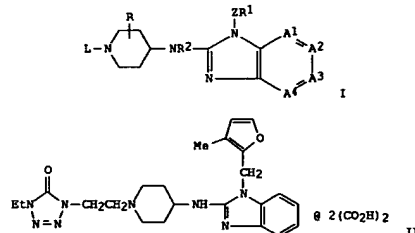


IT 120429-66-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate in prepn. of antiallergic agents)
 RN 120429-66-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-3-pyrrolidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



● 2 HBr

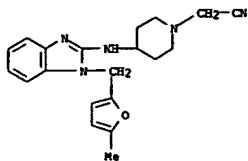
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB The title compds. [I; A1:A2A3:A4 = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, or CH:CHCH:N optimally substituted by halo, C1-6 alkyl, C1-6 alkoxy, CF3 or OH; R = H, C1-6 alkyl; R1 = (C1-6 alkyl-substituted) furanyl or imidazolyl, pyrazinyl, thiazolyl; Z = C1-6 alkanediyl; R2 = H, C1-6 alkyl, C3-6 cycloalkyl, aryl-substituted C1-6 alkyl, C1-6 acyl; L = (aryl-substituted) C3-6 alkenyl, ZR3, ZOR4, ZNR5R6, Z21C(O)R7, CH2CH(OH)CH2OR9; R3 = H, arylthio, arylsulfonyl, (un)substituted 4,5-dihydro-5-oxo-1H-tetrazol-1-yl, etc.; R4 = C1-6 alkyl, aryl; R5 = (aryl-substituted) C1-6 alkyl; R6 = aryl, (aryl-substituted) C1-6 alkyl, etc.; R7 = C1-6 alkyl, (aryl-substituted) C1-6 alkyl or amino, 1-piperidinyl, 1-pyrrolidinyl, 4-morpholinyl, etc.; Z1 = O, NR8 where R8 = H, (aryl-substituted) C1-6 alkyl; R9 = aryl; aryl = (substituted) Ph, naphthalenyl, (halo- or C1-6 alkyl-substituted) thienyl, (C1-6 alkyl-substituted) furanyl, etc.] were prepd. as antihistaminic agents. A mixt. of 1-(2-bromoethyl)-4-ethyl-1,4-dihydro-5H-tetrazol-5-one 3.32, 1-[5-methyl-2-furanyl]methyl]-N-(4-piperidinyl)-1H-benzimidazol-2-amine, 4.65, Na2CO3 1.6 and AcOH 2.45 parts was stirred overnight at 80.degree. to give 5% benzimidazole deriv. II. I protected rats against compd. 48/80-induced lethal circulatory collapse with ED50 of 0.005-0.04 mg/kg p.o. or s.c.

IT 90518-95-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and hydrogenation of)
 RN 90518-95-9 CAPLUS
 CN 1-Piperidineacetoneitrile, 4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

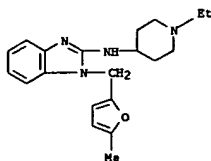
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 120073-07-6P 120073-08-8P 120073-15-6P
 120073-18-9P 120073-19-0P 120073-21-6P
 120073-23-6P 120073-25-6P 120073-27-0P
 120073-28-1P 120073-30-5P 120073-31-6P
 120073-49-6P 120073-53-2P 120073-54-3P
 120073-56-5P 120073-79-2P 120116-05-4P
 120116-07-6P 120116-10-1P 120116-12-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as antihistaminic)
 RN 120073-07-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[(1-ethyl-4-piperidinyl)-1-[(5-methyl-2-furanyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

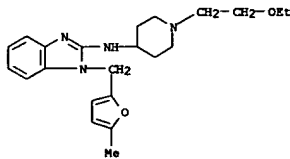
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CM 2

CRN 144-62-7
 CMF C2 H2 O4

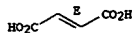
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



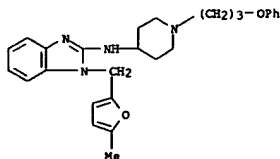
CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 120073-18-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-[(1-(3-phenoxypropyl)-4-piperidinyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)



RN 120073-19-0 CAPLUS
 CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[2-[4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

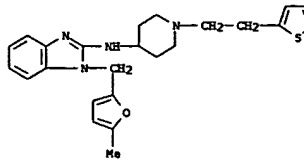


RN 120073-09-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-[(1-(2-thienyl)ethyl)-4-piperidinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120073-08-7
 CMF C24 H28 N4 O 5



CM 2

CRN 144-62-7
 CMF C2 H2 O4



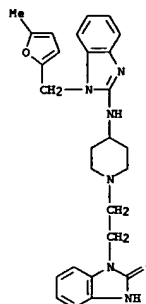
RN 120073-15-6 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[(1-(2-ethoxyethyl)-4-piperidinyl)-1-[(5-methyl-2-furanyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 120073-14-5
 CMF C22 H30 N4 O2

L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



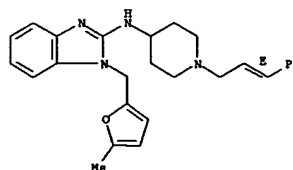
RN 120073-21-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-[(1-(3-phenyl-2-propenyl)-4-piperidinyl)-, (2E)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120073-20-3
 CMF C27 H30 N4 O

Double bond geometry as shown.



CM 2

CRN 144-62-7
 CMF C2 H2 O4

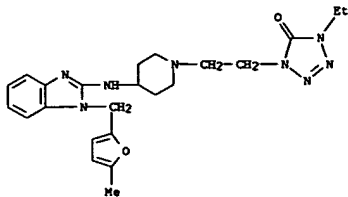
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120073-23-6 CAPLUS
 CN 5H-Tetrazol-5-one, 1-ethyl-1,4-dihydro-4-[2-[4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120073-22-5
 CMF C23 H30 N8 O2



CM 2

CRN 144-62-7
 CMF C2 H2 O4



RN 120073-25-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]-1-[(5-methyl-2-furanyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

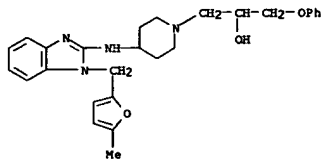
CM 1

CRN 120073-24-7
 CMF C27 H30 N4 O3

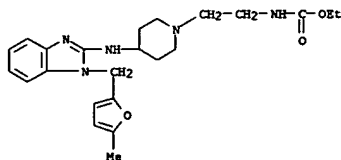
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120073-28-1 CAPLUS
 CN 1-Piperidineethanol, 4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-.alpha.- (phenoxymethyl)- (9CI) (CA INDEX NAME)

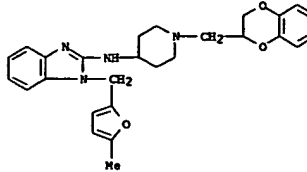


RN 120073-30-5 CAPLUS
 CN Carbamic acid, [2-[4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 120073-31-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-[1-(2-propenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

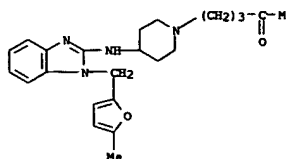
CRN 144-62-7
 CMF C2 H2 O4



RN 120073-27-0 CAPLUS
 CN 2-Pentanone, 5-[4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

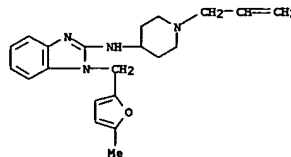
CRN 120073-26-9
 CMF C23 H30 N4 O2



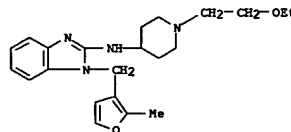
CM 2

CRN 144-62-7
 CMF C2 H2 O4

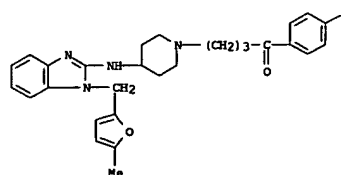
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120073-49-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-ethoxyethyl)-4-piperidinyl]-1-[(2-methyl-3-furanyl)methyl]- (9CI) (CA INDEX NAME)

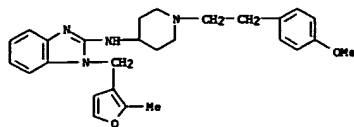


RN 120073-53-2 CAPLUS
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)

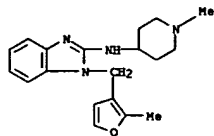


RN 120073-54-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-[(2-methyl-3-furanyl)methyl]- (9CI) (CA INDEX NAME)

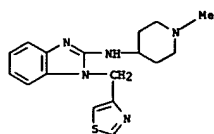
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120073-56-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-3-furanyl)methyl]-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 120073-79-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-4-piperidinyl)-1-(4-thiazolylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

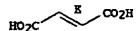
RN 120116-05-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl]-1-[(5-methyl-2-furanyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

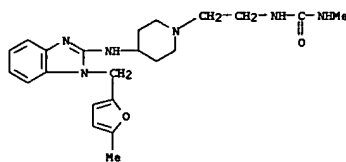
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 110-17-8
 CMF C4 H4 O4

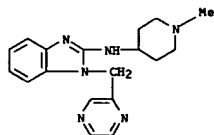
Double bond geometry as shown.



RN 120116-10-1 CAPLUS
 CN Urea, N-methyl-N'-[2-[4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 120116-12-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-4-piperidinyl)-1-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)

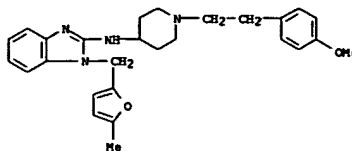


IT 90518-59-5P 90518-64-2P 90518-77-7P
 111921-85-8P 111922-00-0P 120073-92-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for antihistaminics)

RN 90518-59-5 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-3-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 120116-04-3
 CMF C27 H32 N4 O2

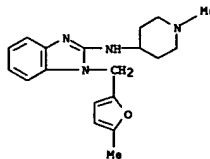


CM 2
 CRN 144-62-7
 CMF C2 H2 O4



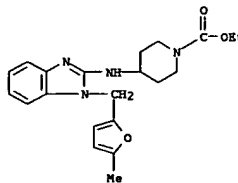
RN 120116-07-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-(1-methyl-4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1
 CRN 120116-06-5
 CMF C19 H24 N4 O

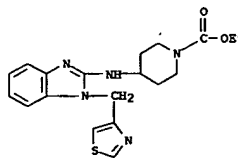


CM 2

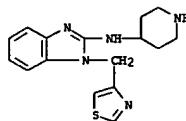
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90518-64-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-77-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



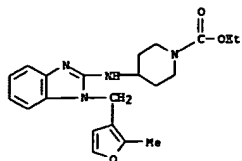
●2 HBr

RN 111921-85-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-3-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

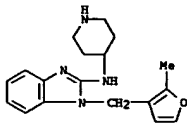
Hatte

6/02/2003

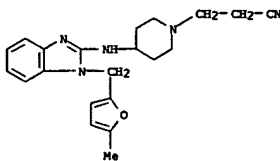
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



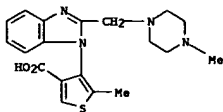
RN 111922-00-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-3-furanyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 120073-92-9 CAPLUS
CN 1-Piperidinepropanenitrile, 4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 117019-18-8 CAPLUS
CN 3-Thiophenecarboxylic acid, 5-methyl-4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

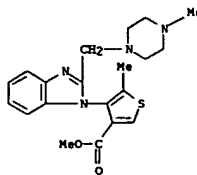


L4 ANSWER 34 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:562796 CAPLUS
DOCUMENT NUMBER: 109:162796
TITLE: Determination of telenzepine in human serum by gas chromatography-mass spectrometry
AUTHOR(S): Sturm, E.; Junker, A.
CORPORATE SOURCE: Byk Gulden Pharm., Konstanz, D-7750, Fed. Rep. Ger.
SOURCE: Journal of Chromatography (1988), 430(1), 43-51
CODEN: JOCRAM; ISSN: 0021-9673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:162796

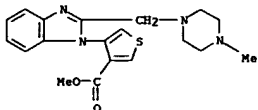
AB A method for detg. telenzepine in human serum is described. Analytes are obtained from alkalized serum by extn. of the drug using reversed-phase octadecylsilane-bonded silica cartridges. Telenzepine and a demethyl analog added to serum as internal std. are retained on the C18 cartridge and recovered by elution with MeOH. The gas chromatog. properties of telenzepine and the internal std. are improved by a 2-step derivatization involving a benzodiazepinone-benzimidazole rearrangement and simultaneous formation of a Me ester function. The processed ext. is analyzed by gas chromatog.-mass spectrometry with selected-ion monitoring. Quantification is linear over the range 2-40 ng/mL. Inter-day precision is within 7%, except at the detection limit of 2 ng/mL (16%). Application of this assay to routine anal. is limited by the extensive sample pretreatment essential for derivatization of telenzepine.

IT 117019-16-6P 117019-17-7P 117019-18-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for telenzepine detn. in human blood by gas chromatog.)
RN 117019-16-6 CAPLUS
CN 3-Thiophenecarboxylic acid, 5-methyl-4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 117019-17-7 CAPLUS
CN 3-Thiophenecarboxylic acid, 4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



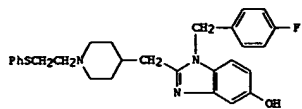
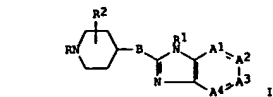
RN 117019-18-8 CAPLUS
CN 3-Thiophenecarboxylic acid, 5-methyl-4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:437821 CAPLUS
DOCUMENT NUMBER: 109:37821
TITLE: Preparation of 4-[(bicyclic heterocyclyl)methyl]piperidines and analogs as antihistaminics
INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Hens, Jozef F.; Torremans, Joseph L. G.; Diels, Gaston S. M.
PATENT ASSIGNER(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 571,135, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4695575	A	19870922	US 1985-747754	19850624
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
FI 8500079	A	19850710	FI 1985-79	19850108
FI 83867	B	19910531		
FI 83867	C	19910910		
NO 8500085	A	19850710	NO 1985-85	19850108
NO 160849	B	19890227		
NO 160849	C	19890607		
DK 8500089	A	19850710	DK 1985-89	19850108
JP 60185777	A2	19850921	JP 1985-479	19850108
JP 07068240	B4	19950726		
HU 36471	A2	19850930	HU 1985-61	19850108
HU 200338	B	19900528		
ZA 8500187	A	19860827	ZA 1985-187	19850108
RO 90622	B3	19861210	RO 1985-117252	19850108
SU 1396964	A3	19880515	SU 1985-3836858	19850108
IL 74018	A1	19880831	IL 1985-74018	19850108
PL 145710	B1	19881031	PL 1985-251488	19850109
US 4839374	A	19890613	US 1987-94987	19870910
PRIORITY APPLN. INFO.:			US 1984-569369	19840109
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			US 1985-747754	19850624
OTHER SOURCE(S):		CASREACT 109:37821		
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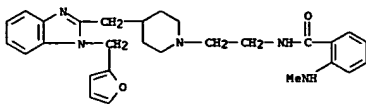
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB The title compds. [I]: 3 of A1-A4 = (un)substituted GH, the 4th = N, (un)substituted CH = R, CH₂, O, SO, SO₂; R = substituted C1-6 alkyl, alkoxy, alkythio, amino, pyrrolidinyl, piperidinyl, hexahydroazepinyl, etc.; R1 = R, alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, heterocycloalkyl, aralkyl, etc.; R2 = H, alkyl, aryl, and acid salts were prep'd. as antihistaminic and serotonin antagonists: 1-[(4-Fluorophenyl)methyl]-2-[(4-piperidinyl)methyl]-1H-benzimidazol-5-ol and PhS(CH₂)₂H₂Br were refluxed 2 hr in Me₂CH₂CO₂ contg. Na₂CO₃ to give 27.8% benzimidazole deriv. (II). I inhibited contg. 48/60-induced histaminic release caused by 100 μg/kg i.p. release, ED₅₀ of 0.095-0.16 mg/kg s.c. or orally. I also inhibited gastric lesions caused by simultaneous release of serotonin.

IT 99960-16-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acetylation of)

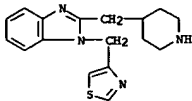
RN 99960-16-4 CAPLUS
CN Benzanide, N-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2-(methylamino)- (9CI) (CA INDEX NAME)



IT 99944-13-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(debenzoylation-N-acylation of. by Et chloroformate)

RN 99944-13-5 CASLUS
CN 1H-Benzimidazole, 2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

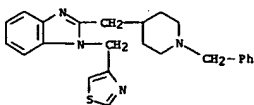


●3 HBK

IT	99944-17-99	99954-01-59	99954-07-19
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	99959-24-79	99959-49-69	99959-51-09
	99959-52-19	99959-53-29	99960-60-19
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	99959-75-39	99960-26-69	99960-28-89
	99960-37-99	99960-38-09	99960-59-59
	99960-60-89	99960-61-99	99960-63-19
	99960-64-29	99960-70-09	99960-71-19
	99960-79-99	99960-84-69	99960-88-09
	99960-83-79	99960-87-19	99960-99-39
	99961-10-79	99961-18-99	99961-21-49
	99961-16-79	99961-18-99	99961-19-09
	99961-21-49	99961-23-69	99961-49-69
	99961-51-09	99961-53-29	99961-55-49
	99961-78-19	99962-72-79	99962-26-29
	99962-30-99	99962-71-09	99962-74-09
	99962-35-59	99962-72-79	99962-74-09
	99963-03-89	99963-04-39	99963-13-09
	99963-15-29	99963-18-59	99963-20-99
	99963-57-29	100015-20-19	100015-23-49
	100015-24-59	100015-30-39	100015-50-79
	100015-57-49	100015-58-59	100015-64-39
	100016-08-69	100016-11-39	100016-31-79

RI: BAC (Biological activity, effect or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(predn. of, as antihistaminic)

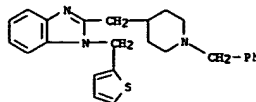
RN 99944-17-9 CAPLUS
CN 1H-Benzimidazole, 2-[[1-(phenylmethyl)-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 99954-01-5 CAPLUS

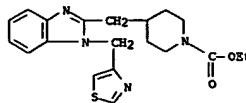
Habte

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



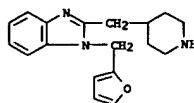
IT 99958-54-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and hydrolysis-decarboxylation of)

RN 99958-54-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 99959-22-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. and N-alkylation of, by (chloropropyl)benzimidazolone)
 RN 99959-22-5 CAPLUS
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-(4-piperidinylmethyl)- (9CI) (CA
 INDEX NAME)



IT 99958-55-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. and N-alkylation of, by chloroethyl anisyl ether)
 RN 99958-55-1 CAPLUS
 CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(4-thiazolylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

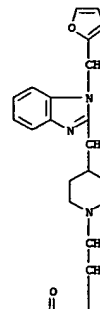
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

1H-Purine-2,6-dione, 7-[2-{4-[[1-(2-furanyl)ethyl]-1H-benzimidazol-2-yl]methyl}-1-piperidinyl]ethyl]-3,7-dihydro-1,3-dimethyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

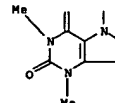
CH 1

CRN 99954-00-4
CHF C27 H31 N7 O3

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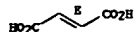
OK 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

6/02/2003

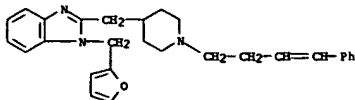
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99954-07-1 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-furanylmethyl)-2-[[1-(4-phenyl-3-butenyl)-4-piperidinyl]methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

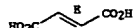
CRN 99954-06-0
 CMF C28 H31 N3 O



CM 2

CRN 110-17-8
 CMF C4 H4 O4

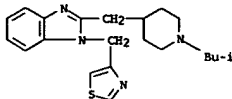
Double bond geometry as shown.



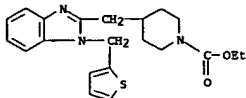
RN 99954-23-1 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-(2-methylpropyl)-4-piperidinyl]methyl]-1-(4-thiazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99954-22-0
 CMF C21 H28 N4 S

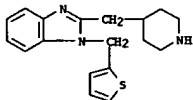


L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



• HCl

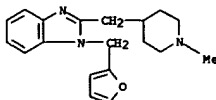
RN 99958-52-8 CAPLUS
 CN 1H-Benzimidazole, 2-[(4-piperidinylmethyl)-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 99958-65-3 CAPLUS
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[[1-(methyl-4-piperidinyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

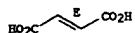
CRN 99958-64-2
 CMF C19 H23 N3 O



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



Habte

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

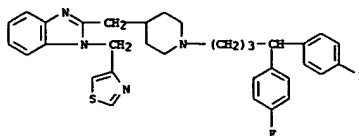
CRN 144-62-7
 CMF C2 H2 O4



RN 99954-25-3 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[(4,4-bis(4-fluorophenyl)butyl)-4-piperidinyl]methyl]-1-(4-thiazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99954-24-2
 CMF C33 H34 F2 N4 S



CM 2

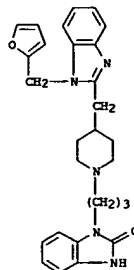
CRN 144-62-7
 CMF C2 H2 O4



RN 99958-51-7 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

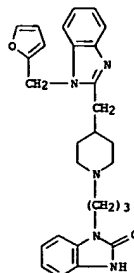
RN 99959-23-6 CAPLUS
 CN 2H-Benzimidazol-2-one, 1-[3-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]propyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 99959-24-7 CAPLUS
 CN 2H-Benzimidazol-2-one, 1-[3-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]propyl]-1,3-dihydro-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99959-23-6
 CMF C28 H31 N5 O2



6/02/2003

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

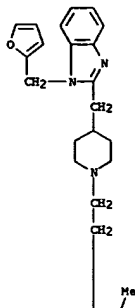
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 99959-49-6 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl-ethanedioate (1:2) (9CI) (CA INDEX NAME)

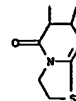
CM 1

CRN 99959-48-5
CMF C27 H33 N5 O2 S

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L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

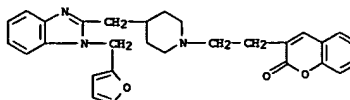


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 99959-51-0 CAPLUS

CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

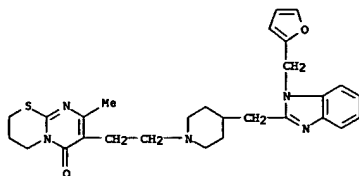


●2 HCl

RN 99959-52-1 CAPLUS

CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 7-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-3,4-dihydro-8-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

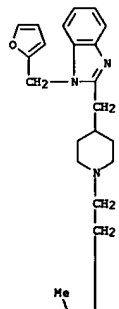
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



●3 HCl

RN 99959-53-2 CAPLUS

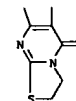
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



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L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

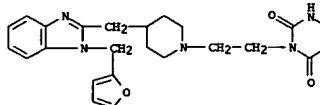
PAGE 2-A



●3 HCl

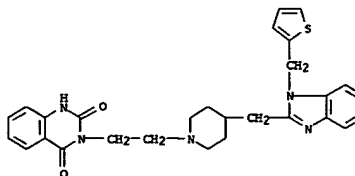
RN 99959-60-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99959-73-6 CAPLUS

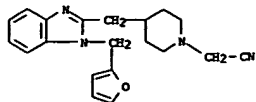
CN 2,4(1H,3H)-Quinazolin-2(1H)-one, 3-[2-[4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



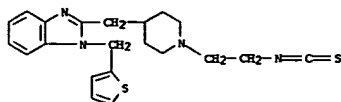
RN 99959-84-9 CAPLUS

CN 1-Piperidineacetonitrile, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

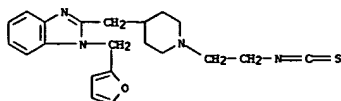
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



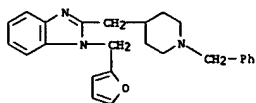
RN 99959-95-2 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-(2-isothiocyanatoethyl)-4-piperidinyl]methyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 99959-96-3 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-furanyl)methyl]-2-[[1-(2-isothiocyanatoethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

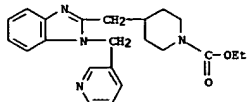


RN 99960-26-6 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-furanyl)methyl]-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

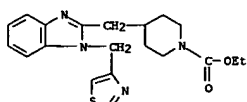


RN 99960-28-8 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

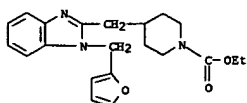


RN 99960-60-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 99960-61-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

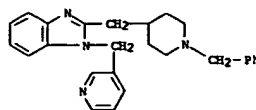


RN 99960-63-1 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-furanyl)methyl]-2-(4-piperidinylmethyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

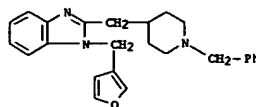
CM 1

CRN 99959-22-5
 CMF C18 H21 N3 O

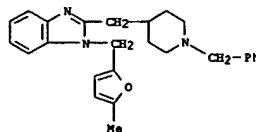
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99960-37-9 CAPLUS
 CN 1H-Benzimidazole, 1-[(3-furanyl)methyl]-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

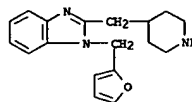


RN 99960-38-0 CAPLUS
 CN 1H-Benzimidazole, 1-[(5-methyl-2-furanyl)methyl]-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 99960-59-5 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

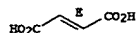
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



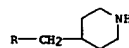
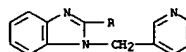
CM 2

CRN 110-17-8
 CMF C4 H4 O4

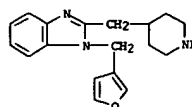
Double bond geometry as shown.



RN 99960-64-2 CAPLUS
 CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

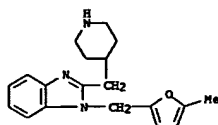


RN 99960-70-0 CAPLUS
 CN 1H-Benzimidazole, 1-[(3-furanyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 99960-71-1 CAPLUS
 CN 1H-Benzimidazole, 1-[(5-methyl-2-furanyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

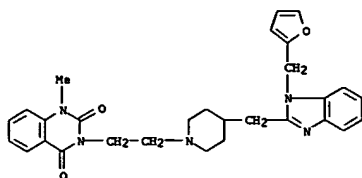
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99960-79-9 CAPLUS
 CN 2,4-bis(1H-benzimidazol-2-ylmethyl)-1-piperidinylium ethanediolate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99960-78-8
 CMF C29 H31 N5 O3



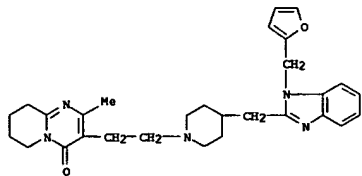
CM 2

CRN 144-62-7
 CMF C2 H2 O4



RN 99960-84-6 CAPLUS
 CN 2,4-bis(1H-benzimidazol-2-ylmethyl)-1-piperidinylium ethanediolate (1:2) (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

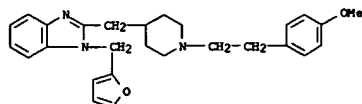
CRN 144-62-7
 CMF C2 H2 O4



RN 99960-97-1 CAPLUS
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-, (2E)-2-butenediolate (1:1) (9CI) (CA INDEX NAME)

CM 1

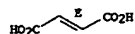
CRN 99960-96-0
 CMF C27 H31 N3 O2



CM 2

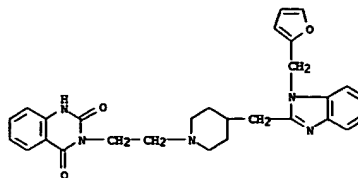
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



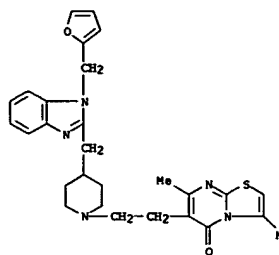
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L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99960-88-0 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-{4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)



RN 99960-93-7 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-{4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-, ethanediolate (1:3) (9CI) (CA INDEX NAME)

CM 1

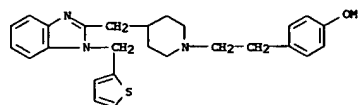
CRN 99960-92-6
 CMF C29 H35 N5 O2

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99960-99-3 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(2-thienylmethyl)-, (2E)-2-butenediolate (1:1) (9CI) (CA INDEX NAME)

CM 1

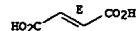
CRN 99960-98-2
 CMF C27 H31 N3 O 5



CM 2

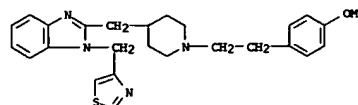
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 99961-08-7 CAPLUS

CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

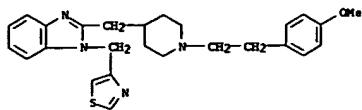


RN 99961-09-8 CAPLUS

CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(4-thiazolylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

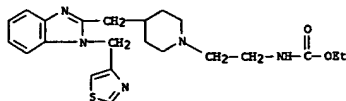


●2 HCl

RN 99961-11-2 CAPLUS
 CN Carboxylic acid, [2-[4-[[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethyl ester, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-10-1
 CMF C22 H29 N5 O2 S



CM 2

CRN 144-62-7
 CMF C2 H2 O4



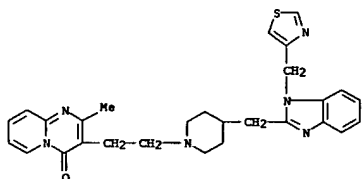
RN 99961-16-7 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-15-6

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

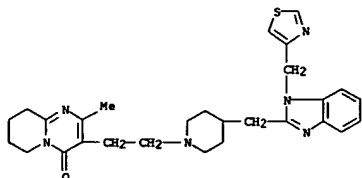
RN 99961-19-0 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)



RN 99961-21-4 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[4-[[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-20-3
 CMF C28 H34 N6 O S



CM 2

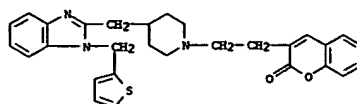
CRN 144-62-7
 CMF C2 H2 O4



Habte

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CMF C29 H29 N3 O2 S



CM 2

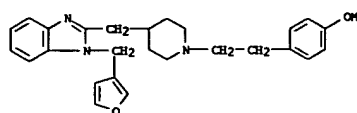
CRN 144-62-7
 CMF C2 H2 O4



RN 99961-18-9 CAPLUS
 CN 1H-Benzimidazole, 1-(3-furanylmethyl)-2-[[[1-(2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-17-8
 CMF C27 H31 N3 O2



CM 2

CRN 144-62-7
 CMF C2 H2 O4



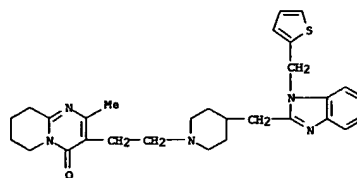
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

99961-23-6 CAPLUS

RN 99961-23-6 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[4-[[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-22-5
 CMF C29 H35 N5 O S

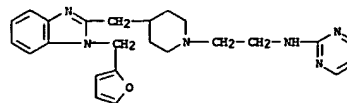


CM 2

CRN 144-62-7
 CMF C2 H2 O4



RN 99961-49-6 CAPLUS
 CN 2-Pyrimidinamine, N-[2-[4-[[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

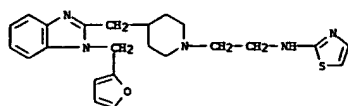


RN 99961-51-0 CAPLUS
 CN 1-Piperidineethanamine, 4-[[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-N-2-thiazolyl-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

6/02/2003

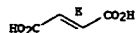
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CRN 99961-50-9
 CMF C23 H27 N5 O 5



CM 2

CRN 110-17-8
 CMF C4 H4 O4

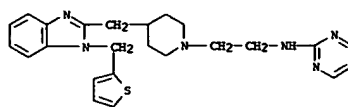
Double bond geometry as shown.



RN 99961-53-2 CAPLUS
 CN 2-Pyrimidinamine, N-[2-{4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-52-1
 CMF C24 H28 N6 S



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

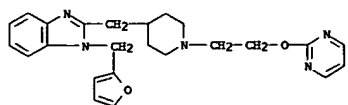
CRN 144-62-7
 CMF C2 H2 O4



RN 99962-21-7 CAPLUS
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[[1-[2-(2-pyrimidinylloxy)ethyl]-4-piperidinyl]methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99962-20-6
 CMF C24 H27 N5 O2



CM 2

CRN 144-62-7
 CMF C2 H2 O4

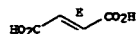


RN 99962-26-2 CAPLUS
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-{4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99962-25-1
 CMF C26 H29 N7 S

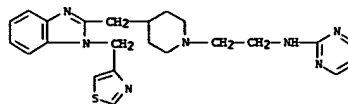
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99961-55-4 CAPLUS
 CN 2-Pyrimidinamine, N-[2-{4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-54-3
 CMF C23 H27 N7 S



CM 2

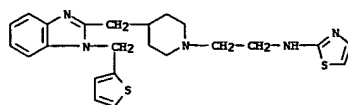
CRN 144-62-7
 CMF C2 H2 O4



RN 99961-78-1 CAPLUS
 CN 1-Piperidineethanamine, N-2-thiazolyl-4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

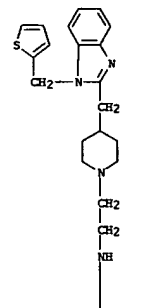
CM 1

CRN 99961-77-0
 CMF C23 H27 N5 S2

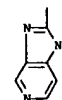


L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



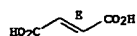
PAGE 2-A



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 99962-30-8 CAPLUS
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-{4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

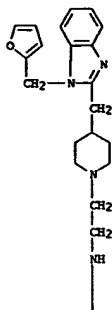
CM 1

Habte

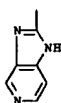
6/02/2003

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CRN 99962-29-5
 CMF C26 H29 N7 O

PAGE 1-A



PAGE 2-A

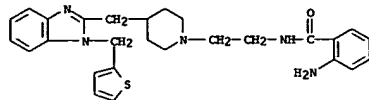


CM 2

CRN 144-62-7
 CMF C2 H2 O4



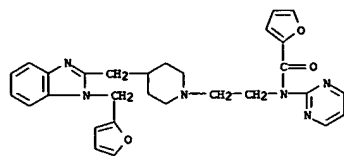
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99963-00-5 CAPLUS
 CN 2-Furancarboxamide, N-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-N-2-pyrimidinyl-, ethanediolate (1:2) (9CI)
 (CA INDEX NAME)

CM 1

CRN 99962-99-9
 CMF C29 H30 N6 O3



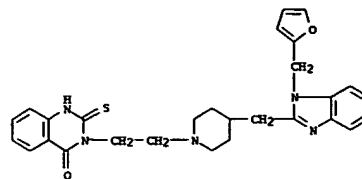
CM 2

CRN 144-62-7
 CMF C2 H2 O4

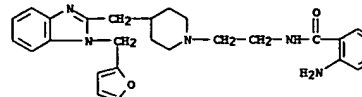


RN 99963-03-8 CAPLUS
 CN Benzamide, 2-(acetylmethylamino)-N-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

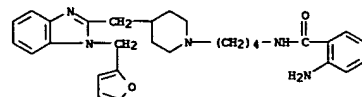
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 99962-72-8 CAPLUS
 CN 4[[1H]-Quinazolinone, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



RN 99962-74-0 CAPLUS
 CN Benzamide, 2-amino-N-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

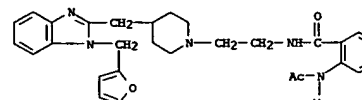


RN 99962-79-5 CAPLUS
 CN Benzamide, 2-amino-N-[4-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 99962-83-1 CAPLUS
 CN Benzamide, 2-amino-N-[2-[4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

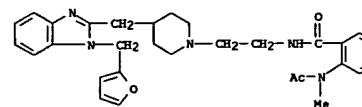
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99963-04-9 CAPLUS
 CN Benzamide, 2-(acetylmethylamino)-N-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanediolate (2:5) (9CI)
 (CA INDEX NAME)

CM 1

CRN 99963-03-8
 CMF C30 H35 N5 O3



CM 2

CRN 144-62-7
 CMF C2 H2 O4

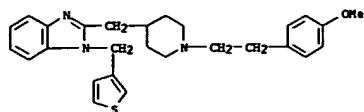


RN 99963-13-0 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(3-thienylmethyl)-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99963-12-9
 CMF C27 H31 N3 O 5

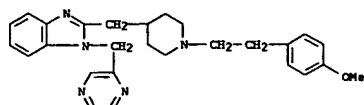
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4RN 99963-15-2 CAPLUS
CN 1H-Benzimidazole, 2-[[1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl]methyl]-1-(pyrazinylmethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

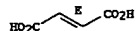
CM 1

CRN 99963-14-1
CMF C27 H31 N5 O

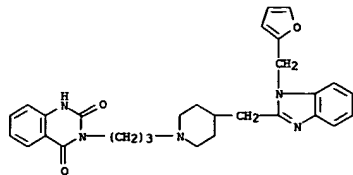
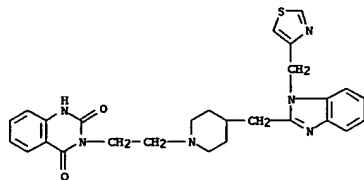
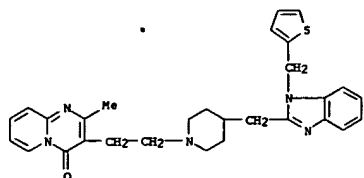
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

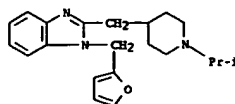


L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 100015-20-1 CAPLUS
CN 2,4(1H,3H)-Quinazolin-2-one, 3-[2-[4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)RN 100015-23-4 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)RN 100015-24-5 CAPLUS
CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

Habe

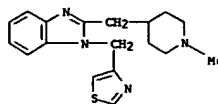
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99963-18-5 CAPLUS
CN 1H-Benzimidazole, 1-(2-furanyl-methyl)-2-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 99963-20-9 CAPLUS
CN 1H-Benzimidazole, 2-[[1-methyl-4-piperidinyl]methyl]-1-(4-thiazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

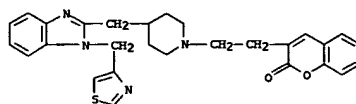
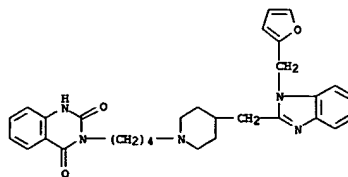
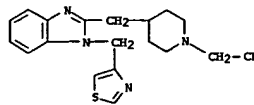
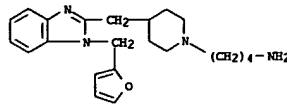
CM 1

CRN 99963-19-6
CMF C18 H22 N4 S

CM 2

CRN 144-62-7
CMF C2 H2 O4RN 99963-57-2 CAPLUS
CN 2,4(1H,3H)-Quinazolin-2-one, 3-[3-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 100015-30-3 CAPLUS
CN 2,4(1H,3H)-Quinazolin-2-one, 3-[4-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)RN 100015-50-7 CAPLUS
CN 1-Piperidineacetonitrile, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)RN 100015-57-4 CAPLUS
CN 1-Piperidinebutanamine, 4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

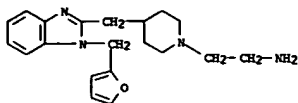
RN 100015-58-5 CAPLUS

6/02/2003

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1-Piperidineethanamine, 4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

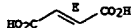
CRN 99960-06-2
 CMF C20 H26 N4 O



CM 2

CRN 110-17-8
 CMF C4 H4 O4

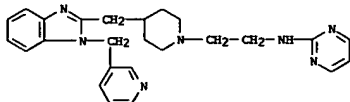
Double bond geometry as shown.



RN 100015-64-3 CAPLUS
 CN 2-Pyrimidinamine, N-[2-{4-[[1-(3-pyridinyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

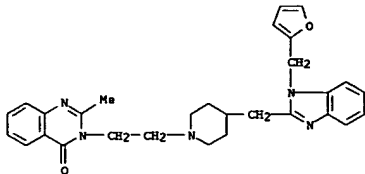
CRN 100015-63-2
 CMF C25 H29 N7



CM 2

CRN 144-62-7

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 4(3H)-Quinoxalinone, 3-[2-{4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-2-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

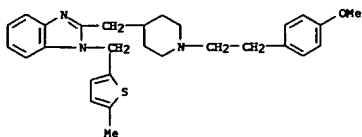


●3 HCl

RN 100016-31-7 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-[[5-methyl-2-thienyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 100016-30-6
 CMF C28 H33 N3 O 5



CM 2

CRN 144-62-7
 CMF C2 H2 O4



RN 100016-33-9 CAPLUS

Habte

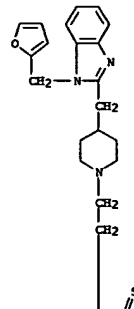
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CMF C2 H2 O4



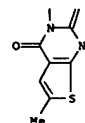
RN 100016-06-6 CAPLUS

CN Thieno[2,3-d]pyrimidin-4(1H)-one, 3-[2-{4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-6-methyl-2-thioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



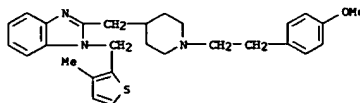
PAGE 2-A



L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-[[3-methyl-2-thienyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 100016-32-8
 CMF C28 H33 N3 O 5



CM 2

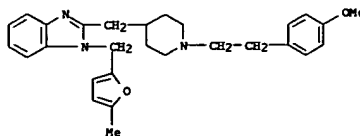
CRN 144-62-7
 CMF C2 H2 O4



RN 100044-14-2 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-[[5-methyl-2-furanyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 100044-13-1
 CMF C28 H33 N3 O2



CM 2

CRN 144-62-7
 CMF C2 H2 O4

6/02/2003

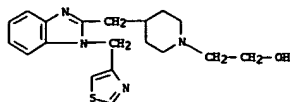
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 100044-16-4 CAPLUS
 CN 1-Piperidineethanol, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethanedioate (2:5) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 100044-15-3
 CMF C19 H24 N4 O 5



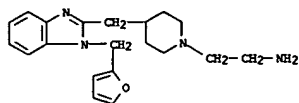
CM 2

CRN 144-62-7
 CMF C2 H2 O4



IT 99960-06-2P
 RI: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, for amidation of anthranilic acid)

RN 99960-06-2 CAPLUS
 CN 1-Piperidineethanamine, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



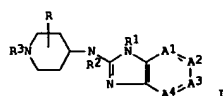
L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:21891 CAPLUS
 DOCUMENT NUMBER: 108:21891
 TITLE: Preparation of piperidinylaminobenzimidazoles and-imidazo pyridines as allergy inhibitors
 INVENTOR(S): Janssens, Frans E.; Hans, Jozef F.; Torremans, Joseph L. G.; Heykants, Jozef J. P.
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: Eur. Pat. Appl., 32 pp.
 CODEN: EPKXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 232937	A2	19870819	EP 1987-200128	19870128
EP 232937	A3	19880406		
EP 232937	B1	19920819		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4835161	A	19890530	US 1987-5546	19870121
AT 79620	E	19920915	AT 1987-200128	19870128
CA 1327579	A1	19940308	CA 1987-528342	19870128
ES 2052544	T3	19940716	ES 1987-200128	19870128
DK 8700541	A	19870804	DK 1987-541	19870202
FI 8700448	A	19870804	FI 1987-448	19870202
NO 8700407	A	19870804	NO 1987-407	19870202
AU 8768218	A1	19870806	AU 1987-68218	19870202
AU 583706	B2	19890504		
JP 62215575	A2	19870922	JP 1987-20600	19870202
JP 07094393	B4	19951011		
HU 44544	A2	19880328	HU 1987-354	19870202
HU 201067	B	19900928		
ZA 8700744	A	19881026	ZA 1987-744	19870202
IL 81448	A1	19900917	IL 1987-81448	19870202
CN 87100563	A	19870819	CN 1987-100563	19870203
CN 1018614	B	19921014		
JP 07179458	A2	19950718	JP 1994-260917	19941003
JP 08019126	B4	19960228		

PRIORITY APPLN. INFO.: US 1986-825491 19860203
 EP 1987-200128 19870128

OTHER SOURCE(S): CASREACT 108:21891
 GI



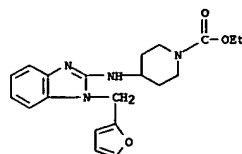
AB The title compds. [I: R = H, alkyl; R1 = H, alkyl, cycloalkyl, (hetero)aryl, arylalkyl; R2 = H, alkyl, cycloalkyl, alkylcarbonyl,

Habte

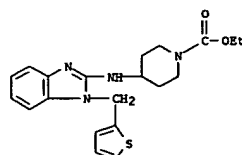
L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

alkoxycarbonyl, (hetero)arylalkyl; R3 = H, alkoxycarbonyl, alkoxycarbonyl, (hetero)arylalkyl; R4 = (substituted) CH=CH-CH=CH, N:CH-CH=CH, CH:W-CH:CH were prepd. as antihistaminics and serotonin antagonists. Et 4-amino-1-piperidinecarboxylate and 2-chloro-1-(4-fluorophenylmethyl)-1H-benzimidazole were heated at 120.degree. with stirring for 43 h to give 40.5% Et 4-[[1-(4-fluorophenylmethyl)-1H-benzimidazole-2-ylamino]-1-piperidinecarboxylate. The latter was treated with 48% HBr for 1 h to give 82% 1-[[1-(4-fluorophenylmethyl)-N-(4-piperidinyl)-1H-benzimidazole-2-amine.2HBr (II). The latter inhibited compd. 48/80-induced lethality in rats with an ED50 of 0.056 mg/kg.
 IT 90518-53-9P 90518-57-3P 90518-58-4P
 90518-59-5P 90518-61-9P 90518-62-0P
 90518-63-1P 90518-64-2P 90518-65-3P
 90518-67-5P 90518-71-1P 90518-72-2P
 90518-77-7P 111921-85-8P 111921-88-1P
 111922-00-0P
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as allergy inhibitor)
 RN 90518-57-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



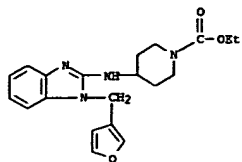
RN 90518-57-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



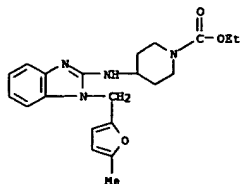
RN 90518-58-4 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(3-furanylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

6/02/2003

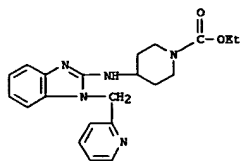
L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90518-59-5 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



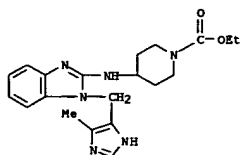
RN 90518-61-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-62-0 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(3-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

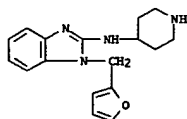
L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 90518-65-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(5-methyl-1H-imidazol-4-yl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

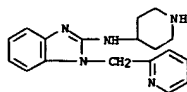


●2 HCl

RN 90518-67-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 90518-71-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



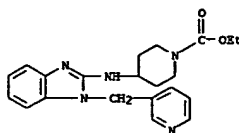
●3 HBr

RN 90518-72-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(3-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

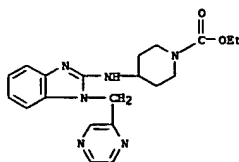
Habr

L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

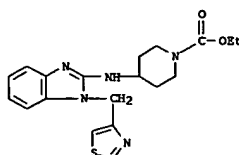


RN 90518-63-1 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(pyrazinyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester, dihydrobromide (9CI) (CA INDEX NAME)



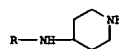
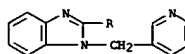
●2 HBr

RN 90518-64-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(4-thiazolyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



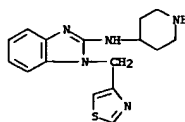
L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

trihydrobromide (9CI) (CA INDEX NAME)



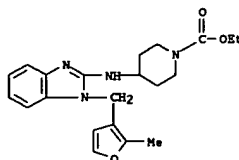
●3 HBr

RN 90518-77-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

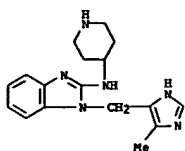
RN 111921-85-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(2-methyl-3-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 111921-88-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-1H-imidazol-4-yl)methyl]-N-4-piperidinyl-, trihydrobromide (9CI) (CA INDEX NAME)

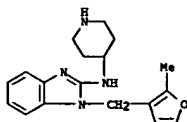
6/02/2003

L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
piperidinyl-, dihydrobromide (9CI) (CA INDEX NAME)

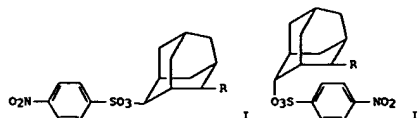


● 2 HBr

RN 111922-00-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-3-furanyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)

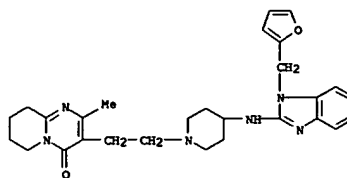


L4 ANSWER 37 OF 46 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:148361 CAPLUS
DOCUMENT NUMBER: 104:148361
TITLE: Synthesis and hydrolysis of 4-substituted 2-adamantyl p-nitrobenzenesulfonates
AUTHOR(S): Grob, Cyril A.; Wittwer, Gerhardt; Rao, K. Rama
CORPORATE SOURCE: Inst. Org. Chem., Univ. Basel, Basel, CH-4056, Switz.
SOURCE: Helvetica Chimica Acta (1985), 68(3), 760-9
CODEN: HCAVAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 104:148361
GI



AB The adamantyl esters I (R = H, Me, CH₂OAc, CH₂Br, CO₂H, CO₂Me, Cl, Br, cyano, CO₂Na, CH₂OH, CH₂OMe, CONH₂) and II (R = CH₂Br, CO₂Me, Br, cyano) were hydrolyzed in 70% aq. dioxane to give, in each case, a mixt. of the axial and equatorial alcs. I and II were prepd. by new routes.
IT 99157-11-6P

RI: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and nitrobenzenesulfonylation of)
RN 99157-11-6 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-(4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl)ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



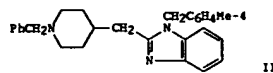
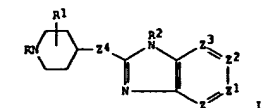
L4 ANSWER 37 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:68861 CAPLUS
DOCUMENT NUMBER: 104:68861
TITLE: (Piperidinylmethyl)- and (piperidinyl)benzimidazole s and -imidazopyridines
INVENTOR(S): Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine; Hens, Jozef Francis; Torremans, Joseph Leo G.; Diels, Gaston Stanislas M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 140 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 151826	A1	19850821	EP 1984-201851	19841213
EP 151826	B1	19930331		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 87626	E	19930415	AT 1984-201851	19841213
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
FI 8500079	A	19850710	FI 1985-79	19850108
FI 83867	B	19910531		
FI 83867	C	19910910		
NO 8500085	A	19850710	NO 1985-85	19850108
NO 160849	B	19890227		
NO 160849	C	19890607		
DK 8500089	A	19850710	DK 1985-89	19850108
JP 60185777	A2	19850921	JP 1985-479	19850108
JP 07068240	B4	19950726		
HU 36471	A2	19850930	HU 1985-61	19850108
HU 200338	B	19900528		
ZA 8500187	A	19860827	ZA 1985-187	19850108
RO 90622	B3	19861210	RO 1985-117252	19850108
SU 1396964	A3	19880515	SU 1985-3836858	19850108
IL 74018	A1	19880831	IL 1985-74018	19850108
PL 145710	B1	19881031	PL 1985-251488	19850109
PRIORITY APPLN. INFO.:			US 1984-569369	19840109
			US 1984-671135	19841113
			EP 1984-201851	19841213

GI

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



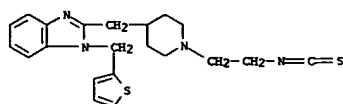
AB The title compds. I (Z-Z3 = CH, or one of Z-Z3 is N and the remainder are CH; Z4 = CH2, O, S, SO2; R = alkyl, aryl-, heteroaryl-, acyl-, hydroxy-, aryloxy, heteroaryloxy-, alkoxy-, arylthio-, carbonyl-, carboalkoxy-, cyano-, amino-, ureido-, thioureido-, or guanidinoalkyl, cycloalkyl, alkenyl, arylalkenyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, aryl, heteroaryl, aryl- or heteroarylalkyl), which were prepd., exhibited antihistaminic activity. Thus, a mixt. of 2-(4-MeC6H4(CH2NH)C6H4NH2 and Et 1-benzyl-4-piperidineacetimidate hydrochloride in MeOH was refluxed and NH3 was added to give benzimidazole II.

IT 99959-95-2 99959-96-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

RN 99959-95-2 CAPLUS

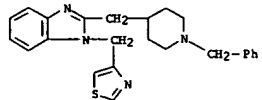
CN 1H-Benzimidazole, 2-[[1-(2-isothiocyanatoethyl)-4-piperidinyl]methyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 99959-96-3 CAPLUS

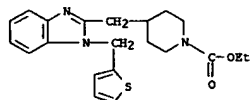
CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[[1-(2-isothiocyanatoethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99958-51-7 CAPLUS

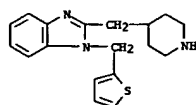
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 99958-52-8 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



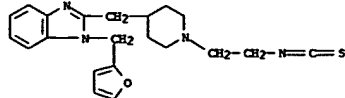
RN 99958-65-3 CAPLUS

CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[[1-methyl-4-piperidinyl]methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99958-64-2
CMF C19 H23 N3 O

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

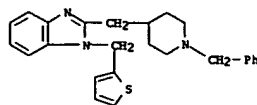


IT 99944-13-5

RL: RCT (Reactant); RACT (Reactant or reagent) (debenzylation-N-acylation of, by Et chloroformate)

RN 99944-13-5 CAPLUS

CN 1H-Benzimidazole, 2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



IT 99944-17-9P 99950-51-7P 99958-52-8P

99958-65-3P 99959-23-6P 99959-24-7P

99959-49-6P 99959-51-0P 99959-52-1P

99959-53-2P 99959-60-1P 99959-73-6P

99960-79-9P 99960-84-6P 99960-88-0P

99960-93-7P 99960-97-1P 99960-99-3P

99961-08-7P 99961-09-8P 99961-11-2P

99961-16-7P 99961-18-9P 99961-19-0P

99961-21-4P 99961-23-6P 99961-49-6P

99961-51-0P 99961-53-2P 99961-55-4P

99961-78-1P 99962-21-7P 99962-26-2P

99962-30-8P 99962-72-8P 99962-74-0P

99962-79-5P 99962-83-1P 99963-00-5P

99963-03-8P 99963-04-9P 99963-13-0P

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100015-24-5P 100015-30-3P 100044-14-2P

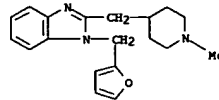
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antihistaminic activity of)

RN 99944-17-9 CAPLUS

CN 1H-Benzimidazole, 2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

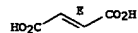
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

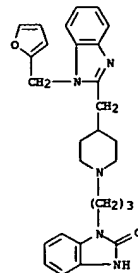
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 99959-23-6 CAPLUS

CN 2H-Benzimidazol-2-one, 1-[3-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]propyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



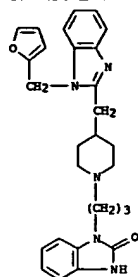
RN 99959-24-7 CAPLUS

CN 2H-Benzimidazol-2-one, 1-[3-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]propyl]-1,3-dihydro-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99959-23-6
CMF C28 H31 N5 O2

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

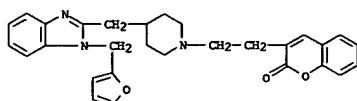
RN 99959-49-6 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99959-49-5
CMF C27 H33 N5 O2 S

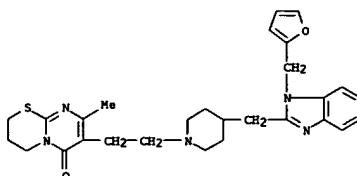
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



●2 HCl

RN 99959-52-1 CAPLUS

CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 7-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-3,4-dihydro-8-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



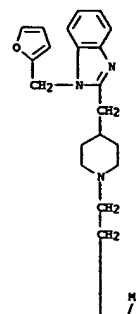
●3 HCl

RN 99959-53-2 CAPLUS

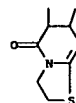
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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CM 2

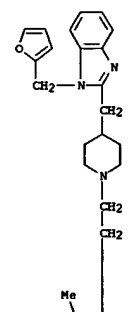
CRN 144-62-7
CMF C2 H2 O4

RN 99959-51-0 CAPLUS

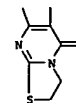
CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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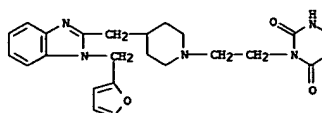
PAGE 2-A



●3 HCl

RN 99959-60-1 CAPLUS

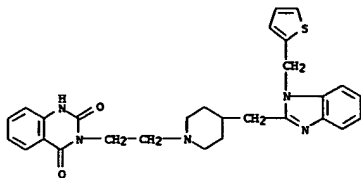
CN 2,4-(1H,3H)-Pyrimidinedione, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



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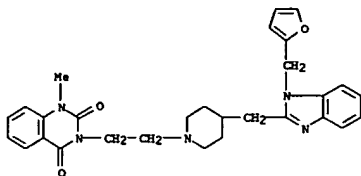
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 99959-73-6 CAPLUS
 CN 2,4(1H,3H)-Quinoxalinedione, 3-[2-[4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99960-79-9 CAPLUS
 CN 2,4(1H,3H)-Quinoxalinedione, 3-[2-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-1-methyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 99960-78-8
 CMF C29 H31 N5 O3



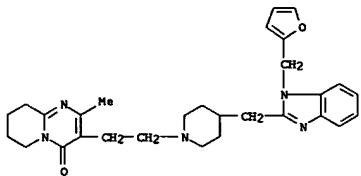
CH 2

CRN 144-62-7
 CMF C2 H2 O4

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 , ethanedioate (1:3) (9CI) (CA INDEX NAME)

CH 1

CRN 99960-92-6
 CMF C29 H35 N5 O2



CH 2

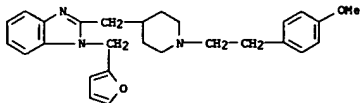
CRN 144-62-7
 CMF C2 H2 O4



RN 99960-97-1 CAPLUS
 CN 1H-Benzimidazole, 1-(2-furanyl-methyl)-2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 99960-96-0
 CMF C27 H31 N3 O2



CH 2

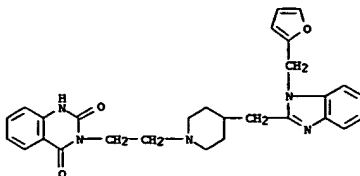
CRN 110-17-8
 CMF C4 H4 O4

Habte

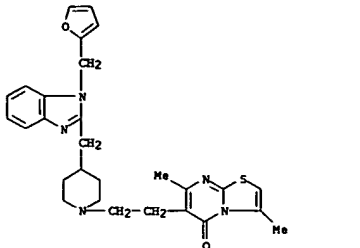
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99960-84-6 CAPLUS
 CN 2,4(1H,3H)-Quinoxalinedione, 3-[2-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



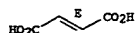
RN 99960-88-0 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)



RN 99960-93-7 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

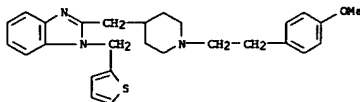
Double bond geometry as shown.



RN 99960-99-3 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(2-thienyl-methyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

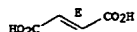
CRN 99960-98-2
 CMF C27 H31 N3 O 5



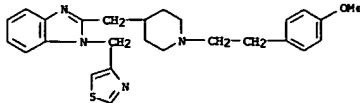
CH 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



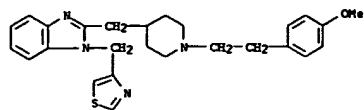
RN 99961-08-7 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(4-thiazolyl-methyl)- (9CI) (CA INDEX NAME)



RN 99961-09-8 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(4-thiazolyl-methyl)-, dihydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

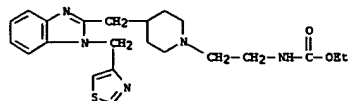


●2 RCI

RN 99961-11-2 CAPLUS
 CN Carbanic acid, [2-[[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethyl ester, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-10-1
 CMF C22 H29 N5 O2 S



CM 2

CRN 144-62-7
 CMF C2 H2 O4



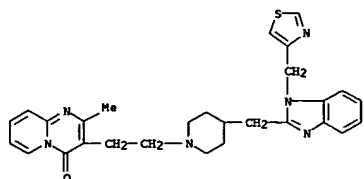
RN 99961-16-7 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-15-6

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

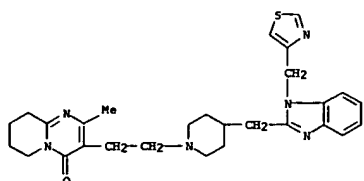
RN 99961-19-0 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99961-21-4 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-20-3
 CMF C28 H34 N6 O S



CM 2

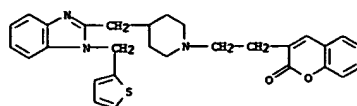
CRN 144-62-7
 CMF C2 H2 O4



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L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CMF C29 H29 N3 O2 S



CM 2

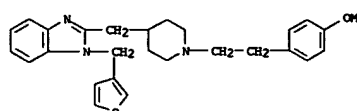
CRN 144-62-7
 CMF C2 H2 O4



RN 99961-18-9 CAPLUS
 CN 1H-Benzimidazole, 1-([3-furanylmethyl]-2-[[[1-(2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-17-8
 CMF C27 H31 N3 O2



CM 2

CRN 144-62-7
 CMF C2 H2 O4

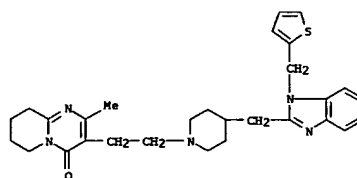


L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99961-23-6 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-22-5
 CMF C29 H35 N5 O S

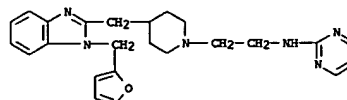


CM 2

CRN 144-62-7
 CMF C2 H2 O4



RN 99961-49-6 CAPLUS
 CN 2-Pyridinamine, N-[2-[[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

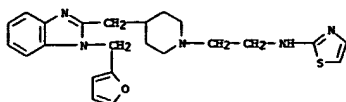


RN 99961-51-0 CAPLUS
 CN 1-Piperidineethanamine, 4-[[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-N-2-thiazolyl-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

6/02/2003

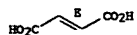
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CRN 99961-50-9
 CMF C23 H27 N5 O 5



CM 2

CRN 110-17-8
 CMF C4 H4 O4

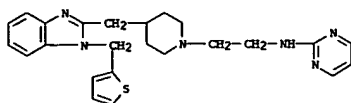
Double bond geometry as shown.



RN 99961-53-2 CAPLUS
 CN 2-Pyrimidinamine, N-[2-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-52-1
 CMF C24 H28 N6 S



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

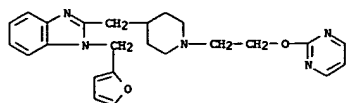
CRN 144-62-7
 CMF C2 H2 O4



RN 99962-21-7 CAPLUS
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[[1-[2-(2-pyrimidinyl)oxy]ethyl]-4-piperidinyl]methyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99962-20-6
 CMF C24 H27 N5 O2



CM 2

CRN 144-62-7
 CMF C2 H2 O4

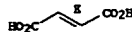


RN 99962-26-2 CAPLUS
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99962-25-1
 CMF C26 H29 N7 S

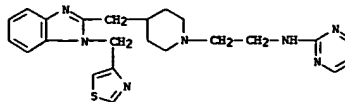
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99961-55-4 CAPLUS
 CN 2-Pyrimidinamine, N-[2-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-54-3
 CMF C23 H27 N7 S



CM 2

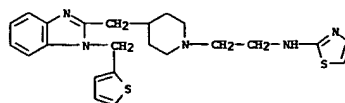
CRN 144-62-7
 CMF C2 H2 O4



RN 99961-78-1 CAPLUS
 CN 1-Piperidineethanamine, N-2-thiazolyl-4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

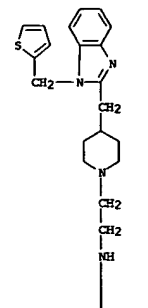
CM 1

CRN 99961-77-0
 CMF C23 H27 N5 S2

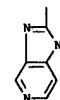


L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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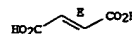
PAGE 2-A



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



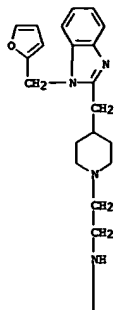
RN 99962-30-8 CAPLUS
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

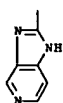
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L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
CRM 99962-29-5
CRM C26 H29 N7 O



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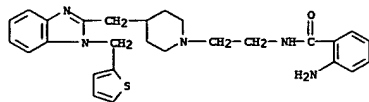
PAGE 2-A

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CRN 144-62-7
CMF C2 H2 04



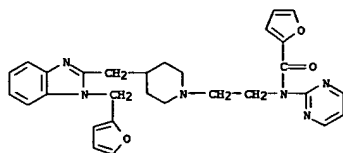
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99963-00-5 CAPLUS
CN 2-Furancarboxamide, N-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-N-2-pyrimidinyl-, ethanedioate (1:2) (9CI)
(CA INDEX NAME)

CH 1

CRN 99962-99-9
CMF C29 H30 N6 O3



CH 2

CRN 144-62-7
CHP C2 H2 O4

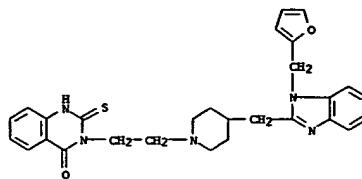


RN 99963-03-8 CAPLUS
CN Benzamide, 2-(acetylmethylamino)-N-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

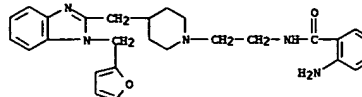
FN 99962-72-8 CAPLUS

4(1H)-Quinazolinone, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-2-thioxo- (9C1) (CA INDEX NAME)



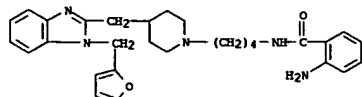
RN 99962-74-0 CAPLUS

CN Benzamide, 2-amino-N-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99962-79-5 CAPLWS

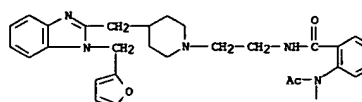
Benamide, 2-amino-N-[4-[4-[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]butyl)- (9CI) (CA INDEX NAME)



RN 99962-83-1 CAPLUS

CN Benzamide, 2-amino-N-[2-[4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

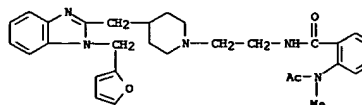


RN 99963-04-9 CAPLUS

CN Benzamide, 2-(acetylmethylamino)-N-[2-{4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (2:5) (9CI)
 (CA INDEX NAME)

Q 1

CRN 99963-03-8
CMF C30 H35 N5 O3



CH 2

CRN 144-62-7
CMF C2 H2 04



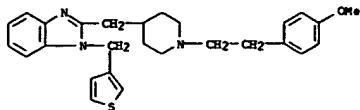
RN 99963-13-0 CAPLUS

1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(3-thienylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

Q 1

CRN 99963-12-9
CHP C27 H31 N3 O S

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

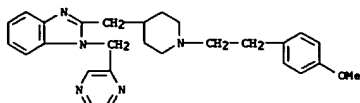


CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 99963-15-2 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(pyrazinylmethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

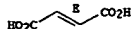
CM 1

CRN 99963-14-1
CMF C27 H31 N5 O

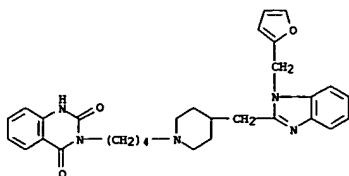
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

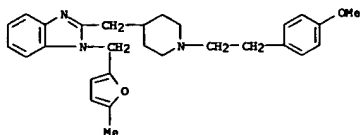


L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 2,4(1H,3H)-Quinazolin-4-one, 3-[4-[[1-[2-(furan-2-yl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 100044-14-2 CAPLUS
CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-[(5-methyl-2-furanyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 100044-13-1
CMF C28 H33 N3 O2

CM 2

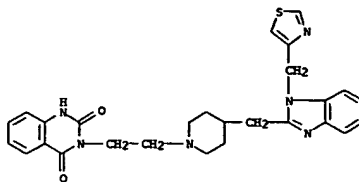
CRN 144-62-7
CMF C2 H2 O4

IT 99958-54-OP
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis-decarboxylation of)
RN 99958-54-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

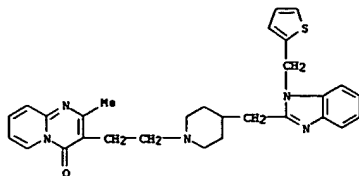
Habe

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

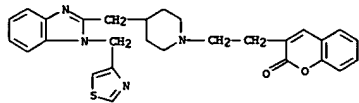
RN 100015-20-1 CAPLUS
CN 2,4(1H,3H)-Quinazolin-4-one, 3-[2-[4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 100015-23-4 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

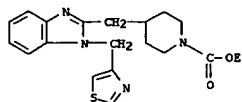


RN 100015-24-5 CAPLUS
CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

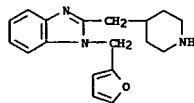


RN 100015-30-3 CAPLUS

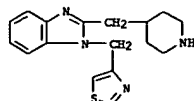
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 99959-22-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and N-alkylation of, by (chloropropyl)benzimidazolone)
RN 99959-22-5 CAPLUS
CN 1H-Benzimidazole, 1-(2-furanyl)methyl)-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



IT 99958-55-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and N-alkylation of, by chloroethyl anisyl ether)
RN 99958-55-1 CAPLUS
CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(4-thiazolylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

IT 99944-17-9P 99954-01-5P 99954-07-1P
99954-23-1P 99954-25-3P 99959-84-9P
99960-26-6P 99960-28-8P 99960-37-9P
99960-38-0P 99960-59-5P 99960-60-8P
99960-61-9P 99960-63-1P 99960-64-2P
99960-70-0P 99960-71-1P 99961-16-7P
99963-18-5P 99963-20-9P 99963-57-2P

6/02/2003

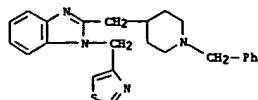
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

100015-23-4P 100015-50-7P 100015-57-4P
 100015-58-5P 100015-64-3P 100016-06-6P
 100016-11-3P 100016-31-7P 100016-33-9P
 100044-16-4P

RL: SPN (Synthetic preparation); PREF (Preparation)
 (prepn. of)

RN 99944-17-9 CAPLUS

CN 1H-Benzimidazole, 2-[[1-(phenylmethyl)-4-piperidinylmethyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



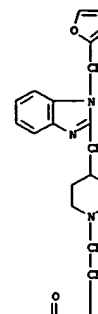
RN 99954-01-5 CAPLUS

CN 1H-Purine-2,6-dione, 7-[2-[4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-3,7-dihydro-1,3-dimethyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

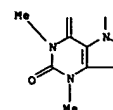
CM 1

CRN 99954-00-4

CMF C27 H31 N7 O3



PAGE 1-A



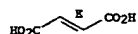
PAGE 2-A

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 99954-07-1 CAPLUS

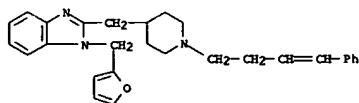
CN 1H-Benzimidazole, 1-(2-furanyl)methyl)-2-[[1-(4-phenyl-3-butenyl)-4-piperidinyl]methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 1

CRN 99954-06-0

CMF C28 H31 N3 O



CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



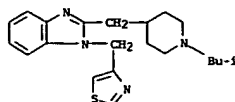
RN 99954-23-1 CAPLUS

CN 1H-Benzimidazole, 2-[[1-(2-methylpropyl)-4-piperidinyl]methyl]-1-(4-thiazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99954-22-0

CMF C21 H28 N4 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



Habe

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

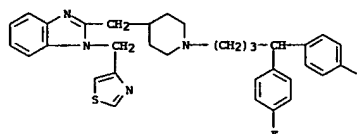
RN 99954-25-3 CAPLUS

CN 1H-Benzimidazole, 2-[[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]methyl]-1-(4-thiazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99954-24-2

CMF C33 H34 F2 N4 S



CM 2

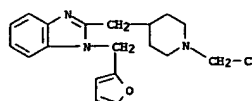
CRN 144-62-7

CMF C2 H2 O4



RN 99959-84-9 CAPLUS

CN 1-Piperidineacetonitrile, 4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

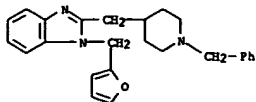


RN 99960-26-6 CAPLUS

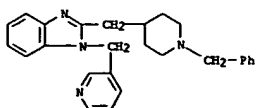
CN 1H-Benzimidazole, 1-(2-furanyl)methyl)-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

6/02/2003

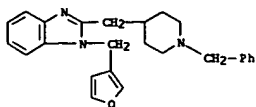
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



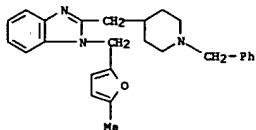
RN 99960-28-8 CAPLUS
 CN 1H-Benzimidazole, 2-([1-(phenylmethyl)-4-piperidinyl]methyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 99960-37-9 CAPLUS
 CN 1H-Benzimidazole, 1-(3-furanylmethyl)-2-([1-(phenylmethyl)-4-piperidinyl]methyl)- (9CI) (CA INDEX NAME)

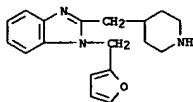


RN 99960-38-0 CAPLUS
 CN 1H-Benzimidazole, 1-([5-methyl-2-furanylmethyl]-2-([1-(phenylmethyl)-4-piperidinyl]methyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

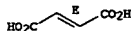
CRN 99959-22-5
 CMF C18 H21 N3 O



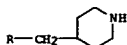
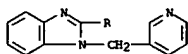
CM 2

CRN 110-17-8
 CMF C4 H4 O4

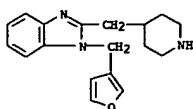
Double bond geometry as shown.



RN 99960-64-2 CAPLUS
 CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 99960-70-0 CAPLUS
 CN 1H-Benzimidazole, 1-(3-furanylmethyl)-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

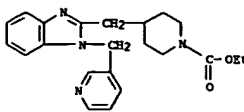


RN 99960-71-1 CAPLUS
 CN 1H-Benzimidazole, 1-([5-methyl-2-furanylmethyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

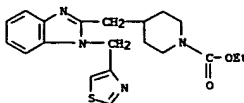
Habe

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99960-59-5 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-([1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]methyl)-, ethyl ester (9CI) (CA INDEX NAME)

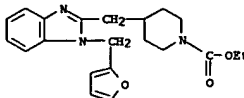


RN 99960-60-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-([1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 99960-61-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-([1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl)-, ethyl ester (9CI) (CA INDEX NAME)

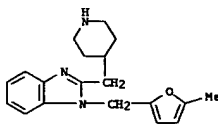


RN 99960-63-1 CAPLUS
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-(4-piperidinylmethyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

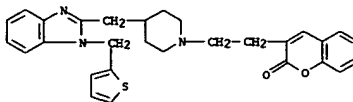
(9CI) (CA INDEX NAME)



RN 99961-16-7 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[4-([1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl)-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-15-6
 CMF C29 H29 N3 O2 S



CM 2

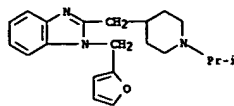
CRN 144-62-7
 CMF C2 H2 O4



RN 99963-18-5 CAPLUS
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-([1-(1-methylethyl)-4-piperidinyl]methyl)-, monohydrochloride (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

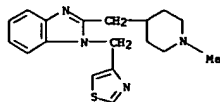


● HC1

RN 99963-20-9 CAPLUS
 CN 1H-Benzimidazole, 2-((1-methyl-4-piperidinyl)methyl)-1-(4-thiazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99963-19-6
 CMF C18 H22 N4 S



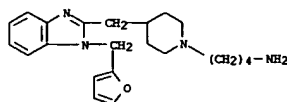
CM 2

CRN 144-62-7
 CMF C2 H2 O4



RN 99963-57-2 CAPLUS
 CN 2,4(1H,3H)-Quinoxalinedione, 3-[3-(4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

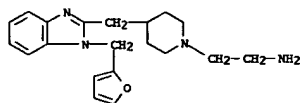
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 100015-58-5 CAPLUS
 CN 1-Piperidineethanamine, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

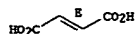
CRN 99960-06-2
 CMF C20 H26 N4 O



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

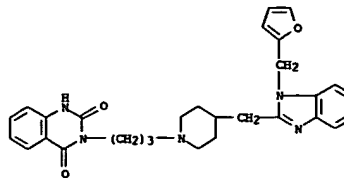


RN 100015-64-3 CAPLUS
 CN 2-Pyridinamine, N-[2-[4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

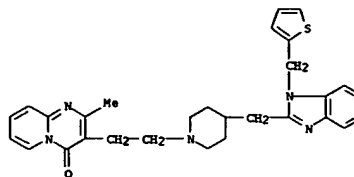
CM 1

CRN 100015-63-2
 CMF C25 H29 N7

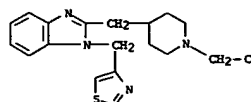
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 100015-23-4 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-(4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

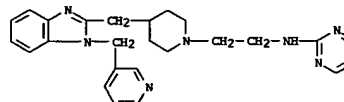


RN 100015-50-7 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 100015-57-4 CAPLUS
 CN 1-Piperidinebutanamine, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



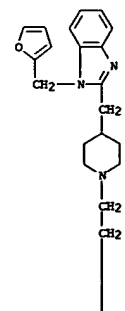
CM 2

CRN 144-62-7
 CMF C2 H2 O4



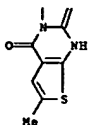
RN 100016-06-6 CAPLUS
 CN Thieno[2,3-d]pyrimidin-4(1H)-one, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2,3-dihydro-6-methyl-2-thioxo- (9CI) (CA INDEX NAME)

PAGE 1-A

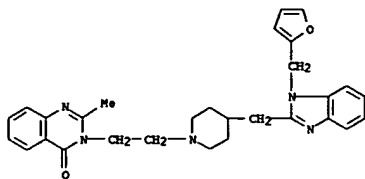


L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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RN 100016-11-3 CAPLUS
 CN 4-[3H]-Quinazolinone, 3-[2-{4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]-2-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 100016-31-7 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-[[3-methyl-2-thienyl]methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

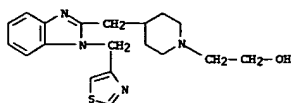
CRN 100016-30-6
 CMF C28 H33 N3 O 5

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 100044-16-4 CAPLUS
 CN 1-Piperidineethanol, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethanedioate (2:5) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 100044-15-3
 CMF C19 H24 N4 O 5



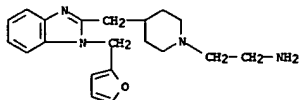
CM 2

CRN 144-62-7
 CMF C2 H2 O4



IT 99960-06-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, for amidation of anthranilic acid)

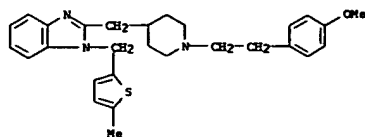
RN 99960-06-2 CAPLUS
 CN 1-Piperidineethanamine, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



IT 99959-83-8 99959-84-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (redn. of)

RN 99959-83-8 CAPLUS
 CN 1-Piperidinebutanenitrile, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

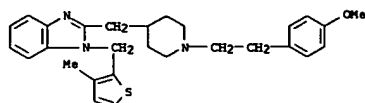
CRN 144-62-7
 CMF C2 H2 O4



RN 100016-33-9 CAPLUS
 CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-[[3-methyl-2-thienyl]methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 100016-32-8
 CMF C28 H33 N3 O 5

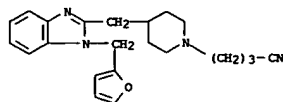


CM 2

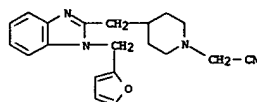
CRN 144-62-7
 CMF C2 H2 O4



L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

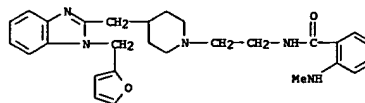


RN 99959-84-9 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



IT 99960-16-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (N-acetylation of)

RN 99960-16-4 CAPLUS
 CN Benzamide, N-[2-{4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl}ethyl]-2-(methylamino)- (9CI) (CA INDEX NAME)

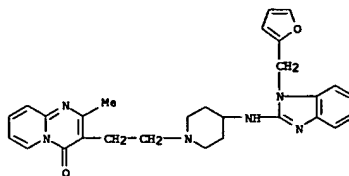


L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1986:68856 CAPIUS
 DOCUMENT NUMBER: 104:68856
 TITLE: Bicyclic heterocyclyl containing N-(bicyclic heterocyclyl)-4-piperidinamines
 INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo Ghislainus; Hens, Jozef Francis; Van Offenvert, Theophilus Theresia J. M.
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: Eur. Pat. Appl., 106 pp.
 CODEM: EPIKIDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 144101	A2	19850612	EP 1984-201611	19841107
EP 144101	A3	19850724		
EP 144101	B1	19910206		
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US 4695569	A	19870922	US 1984-660608	19841012
AT 50769	E	19910215	AT 1984-201611	19841107
SU 1500162	A3	19890807	SU 1984-3814401	19841123
CA 1257258	A1	19890711	CA 1984-468587	19841126
CZ 281114	B6	19960612	CZ 1984-9128	19841128
SK 278443	B6	19970507	SK 1984-9128	19841128
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FI 8404708	A	19850531	FI 1984-4708	19841129
FI 80446	B	19900228		
FI 80446	C	19900611		
NO 8404755	A	19850531	NO 1984-4755	19841129
NO 164171	B	19900528		
NO 164171	C	19900905		
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ZA 8409331	A	19860730	ZA 1984-9331	19841129
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PL 146377	B1	19890131	PL 1984-250633	19841129
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HU 199837	B	19900328		
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US 5126339	A	19920630	US 1991-671338	19910319
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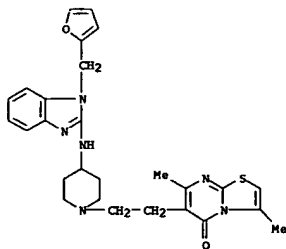
L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)
 CA 1984-468587 19841126
 FI 1984-4708 19841129
 US 1987-56200 19870601
 US 1989-447312 19891207

OTHER SOURCE(S): CASREACT 104:68856
 GI For diagram(s), see printed CA issue.
 AB The title compds. [I; R = H, cycloalkyl, pyridinyl, pyrazinyl, alkyl-(un)substituted furanyl, thiazolyl, imidazolyl, halo-(un)substituted thienyl, (un)substituted alkyl, Ph; R1 = H, alkyl, cycloalkyl, alkanoyl, alkoxycarbonyl, (un)substituted phenylalkyl; R2 = H, alkyl; R3 = alkyl, pyrrolidinyl, piperidinyl, homopiperonyl, each substituted by a group contg. a bicyclic heterocyclic moiety; X = atoms required to complete an (un)substituted C6H5 or pyridine ring] (>150 in all) were prepd. Thus, 1-[(4-fluorophenyl)methyl]-N-(4-piperidinyl)-1H-benzimidazol-2-amine was alkylated by heating at 70 degree. with 6-(2-bromoethyl)-3,7-dimethyl-5H-thiazolo[3,2-a]pyrimidin-5-one-HBr in DMF contg. Na2CO3 to give 62.8% II. II had antihistaminic activity in rats, counteracting the lethality of compd. 48/80 with an ED50 of 0.31 mg/kg s.c. or orally, and inhibiting gastric lesions caused by the same agent with an ED50 of 0.63 mg/kg orally.
 IT 99156-65-7P 99156-68-0P 99156-70-4P
 99156-79-3P 99156-80-0P 99156-87-3P
 99156-83-1P 99156-94-2P 99156-98-6P
 99157-05-8P 99157-11-6P 99157-12-7P
 99157-18-3P 99157-19-4P 99157-22-9P
 99157-23-0P 99157-25-2P 99157-27-4P
 99157-29-6P 99157-30-9P 99157-43-4P
 99157-72-9P 99157-76-3P 99157-78-5P
 99157-93-4P 99157-96-7P 99157-97-8P
 99158-01-7P 99158-12-7P 99158-15-0P
 99158-16-1P 99158-19-4P 99158-21-6P
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antihistaminic activity of)
 RN 99156-65-7 CAPIUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-{4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

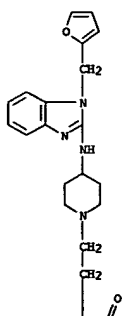


L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

RN 99156-68-0 CAPIUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-{4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)



RN 99156-70-4 CAPIUS
 CN 1H-Purine-2,6-dione, 1-[2-{4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,7-dihydro-3,7-dimethyl- (9CI) (CA INDEX NAME)

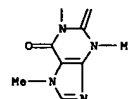


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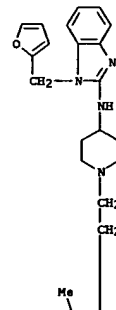
L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

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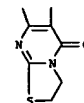


RN 99156-79-3 CAPIUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-{4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl- (9CI) (CA INDEX NAME)

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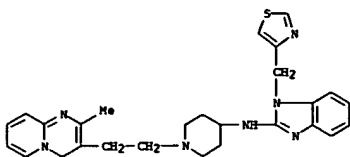
PAGE 2-A



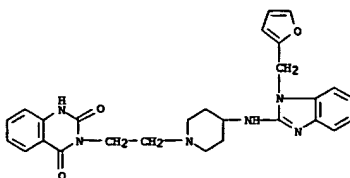
RN 99156-80-6 CAPIUS

6/02/2003

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Benzimidazol-2-amine, N-([1-(2-(2-methyl-4H-pyrido[1,2-a]pyrimidin-3-yl)ethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

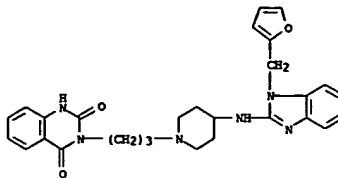


RN 99156-87-3 CAPLUS
 CN 2,4(1H,3H)-Quinazolinodione, 3-[2-[4-([1-(2-furanyl-methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



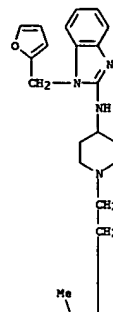
RN 99156-93-1 CAPLUS
 CN 2,4(1H,3H)-Quinazolinodione, 3-[3-[4-([1-(2-furanyl-methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



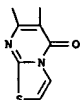
RN 99156-94-2 CAPLUS
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-([1-(2-furanyl-methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

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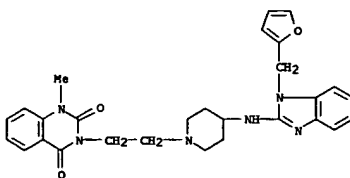


L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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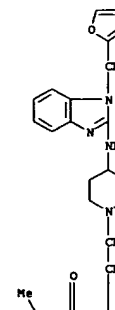
RN 99156-98-6 CAPLUS
 CN 2,4(1H,3H)-Quinazolinodione, 3-[2-[4-([1-(2-furanyl-methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)



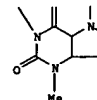
RN 99157-05-8 CAPLUS
 CN 1H-Purine-2,6-dione, 7-[2-[4-([1-(2-furanyl-methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3,7-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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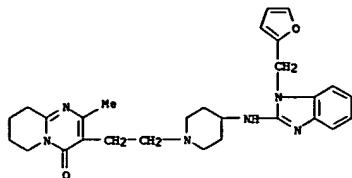


PAGE 2-A

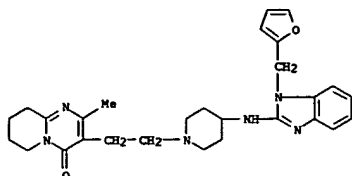


RN 99157-11-6 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-([1-(2-furanyl-methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



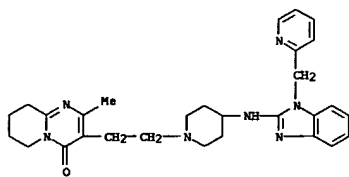
RN 99157-12-7 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]-6,7,8,9-tetrahydro-2-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



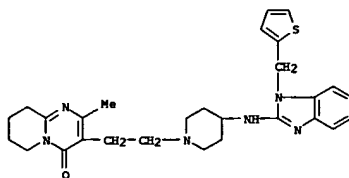
● 3 HCl

RN 99157-18-3 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[[1-(3-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

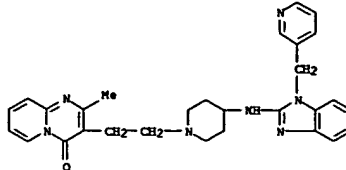


RN 99157-25-2 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

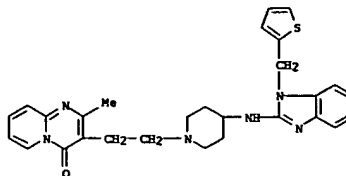


RN 99157-27-4 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

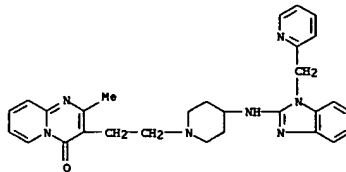
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99157-19-4 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

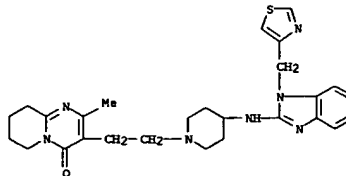


RN 99157-22-9 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

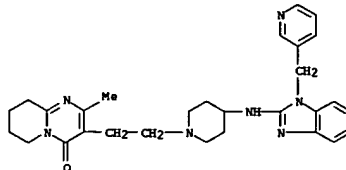


RN 99157-23-0 CAPLUS

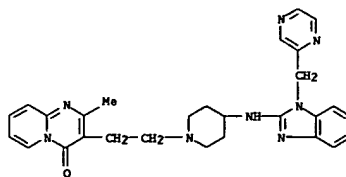
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99157-29-6 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)



RN 99157-30-9 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

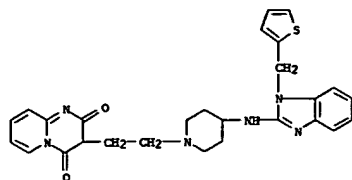


RN 99157-43-4 CAPLUS
 CN 2H-Pyrido[1,2-a]pyrimidine-2,4(3H)-dione, 3-[2-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

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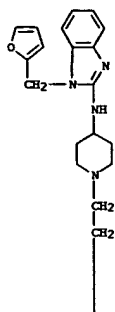
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L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



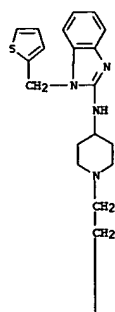
RN 99157-72-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-[2-(1H-imidazo[4,5-c]pyridin-2-yl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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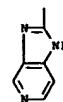
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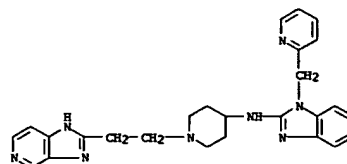
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 CN 4(1H)-Quinazolinone, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2,3-dihydro-1-methyl-2-thioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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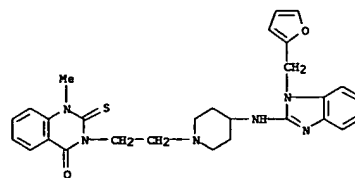


RN 99157-76-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(1H-imidazo[4,5-c]pyridin-2-yl)ethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

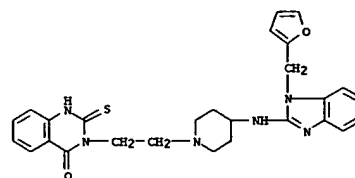


RN 99157-78-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(1H-imidazo[4,5-c]pyridin-2-yl)ethyl]-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



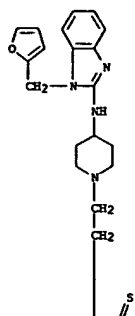
RN 99157-96-7 CAPLUS
 CN 4(1H)-Quinazolinone, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



RN 99157-97-8 CAPLUS
 CN Thieno[2,3-d]pyrimidin-4(1H)-one, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2,3-dihydro-6-methyl-2-thioxo- (9CI) (CA INDEX NAME)

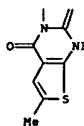
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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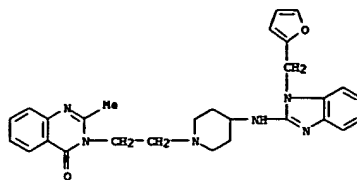


RN 99158-01-7 CAPLUS
 CN 4(3H)-Quinazolinone, 3-[2-[[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

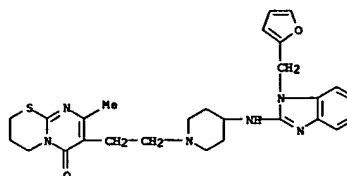
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L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

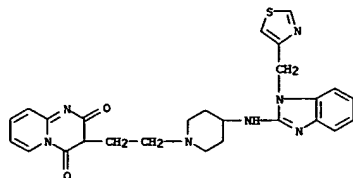


RN 99195-12-7 CAPLUS
 CN 2H-Pyrido[1,2-a]pyrimidine-2,4(3H)-dione, 3-[2-[[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,4-dihydro-8-methyl- (9CI) (CA INDEX NAME)

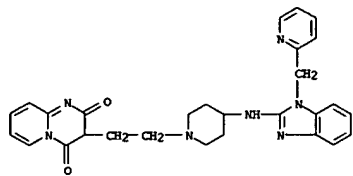


RN 99195-15-0 CAPLUS
 CN 2H-Pyrido[1,2-a]pyrimidine-2,4(3H)-dione, 3-[2-[[[1-(2-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

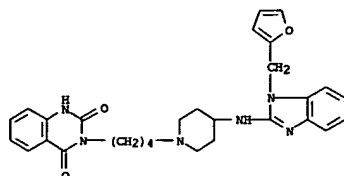
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99195-16-1 CAPLUS
 CN 2H-Pyrido[1,2-a]pyrimidine-2,4(3H)-dione, 3-[2-[[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99195-19-4 CAPLUS
 CN 2,4(1H,3H)-Quinoxalinedione, 3-[4-[[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

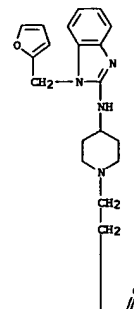


RN 99195-21-8 CAPLUS
 CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[2-[[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-6-methyl- (9CI) (CA INDEX NAME)

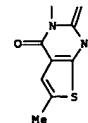
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L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

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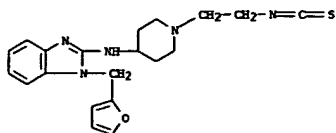
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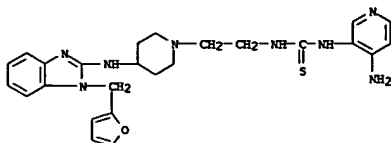
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and condensation of, with heterocyclic amines)
 RN 99151-17-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-(2-isothiocyanatoethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

6/02/2003

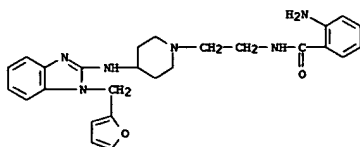
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 90518-10-87
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and cyclization of)
 RN 90518-10-8 CAPLUS
 CN Thiourea, N-(4-amino-3-pyridinyl)-N'-[2-{4-[[1-(2-furanylmethyl)-1H-
 benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

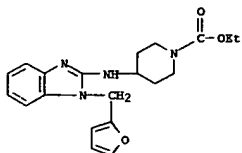


IT 99158-41-5P 99158-42-6P 99158-43-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and cyclocondensation reaction of)
 RN 99158-41-5 CAPIUS
 CN Benzanide, 2-amino-N-[2-{4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]- (SCI) (CA INDEX NAME)

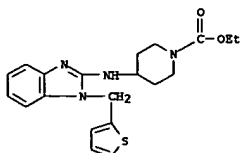


RN 99158-42-6 CAPLUS

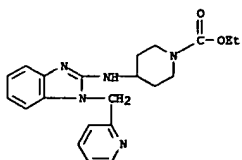
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90518-57-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-61-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

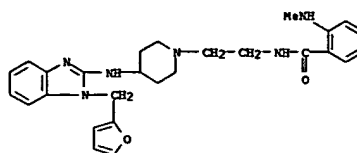


RN 90518-62-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

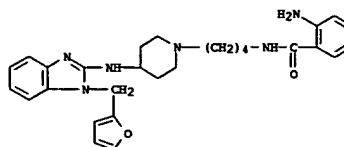
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L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

Benamide, N-[2-[4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2-(methylamino)- (9CI) (CA INDEX NAME)

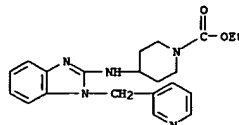


RN 99158-43-7 CAPLUS
CN Benzanide, 2-amino-N-[4-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

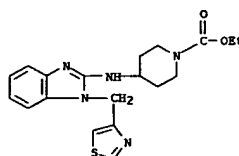


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	90510-62-0P	90510-64-2P	91510-25-5P
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RN	90510-53-9	CAPLUS	
CN	1-Piperidinecarboxylic acid, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)		

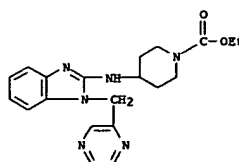
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90518-64-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (SCI) (CA INDEX NAME)



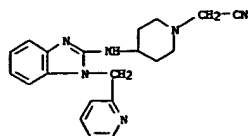
RN 99158-25-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



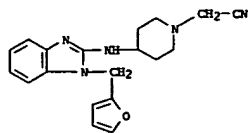
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and redn. of)
 RN 90518-85-7 CAPLUS
 CN 1-Piperidineacetoneitrile, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-
 yl]amino]- (9CI) (CA INDEX NAME)

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L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

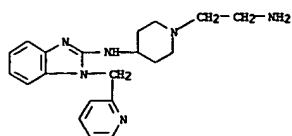


RN 99139-17-0 CAPLUS
CN 1-Piperidineacetonitrile, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



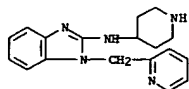
IT 90519-14-5P 90519-18-9P 90519-22-5P
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(prepn. and N-acylation and N-alkylation of)

RN 90519-14-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



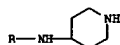
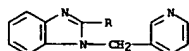
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CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-furanylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



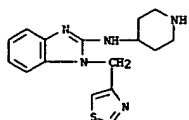
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RN 90518-72-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(3-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

RN 90518-77-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)

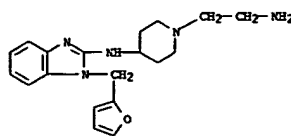


●2 HBr

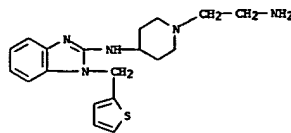
RN 99158-31-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

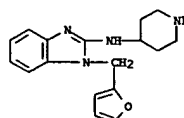


RN 90519-22-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



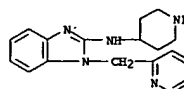
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and N-alkylation of)

RN 90518-67-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



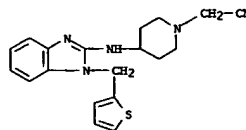
RN 90518-71-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 90518-92-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., redn., and conversion of, to isothiocyanate)

RN 90518-92-6 CAPLUS
CN 1-Piperidineacetonitrile, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



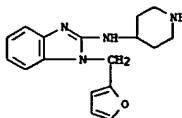
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L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1986:50874 CAPLUS
 DOCUMENT NUMBER: 104:50874
 TITLE: N-(4-Piperidinyl) bicyclic condensed 2-imidazoline derivatives
 INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo
 Ghislaens, Hans; Jozef Francis; Van Offenvert, Theophilus Theresia Joannes
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: Eur. Pat. Appl., 68 pp.
 CODEN: EPXKOW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
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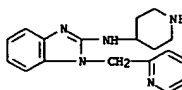
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EP 151824	A2	19850821	EP 1984-201812	19841206
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US 4588722	A	19860513	US 1984-660670	19841015
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JP 60174778	A2	19850909	JP 1985-251	19850107
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			EP 1984-201812	19841206
			NO 1985-84	19850108

OTHER SOURCE(S): CASREACT 104:50874
 GI For diagram(s), see printed CA issue.
 AB The title compds. [I; A = (un)substituted C6H6 or pyridine ring; R = H, alkyl; R1 = H, alkyl, cycloalkyl, aralkyl, (alkyl)furanyl, (alkyl)imidazolyl, (halo)thienyl, pyridinyl, pyrazinyl, thiazolyl, (un)substituted Ph; R2 = H, alkyl, cycloalkyl, aralkyl, alkanoyl, alkoxy carbonyl; R3 = R4Z, (un)substituted satd. heterocyclyl; R4 = acyl, acylamino, acyloxy, acylthio, (un)substituted Ph, aryl, etc.; Z = alkylene] were prepd. Thus 3-chloro-2-nitropyridine was aminolyzed with 4-FC6H4CH2NH2 and the product hydrogenated to give N3-[(4-

L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 fluorophenyl)methyl]-2,3-pyridinediamine. This was condensed with Et 4-isothiocyanatopiperidinecarboxylate to give pyridinylthiourea deriv. II which was cyclized by heating in EtOH with HgO and S to give imidazopyridinamine III (R5 = CO2Et). The latter was decarboxylated by heating in 4N aq. HBr to give III.2HBr (R5 = H) which was alkylated with a p-methoxyphenethyl halide to give III (R5 = 4-MeOC6H4CH2CH2) (IV). I are antihistaminics. In mice IV inhibited compd. 48/80-induced lethality with an ED50 of 0.08 mg/kg s.c. or orally.
 IT 90518-67-5P 90518-71-1P 90518-72-2P
 90518-77-7P 90518-18-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and condensation reactions of)
 RN 90518-67-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



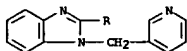
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 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

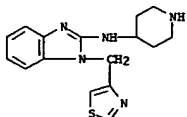
RN 90518-72-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(3-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



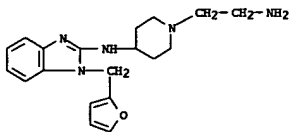
●3 HBr

RN 90518-77-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

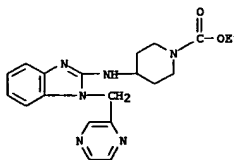
RN 90518-18-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-furanylmethyl)- (9CI) (CA INDEX NAME)



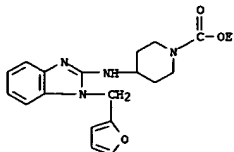
IT 99158-25-5P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and conversion of, to dihydrobromide)
 RN 99158-25-5 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Have

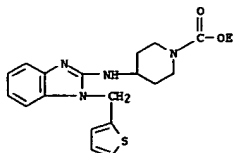
L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 90518-53-9P 90518-57-3P 90518-61-9P
 90518-62-0P 90518-64-2P 99780-67-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and deethoxycarbonylation of)
 RN 90518-53-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



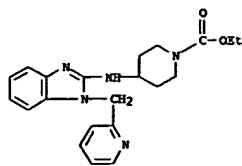
RN 90518-57-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



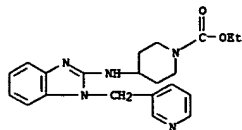
RN 90518-61-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

6/02/2003

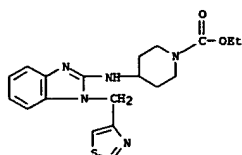
L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90518-62-0 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

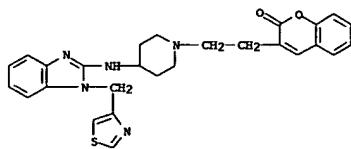


RN 90518-64-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



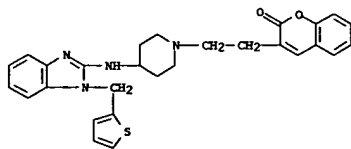
RN 99780-67-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

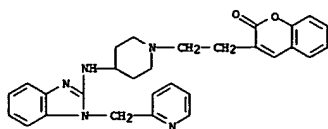


●2 HCl

RN 99780-04-8 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99780-05-9 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

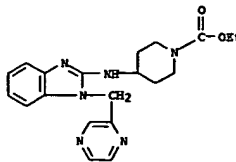


●2 HCl

RN 99780-06-0 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

Habe

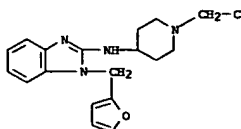
L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



●2 HCl

IT 99139-17-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

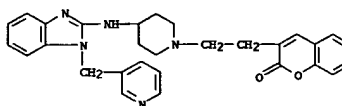
[prep. and hydrogenation of]
 RN 99139-17-0 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



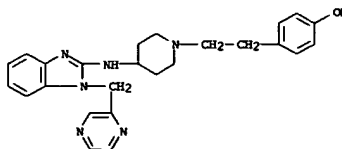
IT 99780-02-6P 99780-04-8P 99780-05-9P
 99780-06-0P 99780-08-2P 99780-09-3P
 99780-12-8P 99780-42-4P 99780-56-0P
 99780-58-2P 99780-60-6P 99780-61-7P
 99780-63-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TEU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 [prep. of, as antihistaminic]

RN 99780-02-6 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

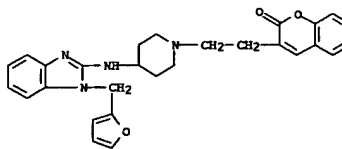
L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99780-08-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)



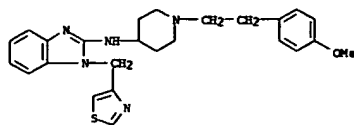
RN 99780-09-3 CAPLUS
 CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99780-12-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)

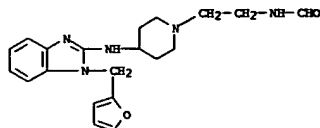
6/02/2003

L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

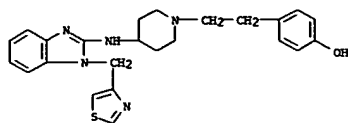


● 2 HBr

RN 99780-42-4 CAPLUS
CN Formamide, N-[2-[4-[[1-(2-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)



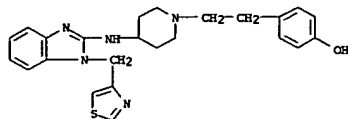
RN 99780-56-0 CAPLUS
CN Phenol, 4-[2-[4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]-, dihydrobromide (9CI) (CA INDEX NAME)



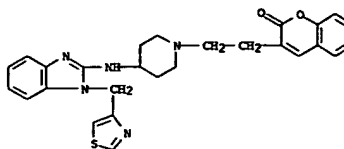
● 2 HBr

RN 99780-58-2 CAPLUS
CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

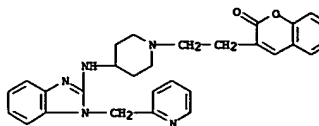
L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



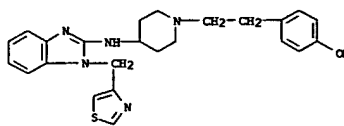
L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99780-60-6 CAPLUS
CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)



RN 99780-61-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 99780-63-9 CAPLUS
CN Phenol, 4-[2-[4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinylethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:615287 CAPLUS
DOCUMENT NUMBER: 103:215287
TITLE: Five membered heterocyclic ring containing N-(bicyclic heterocyclyl)-4-piperidinamines
INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo
Ghislanus; Hens, Jozef Francis; Van Offenvert, Theophilus Theresia
PATENT ASSIGNER(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 145037	A2	19850619	EP 1984-201326	19840914
EP 145037	A3	19850710		
EP 145037	B1	19890118		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4634704	A	19870106	US 1984-625343	19840627
CA 1247614	A1	19881227	CA 1984-462540	19840906
AT 40130	E	19890215	AT 1984-201326	19840914
IL 73118	A1	19880331	IL 1984-73118	19840930
RO 90457	B3	19861210	RO 1984-115894	19841004
FI 8403934	A	19850407	FI 1984-3934	19841005
FI 81797	B	19900831		
FI 81797	C	19901210		
DK 8404784	A	19850407	DK 1984-4784	19841005
DK 163239	B	19920210		
DK 163239	C	19920629		
NO 8404009	A	19850409	NO 1984-4009	19841005
NO 160441	B	19890109		
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AU 565884	B2	19871001		
ES 536590	A1	19851116	ES 1984-536590	19841005
JP 61010577	A2	19860118	JP 1984-208394	19841005
JP 07098818	B4	19951025		
ZA 8407847	A	19860528	ZA 1984-7847	19841005
HU 38629	A2	19860630	HU 1984-3771	19841005
HU 207514	B	19930428		
SU 1440346	A3	19881123	SU 1984-3796140	19841005
PL 146228	B1	19890131	PL 1984-249916	19841005
PRIORITY APPL. INFO.:			US 1983-539597	19831006
			US 1984-625343	19840627
			EP 1984-201326	19840914

OTHER SOURCE(S): CASREACT 103:215287
GI For diagram(s), see printed CA issue.
AB The title compds. [I: R = H, alkyl; R1 = H, alkyl, thienyl, halothieryl, pyrazinyl, thiazolyl, alkylthiazolyl, imidazolyl, alkylimidazolyl, (un)substituted Ph, alkyl substituted by 1 or 2 of these arom. groups; R2 = H, alkyl, cycloalkyl, alkanoyl, alkoxy carbonyl, (un)substituted Ph; R3 = R4(CH2)nZ1, R4(CH2)nZ2C(X1)Z2, Q, R4 = 5-membered heterocyclyl contg. 1 N atom, optionally fused to a C6H6 ring; X = (un)substituted CH:CHCH:CH, N:CHCH:CH, CH:CHCH:CH, CH:CHCH:CH, CH:CHCH:CH; X1 = O, S, O2NCH, R5N; R5 = H, alkyl, cyano, NO2, acyl; Z = O, S, R6 N, bond; R6 = H, alkyl, amino, acyl; Z1 = alkylene; Z2 = O, S, R7N, bond; R7 = H, alkyl; n = 0-6]

Habe

6/02/2003

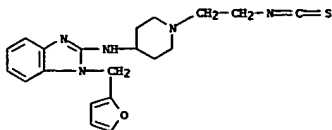
L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 a = 0-2) were prepd. Thus, N-(2-nitrophenyl)-2-furamethanamine was hydrogenated and the diamine condensed with Et 4-isothiocyanato-1-piperidinecarboxylate to give thiourea deriv. II. This was cyclized to a benzimidazole deriv. by heating with EtO and S in EtOH, decarboxylated by heating in aq. EtOH, and N-alkylated with 4-(chloromethyl)-5-methyl-1H-imidazole-HCl to give benzimidazoleamine III. The antihistaminic properties of I were demonstrated in rats, where I inhibited the lethality of compd. 48/80 with ED50 0.005-1.25 mg/kg s.c. or orally, and inhibit gastric lesions in rats caused by the same agent with ED50 0.04-1.25 mg/kg s.c.

IT 99151-17-4P

RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and analysis of)

RN 99151-17-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-(2-isothiocyanatoethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



IT 99137-43-6P 99137-51-6P 99137-71-0P

99137-72-1P 99137-73-2P 99137-74-3P

99138-03-1P 99138-04-2P 99138-05-3P

99138-20-2P 99138-23-5P 99138-34-6P

99138-36-0P 99138-37-1P 99138-55-3P

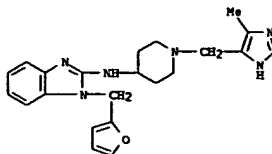
99138-56-4P 99151-07-2P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antihistaminic activity of)

RN 99137-43-6 CAPLUS

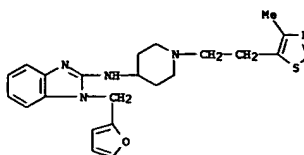
CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-[(5-methyl-1H-imidazol-4-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



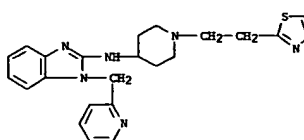
RN 99137-51-6 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-(2-(4-methyl-5-thiazolyl)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 99137-71-0 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-[1-(2-(2-thiazolyl)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 99137-72-1 CAPLUS

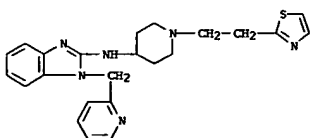
CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-[1-(2-(2-thiazolyl)ethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 99137-71-0

CMF C23 H26 N6 S

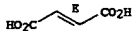


CM 2

CRN 110-17-8

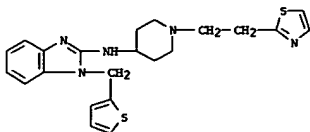
CMF C4 H4 O4

Double bond geometry as shown.



RN 99137-73-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-thiazolyl)ethyl)-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 99137-74-3 CAPLUS

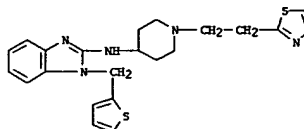
CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-thiazolyl)ethyl)-4-piperidinyl]-1-(2-thienylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99137-73-2

CMF C22 H25 N5 S2

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

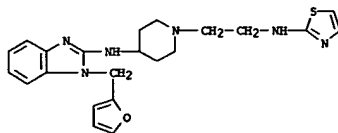
CRN 144-62-7

CMF C2 H2 O4



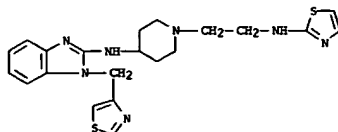
RN 99138-03-1 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-(2-(2-thiazolylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 99138-04-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-thiazolylamino)ethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 99138-05-3 CAPLUS

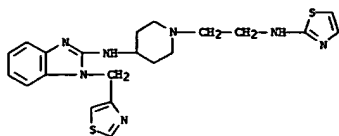
CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-thiazolylamino)ethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

Habte

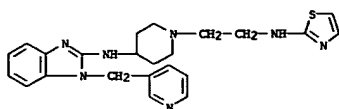
6/02/2003

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 1

CRN 99138-04-2
CMF C21 H25 N7 S2

CM 2

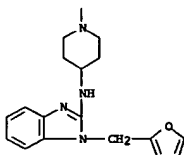
CRN 144-62-7
CMF C2 H2 O4RN 99138-20-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(3-pyridinylmethyl)-N-[1-[2-(2-thiazolylamino)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)RN 99138-23-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-(2-thiazolylamino)ethyl]-4-piperidinyl]-1-(2-thienylmethyl)-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

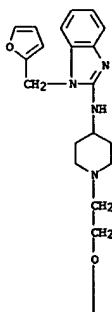
CRN 99138-22-4
CMF C22 H26 N6 S2

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A

RN 99138-36-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-(2-benzothiazolylloxy)ethyl]-4-piperidinyl]-1-(2-furanylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

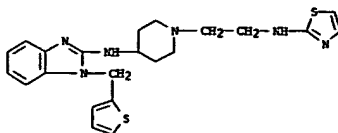


PAGE 2-A



Habte

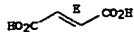
L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



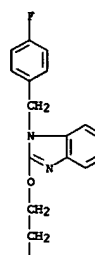
CM 2

CRN 110-17-8
CMF C4 H4 O4

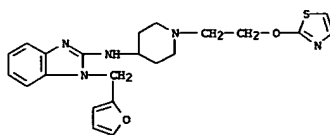
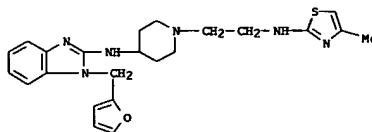
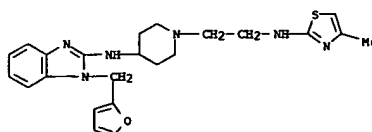
Double bond geometry as shown.

RN 99138-34-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]oxy]ethyl]-4-piperidinyl]-1-(2-furanylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99138-37-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-[2-(2-thiazolylloxy)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)RN 99138-55-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-[2-[(4-methyl-2-thiazolyl)amino]ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)RN 99138-56-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-[2-[(4-methyl-2-thiazolyl)amino]ethyl]-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

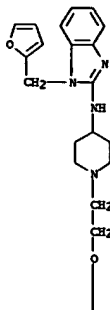
●3 HCl

RN 99151-07-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-(2-benzothiazolylloxy)ethyl]-4-piperidinyl]-1-(2-furanylmethyl)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CM 1
 CRN 99138-36-0
 CMF C26 H27 N5 O2 S

PAGE 1-A



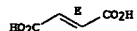
PAGE 2-A



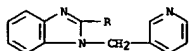
CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

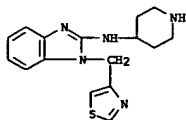


L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



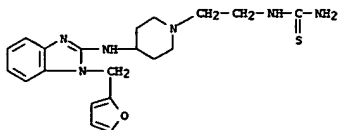
●3 HBr

RN 90518-77-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

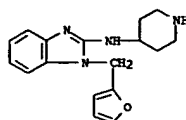
IT 99151-22-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and cyclocondensation reaction of)
 RN 99151-22-1 CAPLUS
 CN Thiourea, [2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



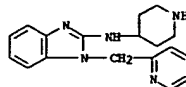
IT 90518-61-9 90518-62-0 90518-64-2
 RL: RCT (Reactant); RACT (Reactant or reagent)

Habr

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 IT 90518-67-5 90518-71-1 90518-72-2
 90518-77-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. and cyanoalkylation of)
 RN 90518-67-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



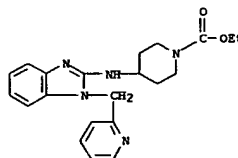
RN 90518-71-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



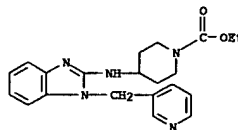
●3 HBr

RN 90518-72-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(3-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

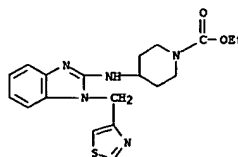
L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 (prepn. and decarboxylation of)
 RN 90518-61-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-62-0 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



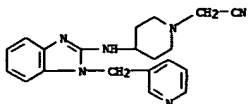
RN 90518-64-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



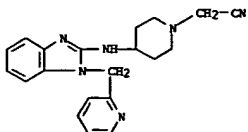
IT 90518-83-5 90518-85-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. and lithium aluminum hydride redn. of)
 RN 90518-83-5 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

6/02/2003

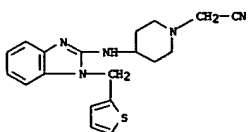
L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90518-85-7 CAPLUS
CN 1-Piperidineacetone nitrile, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



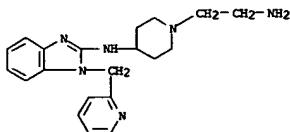
IT 90518-92-6P 99139-17-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and lithium aluminum hydride redn. of)
RN 90518-92-6 CAPLUS
CN 1-Piperidineacetone nitrile, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



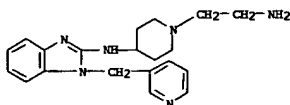
RN 99139-17-0 CAPLUS
CN 1-Piperidineacetone nitrile, 4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

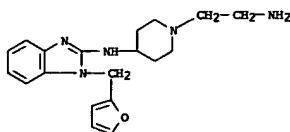
(prepn. and N-alkylation of)
RN 90519-14-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[[1-(2-aminoethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 90519-15-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[[1-(2-aminoethyl)-4-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

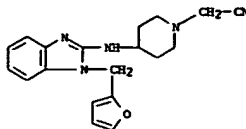


RN 90519-18-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[[1-(2-aminoethyl)-4-piperidinyl]-1-(2-furanyl-methyl)- (9CI) (CA INDEX NAME)

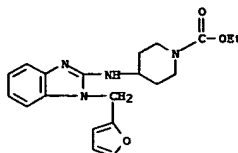


RN 90519-22-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[[1-(2-aminoethyl)-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

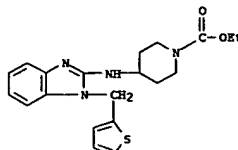
L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 90518-53-9 90518-57-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and N-alkylation and decarboxylation of)
RN 90518-53-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

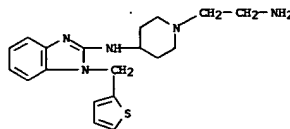


RN 90518-57-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

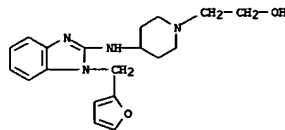


IT 90519-14-5P 90519-15-6P 90519-18-9P
90519-22-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

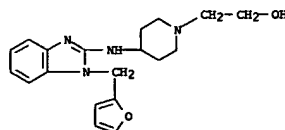


IT 90519-47-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and O-alkylation of)
RN 90519-47-4 CAPLUS
CN 1-Piperidineethanol, 4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



IT 90519-48-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 90519-48-5 CAPLUS
CN 1-Piperidineethanol, 4-[[1-(2-furanyl-methyl)-1H-benzimidazol-2-yl]amino]-, (2S)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1
CRN 90519-47-4
CMP C19 H24 N4 O2



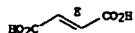
CM 2

6/02/2003

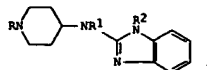
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L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CRN 110-17-8
 CIP C4 H4 O4

Double bond geometry as shown.



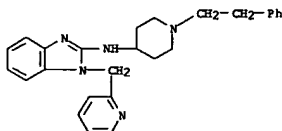
L4 ANSWER 42 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1985:596033 CAPLUS
 DOCUMENT NUMBER: 103:196033
 TITLE: New antihistaminic N-heterocyclic 4-piperidinamines.
 1. Synthesis and antihistaminic activity of
 N-(4-piperidinyl)-1H-benzimidazol-2-amine
 Janssens, Frans; Torremans, Joseph; Janssen, Marcel;
 Stobbroeks, Raymond A.; Luyckx, Marcel; Janssen, Paul
 A. J.
 CORPORATE SOURCE: N. V. Janssen Pharm., Res. Lab., Beerse, B-2340, Belg.
 SOURCE: Journal of Medicinal Chemistry (1985), 28(12), 1925-33
 CODEN: JMCJAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 103:196033
 GI



AB The synthesis of a series of N-(4-piperidinyl)-1H-benzimidazol-2-amine I
 [R = (un)substituted alkyl, cycloalkyl, (un)substituted CH2CH2Ph; R1 = H,
 alkyl, cyclopropyl; R2 = H, alkyl, (un)substituted benzyl] (87 compds.)
 and the preliminary evaluation of their in vitro and in vivo
 antihistaminic activity are described. Cycloesulfurization of
 (2-aminophenyl)thioureas with HgO resulted in 2-aminobenzimidazole
 intermediates, which were monoalkylated on the endo-nitrogen atom. After
 deprotection of the piperidine nitrogen atom with aq. HBr, I were obtained
 by alkylation, reductive amination, or oxirane ring-opening reactions.
 The in vivo antihistaminic activity was evaluated by the compd.
 48/80-induced lethality test in rats and the histamine-induced lethality
 test in guinea pigs after oral and/or s.c. administration. The duration
 of action, for a selected no. of compds., was studied in the guinea pig.
 The phenylethyl derivs. showed the most potent antihistamine properties
 after oral administration in both animal species.

IT 73736-18-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and antihistamine activity of)
 RN 73736-18-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-phenylethyl)-4-piperidinyl]-1-(2-
 pyridinylmethyl)- (9CI) (CA INDEX NAME)

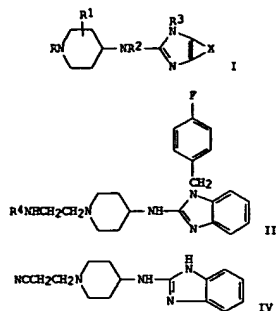
L4 ANSWER 42 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1984:423473 CAPLUS
 DOCUMENT NUMBER: 101:23473
 TITLE: N-(Bicyclic heterocyclyl)-4-piperidinamines
 Janssens, Frans Eduard; Torremans, Joseph Leo
 Ghislanus; Hens, Jozef Francis; Van Offenvert,
 Theophilus Theresia J. M.
 PATENT ASSIGNER(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: Eur. Pat. Appl., 87 pp.
 CODEN: EPXKDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 99139	A2	19840125	EP 1983-200832	19830608
EP 99139	A3	19840222		
EP 99139	B1	19870211		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4556660	A	19851203	US 1983-487774	19830422
IN 156065	A	19850504	IN 1983-CA599	19830512
CA 1266267	A1	19900227	CA 1983-429869	19830607
AT 25459	E	19870215	AT 1983-200832	19830608
SU 1257728	A3	19870315	SU 1983-3608869	19830627
FI 8302521	A	19840113	FI 1983-2521	19830711
FI 78480	B	19890428		
FI 78480	C	19890810		
DK 8303185	A	19840113	DK 1983-3185	19830711
NO 8302524	A	19840113	NO 1983-2524	19830711
NO 160850	B	19890227		
NO 160850	C	19890607		
JP 59021680	A2	19840203	JP 1983-124900	19830711
HU 32108	O	19840628	HU 1983-2471	19830711
HU 203550	B	19910828		
AU 8316728	A1	19850117	AU 1983-16728	19830711
AU 563363	B2	19870709		
ZA 8305044	A	19850227	ZA 1983-5044	19830711
RO 87533	B3	19851031	RO 1983-111600	19830711
ES 524029	A1	19851116	ES 1983-524029	19830711
IL 69198	A1	19870130	IL 1983-69198	19830711
PL 147092	B1	19890429	PL 1983-242970	19830712
US 4760074	A	19880726	US 1985-800587	19851121
US 4820822	A	19890411	US 1987-115272	19871102
US 33833	E	19920225	US 1990-619558	19901129
PRIORITY APPL. INFO.:			US 1982-397626	19820712
			US 1983-487774	19830422
			EP 1983-200832	19830608
			US 1985-800587	19851121
			US 1987-115272	19871102
OTHER SOURCE(S):		CASREACT 101:23473		
GI				

L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB About 100 antihistaminic title compds. I [R = substituted piperidyl, substituted alkyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, acyl, aralkyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N] were prepd. Thus N-piperidinylbenzimidazolamine II (R4 = 2-pyrimidinyl) (III) was prepd. from 2-chloropyrimidine and II (R4 = H), which was prepd. from N-piperidinylbenzimidazolamine IV. III had an ED50 of 0.63 mg/kg s.c. against stomach lesions induced by vasoactive amines in rats.

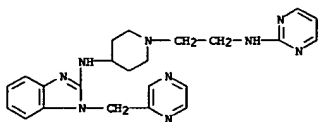
IT 90519-42-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with chloroethylcarbamate)

RN 90519-42-9 CAPLUS

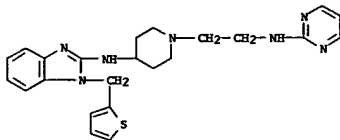
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Benzimidazol-2-amine, 1-(pyrazinylmethyl)-N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



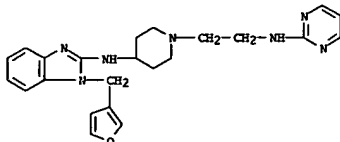
RN 90517-19-4 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 90517-20-7 CAPLUS

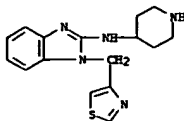
CN 1H-Benzimidazol-2-amine, 1-(3-furanylmethyl)-N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 90517-21-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



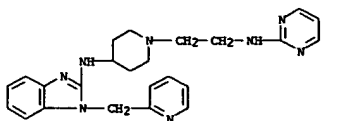
● 3 HBr

IT 90517-15-0P 90517-17-2P 90517-18-3P
90517-19-4P 90517-20-7P 90517-21-8P
90517-44-5P 90517-46-7P 90517-72-9P
90517-73-0P 90517-78-5P 90517-82-1P
90517-83-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antihistamine activity of)

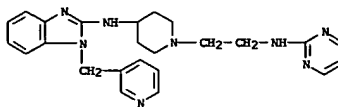
RN 90517-15-0 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



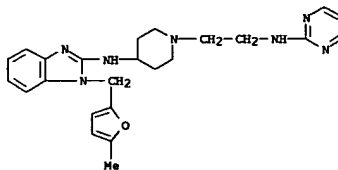
RN 90517-17-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



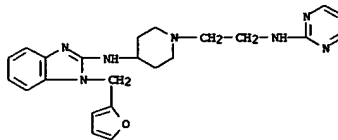
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L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



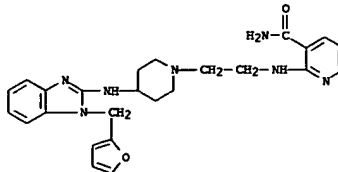
RN 90517-44-5 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 90517-46-7 CAPLUS

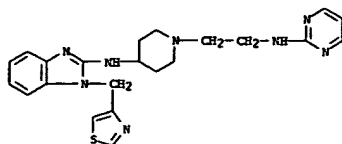
CN 3-Pyridinecarboxamide, 2-[[[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]amino]- (9CI) (CA INDEX NAME)



RN 90517-72-9 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

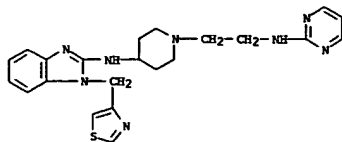
L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90517-73-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]-1-(4-thiazolylmethyl)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

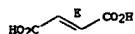
CRN 90517-72-9
 CMP C22 H26 N8 S



CM 2

CRN 110-17-8
 CMP C4 H4 O4

Double bond geometry as shown.



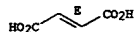
RN 90517-78-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[2-[(5-bromo-2-pyridinyl)oxy]ethyl]-4-piperidinyl]-1-(2-furanylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

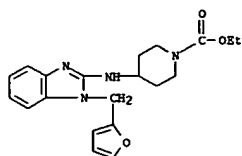
CM 2

CRN 110-17-8
 CMP C4 H4 O4

Double bond geometry as shown.

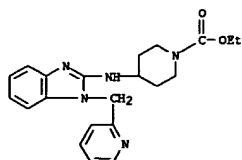


IT 90518-53-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and deethoxycarbonylation of)
 RN 90518-53-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT 90518-61-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and deethoxycarbonylation of)

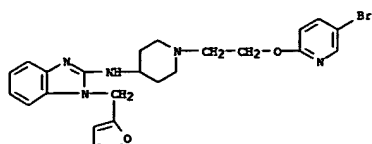
RN 90518-61-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



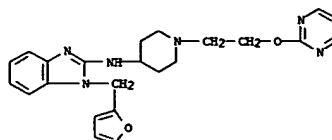
IT 90519-43-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and hydrolysis of)
 RN 90519-43-0 CAPLUS

Habte

L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



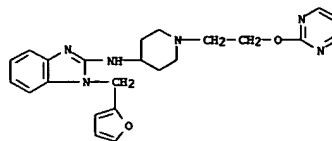
RN 90517-82-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 90517-83-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

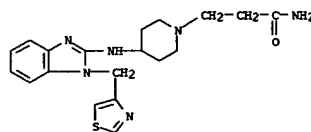
CM 1

CRN 90517-82-1
 CMP C23 H26 N6 O2



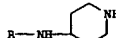
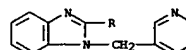
L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN 1-Piperidinepropanamide, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



IT 90518-72-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, with chloroacetonitrile)

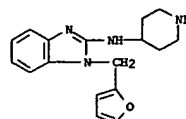
RN 90518-72-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(3-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

IT 90518-67-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, with oxopiperidinecarboxylate)

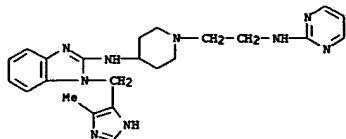
RN 90518-67-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



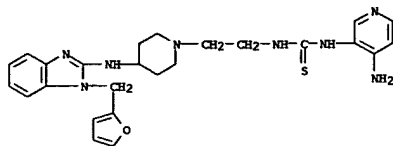
6/02/2003

L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT 90517-48-9P 90518-10-8P 90518-57-3P
 90518-58-4P 90518-59-5P 90518-62-0P
 90518-63-1P 90518-64-2P 90518-65-3P
 90518-68-6P 90518-71-1P 90518-77-7P
 90518-78-8P 90518-83-3P 90518-85-7P
 90518-87-9P 90518-92-6P 90518-94-8P
 90518-95-9P 90519-06-5P 90519-16-5P
 90519-15-6P 90519-17-8P 90519-18-9P
 90519-22-5P 90519-23-6P 90519-24-7P
 90519-35-0P 90519-44-1P 90519-48-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 90517-48-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-1H-imidazol-4-yl)methyl]-N-[1-[2-(2-pyrimidinylamino)ethyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

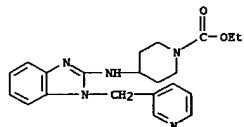


RN 90518-10-8 CAPLUS
 CN Thiourea, N-(4-amino-3-pyridinyl)-N'-[2-{4-[[1-(2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

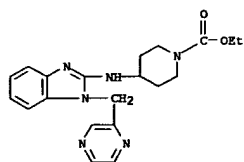


RN 90518-57-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

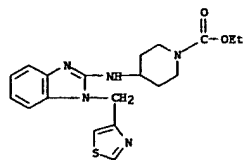


RN 90518-63-1 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

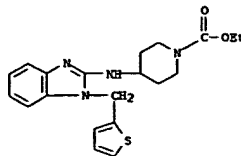
RN 90518-64-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



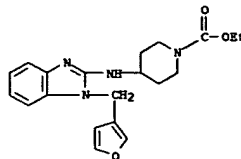
RN 90518-65-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(5-methyl-1H-imidazol-4-yl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Habe

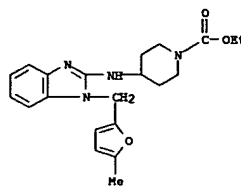
L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



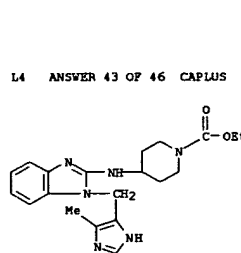
RN 90518-58-4 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(3-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



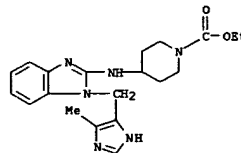
RN 90518-59-5 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-62-0 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

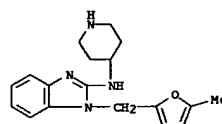


L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

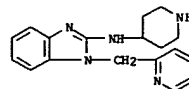


●2 HCl

RN 90518-68-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)

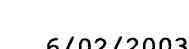


RN 90518-71-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



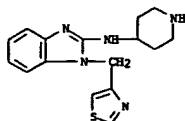
●3 HBr

RN 90518-77-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



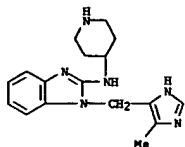
6/02/2003

L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



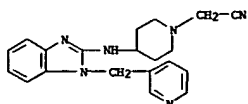
● 2 HBr

RN 90518-78-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-1H-imidazol-4-yl)methyl]-N-4-piperidinyl-, trihydrobromide (9CI) (CA INDEX NAME)



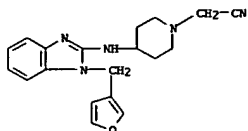
● 3 HBr

RN 90518-83-5 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

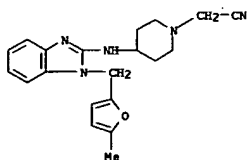


RN 90518-85-7 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

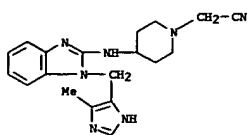
L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90518-95-9 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

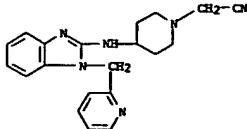


RN 90519-06-5 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(5-methyl-1H-imidazol-4-yl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

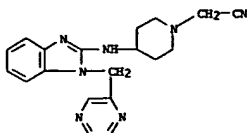


RN 90519-14-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

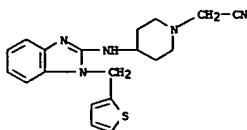
L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90518-87-9 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(pyrazinylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

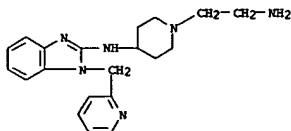


RN 90518-92-6 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

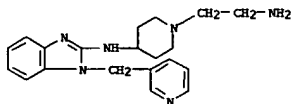


RN 90518-94-8 CAPLUS
 CN 1-Piperidineacetonitrile, 4-[[1-(3-furanyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

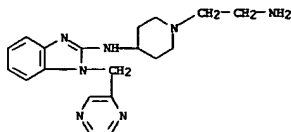
L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



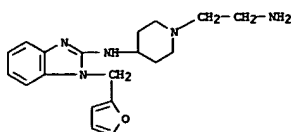
RN 90519-15-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 90519-17-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)



RN 90519-18-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-furanyl)methyl)- (9CI) (CA INDEX NAME)

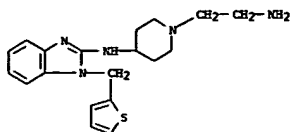


RN 90519-22-5 CAPLUS

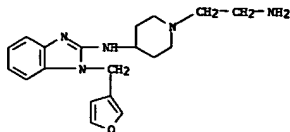
6/02/2003

Habte

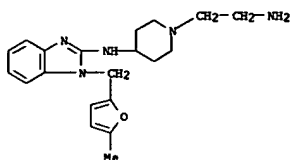
L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 90519-23-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(3-furanylmethyl)- (9CI) (CA INDEX NAME)



RN 90519-24-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-methyl-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

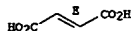


RN 90519-35-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-methyl-1H-imidazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

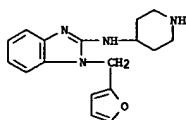
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

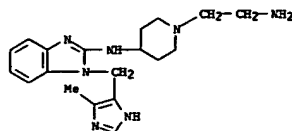


IT 90518-67-5
 RI: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with oxirane)

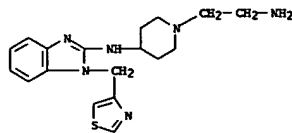
RN 90518-67-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90519-44-1 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

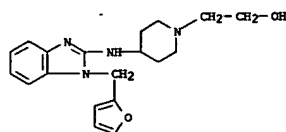


● 3 HBr

RN 90519-48-5 CAPLUS
 CN 1-Piperidineethanol, 4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 90519-47-4
 CMF C19 H24 N4 O2



CM 2

L4 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1981:30579 CAPLUS
 DOCUMENT NUMBER: 94:30579
 TITLE: N-Heterocycl-4-piperidinamines
 INVENTOR(S): Janssens, Frans; Luyckx, Marcel; Stokbroekx, Raymond;
 Torremans, Joseph
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: U.S., 27 pp. Cont.-in-part of U.S. Ser. No. 892,534,
 abandoned.
 CODEN: USQXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4219559	A	19800826	US 1979-2276	19790110
CA 1140119	A1	19830125	CA 1979-323763	19790319
AU 7945296	A1	19791018	AU 1979-45296	19790321
AU 523352	B2	19820722		
DK 7901298	A	19791004	DK 1979-1298	19790329
DK 169325	B1	19941010		
EP 5318	A1	19791114	EP 1979-300525	19790330
EP 5318	B1	19820106		
R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
RO 79320	P	19820817	RO 1979-97082	19790330
NO 7901097	A	19791004	NO 1979-1097	19790402
NO 154058	B	19860401		
NO 154058	C	19860709		
FI 7901084	A	19791004	FI 1979-1084	19790402
FI 64801	B	19830930		
FI 64801	C	19840110		
JP 54151982	A2	19791129	JP 1979-38447	19790402
JP 01001477	B4	19890111		
ES 479206	A1	19791216	ES 1979-479206	19790402
ZA 7901557	A	19801126	ZA 1979-1557	19790402
IL 56992	A1	19830331	IL 1979-56992	19790402
AT 7902425	A	19830715	AT 1979-2425	19790402
AT 373897	B	19840227		
CS 256358	B2	19880415	CS 1979-2227	19790402
CS 256380	B4	19880415	CS 1984-3451	19790402
PL 123380	B1	19821030	PL 1979-214648	19790403
HU 25906	O	19830829	HU 1979-JA841	19790403
HU 182965	B	19840328		
SU 1056902	A3	19831123	SU 1979-2747000	19790403
AT 8204538	A	19830715	AT 1982-4538	19821214
AT 373888	B	19840227		
DK 8300831	A	19830224	DK 1983-831	19830224
DK 171841	B1	19970630		
ES 524224	A3	19841216	ES 1983-524224	19830719
NO 8402563	A	19791004	NO 1984-2563	19840625
NO 154090	B	19860407		
NO 154090	C	19860716		
ES 542804	A3	19851216	ES 1985-542804	19850503
JP 01117880	A2	19890510	JP 1988-144898	19880614
JP 02040666	B4	19900912		

PRIORITY APPLN. INFO.:

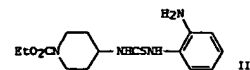
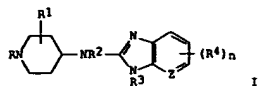
US 1978-892534 19780403
 US 1979-2276 19790110
 US 1979-2279 19790110

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 DX 1979-1298 19790329
 AT 1979-2425 19790402

GI



AB 1-(4-Piperidinyl)-3-(2-aminophenyl)thioureas and heteroatom analogs underwent cyclocondensation to give title compds. I (R = alkyl, halo-, hydroxy-, cyano-, isothiocyanato-, alkoxy-, aryl-, heteroaryl-, arylsulfonyl-, (heteroaryl)oxy-, arylthio-, (heteroaryl)thio-, arylsulfonyl-, (heteroaryl)sulfonyl-, or aminoalkyl, alkenyl, aryl- or (heteroaryl)alkenyl, cycloalkyl, cyanocycloalkyl, aryl- or (heteroaryl)cycloalkyl, a 1H-benzimidazol-2-yl group, R5CH2m (m = 1-6; R5 = a 4,5-dihydro-5-oxo-1H-tetrazol-1-yl group, 2,3-dihydro-1,4-benzodioxin-6-yl, 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl, 2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl, (10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)methyl, 4-morpholinyl, 1-piperidinyl, 1-pyrrolidinyl, mono- or disubstituted amino); R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, aryl- or (heteroaryl)alkyl, alkanoyl; R3 = H, alkyl, aryl- or (heteroaryl)cycloalkyl, aryl- or (heteroaryl)alkyl, diaryl- or bis(heteroaryl)alkyl; Z = CH, N; n = 0, 1, 2; R4 = halo, alkyl, alkoxy, CF3), useful as antihistaminics (no data). A mixt. of thiourea II and MeI in EtOH was refluxed 8 h to yield I (R = CO2Et, Z = CH, n = 0, R1 = R2 = R3 = H), the latter was converted to the resp. I (R = H), and the product was N-alkylated to give I (R = PhCH2CH2, Z = CH, n = 0, R1 = R2 = R3 = H).

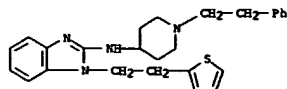
IT 73736-46-69

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 73736-46-6 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-phenylethyl)-4-piperidinyl]-1-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)

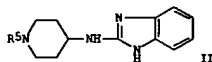
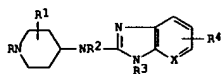
L4 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 45 OF 46 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1980:215439 CAPLUS
 DOCUMENT NUMBER: 92:215439
 TITLE: N-Heterocycl-4-piperidinamines and pharmaceutical compositions comprising them
 INVENTOR(S): Janssens, Frans; Stokbroek, Raymond; Torremans, Joseph; Luyckx, Marcel
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: Eur. Pat. Appl., 100 pp.
 CODEN: EPXKDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 5318	A1	19791114	EP 1979-300525	19790330
EP 5318	B1	19820106		
R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4219559	A	19800826	US 1979-2276	19790110
CS 256358	B2	19880415	CS 1979-2227	19790402
CS 256380	B4	19880415	CS 1984-3451	19790402
PRIORITY APPLN. INFO.:			US 1978-892534	19780403
			US 1979-2276	19790110
			US 1979-2279	19790110

GI



AB Antihistaminic (no data) piperidinylaminoimidazoles I (X = CH, N; R = optionally substituted alkyl, alkenyl, aralkyl, 1-aralkyl-2-benzimidazolyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, aralkyl, alkanoyl; R3 = H, alkyl, aryl, cycloalkyl, aralkyl; R4 = halogen, alkyl, alkonyl, CF3) were prepd. Thus, II (R5 = CH2CH2OPh) was obtained in 70% yield by treating I (R5 = H) with BrCH2CH2OPh.

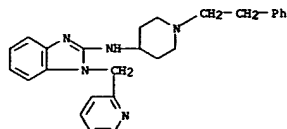
IT 73736-18-27 73736-46-69

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 73736-18-2 CAPLUS

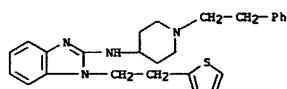
CN 1H-Benzimidazol-2-amine, N-[1-(2-phenylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 73736-46-6 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-phenylethyl)-4-piperidinyl]-1-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 46 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1966:438549 CAPLUS
DOCUMENT NUMBER: 65:38549
ORIGINAL REFERENCE NO.: 65:7183g-h, 7184a-h, 7185a-h, 7186a-f
TITLE: Benzimidazoles
PATENT ASSIGNEE(S): Schering A.-G.
SOURCE: 45 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 667333		19660124	BE	19640723
FR 1440565			FR	
NL 6509573			NL	

GI For diagram(s), see printed CA Issue.

AB Title compds. of the formula I possess anti-allergic and anti-inflammatory properties. Thus, 1-(4-chlorobenzyl)-2-chloromethylbenzimidazole-HCl (II, HCl) is treated with NaHCO₃ in H₂O to yield the base II, m. 99-103.degree. (dil. MeOH). To 54.8 g. IV in 280 ml. C₆H₆ is added 40.25 g. EtNHCH₂CH₂OH (III) in 50 ml. C₆H₆, the mixt. kept 2 days under anhyd. conditions, washed to neutrality with H₂O, and dried over K₂CO₃ and the solvent evapd. at 40.degree. to obtain 50 g. 1-(p-chlorobenzyl)-2-[N-ethyl-N-(2-hydroxyethyl)amino]methylbenzimidazole (IV), m. 101-3.degree.; IV, HCl m. 154-6.degree. (iso-PrOH). To 45 g. II in 240 ml. C₆H₆ is added dropwise a soln. of 37.2 g. N-methylpiperazine (V) in 40 ml. C₆H₆. After the work-up for IV, the crude base is crystd. from petr. ether to give 40 g. 1-(p-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 99-100.5.degree.; HCl salt m. 225-6.degree.. To a soln. of 40 g. II in 300 ml. C₆H₆ is added 43 g. N-(2-hydroxyethyl)piperazine (VI) in 50 ml. C₆H₆ with the temp. kept at 25.degree. by cooling the mixt. in an ice water bath when necessary. The mixt. is kept overnight, refluxed 2 hrs., cooled, washed to neutrality with H₂O, and extd. with N HCl. The acid ext. is washed with Et₂O, decolorized with C, and made alk. with Na₂CO₃. The mixt. is extd. with CH₂Cl₂ and dried over Na₂SO₄, the solvent removed <40.degree., and the residue treated with C in C₆H₆ to give 40 g. 1-(p-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 144-5.degree.. II (15 g.) and 7 g. allylamine under similar conditions give 1-(p-chlorobenzyl)-2-allylaminomethylbenzimidazole which with N HCl gives the salt, m. 198-9.degree.. Similarly, II and N-(beta, gamma-aminopropyl)morpholine give 1-(p-chlorobenzyl)-2-morpholinopropylaminomethylbenzimidazole-HCl, m. 180-2.degree. (base is an oil); II and beta, gamma-aminopropylamine give an oily base which is converted to the HCl salt, m. 199-201.degree.. A mixt. of 25 g. 2,5-dichloro-1-nitrobenzene and 36.9 g. 4-chlorobenzylamine is heated to 135.degree. in an oil bath (the reaction becomes exothermic and the temp. is not allowed to exceed 145.degree.) to yield after 2 hrs. 23 g. 1-(4-chlorobenzylamino)-2-nitro-4-chlorobenzene (VII), m. 121-2.degree., is obtained. Redn. of 2 g. VII in 250 ml. dioxane with H in the presence of 2 g. Raney Ni at ambient temp. and 115 atm. yields 19 g. 1-(4-chlorobenzylamino)-2-amino-4-chlorobenzene (VIII), m. 138-41.degree.. To 10 g. VIII in 90 ml. abs. CH₂Cl₂ is added 6.1 g. chloroacetic acid-ether-HCl, (IX, HCl) in 35 ml. CH₂Cl₂, the mixt. stirred 30 min. at room temp. and 2 hrs. at 40.degree. and neutralized with NaHCO₃, the CH₂Cl₂

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soln. washed with H₂O and dried over Na₂SO₄, and the solvent removed to yield 10 g. 1-(p-chlorobenzyl)-2-chloromethyl-5-chlorobenzimidazole (XI), m. 134-6.degree.. The reaction of X with VI yields 10 g. 1-(p-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]-methyl-5-chlorobenzimidazole, m. 137-8.degree.. A soln. of 75.8 g. 4-chlorobenzylcyanamide is treated in 700 ml. MeOH aqd. with NEt₃ and H in the presence of 3 g. Raney Ni at 70.degree. and initial temp. of 110.degree. to give 4-chlorophenylethylamine, (XII), b.p. 124-9.degree.. A mixt. of 49 g. XI, 49.5 g. o-ClC₆H₄NO₂, and 47.1 g. powd. K₂CO₃ is heated to 150-60.degree. in an oil bath 3 hrs., cooled, and dissolved in a mixt. of CH₂Cl₂ and H₂O, the CH₂Cl₂ soln. washed with dil. HCl, H₂O, dried, and the solvent removed. The residue is crystd. from MeOH to yield 69 g. 1-p-chlorophenylethyl-2-nitrobenzene (XIII). Hydrogenation of XII in MeOH over Raney Ni yields 63 g. 1-(4-chlorophenylethyl)-2-aminobenzene (XIII) as a viscous oil. Condensation of XIII with IX yields 70 g. 1-(4-chlorophenylethyl)-2-chloromethylbenzimidazole (XIV), m. 104-7.degree., which is allowed to react with VI to yield 1-[2-(4-chlorophenylethyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 123-4.degree.. To 23.3 g. II in 160 ml. dioxane is added 19.4 g. HN(CH₂CH₂OH)₂ and the mixt. kept overnight and worked up to yield 12.5 g. product, m. 111-13.degree., which is treated with an equiv. amt. N H₂SO₄ to yield 10 g. 1-(4-chlorobenzyl)-2-[bis(2-hydroxyethyl)amino]methylbenzimidazole-H₂SO₄, m. 150-2.degree. (MeOH). To a soln. of 12.8 g. formylpiperazine, 6 g. Na₂CO₃ and 150 ml. 95% EtOH is added 33.1 g. II, the mixt. refluxed 2 hrs., cooled, and filtered, the solvent removed, and the allyl residue treated with 32 ml. concd. HCl and 150 ml. H₂O on a steam bath 10 hrs. The major portion of the HCl is removed in vacuo, H₂O added with stirring to the residue, and the base pptd. with dil. NaOH soln. The product is taken into CH₂Cl₂, washed with K₂CO₃, and the solvent removed to yield 20 g. 1-(4-chlorobenzyl)-2-piperazinylmethylbenzimidazole, m. 140-2.degree. (EtOAc). A soln. of 20.9 g. 4-fluorobenzaldehyde (XV) in 45 ml. MeOH is added to 17.7 g. phenylenediamine (XVI) in 45 ml. MeOH kept cold in an ice bath. The ppt. is isolated and combined with a second portion obtained on concn. of the mother liquor to yield 30 g. N-(4-fluorobenzyl)-o-phenylenediamine (XVII), m. 73-4.degree. (cyclohexane). Redn. of 37.5 g. XVII in 500 ml. dioxane with H in the presence of 4 g. Raney Ni at 13.5 atm. pressure and at 70-5.degree. followed by filtration and evapn. of solvent <35.degree. gives 37.5 g. N-(4-fluorobenzyl)-o-phenylenediamine (XVIII), m. 80-1.degree.. A soln. of 37.5 g. XVIII in 208 ml. anhyd. CH₂Cl₂ is added dropwise to 28.6 g. IX, HCl in 164 ml. anhyd. CH₂Cl₂, the mixt. kept at room temp. with cooling with H₂O, stirred 30 min. and then kept at 40.degree. 2 hrs. The mixt. is worked up to yield 46 g. 1-(4-fluorobenzyl)-2-chloromethylbenzimidazole (XIX), m. 80-4.degree.. A soln. of 17.3 g. VI in 50 ml. C₆H₆ is added to a chilled soln. of 15 g. XIX in 120 ml. C₆H₆ and after work up yields 13 g. 1-(4-fluorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 143-4.degree. (EtOAc). Similarly, XIX and III yields 1-(4-fluorobenzyl)-2-[N-ethyl-N-(2-hydroxyethyl)amino]methylbenzimidazole, m. 116-17.degree. (CH₂Cl₂). XIX and V give 1-(4-fluorobenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, which is converted to its HCl salt, m. 233-4.degree. (MeOH-Et₂O). When II is allowed to react with the appropriate amine, the following XIXa are obtained (R and m.p. given): 1-methoxy-ethyl-4-piperazinyl, -- [HCl salt m. 127-9.degree. (cyclohexane-C₆H₆)]; NHC(CH₂OH)₂, 124-6.degree. (iso-PrOH); N[CH₂CH(OH)Me]₂, [HCl salt m. 192-4.degree. (MeOH-Et₂O)];

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N[CH₂CH(OH)Me]₂, [HCl salt m. 195-7.degree. (MeOH-Et₂O)]; 4-benzylpiperazinyl, 147-8.degree. (Me₂CO). Using methods described above the following aldehydes [m.p. of the intermediate benzal deriv., m.p. of the benzyl deriv., m.p. of the chloromethylbenzimidazole] yield the corresponding methylbenzimidazoles: prepd. from p-BrC₆H₄CHO, intermediate benzal m. 112-13.degree., intermediate benzyl deriv., m. 69-72.degree., intermediate chloromethylbenzimidazole, m. 95-8.degree.: 1-(4-bromobenzyl)-2-[1-methyl-4-piperazinyl], m. 96-7.degree. (petr. ether); 1-(4-bromobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 139-40.degree. (C₆H₆). Prepd. from m-ClC₆H₄CHO, 50-2.degree., 1.degree. -- 1-(3-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], 158-9.degree. (C₆H₆). Prepd. from o-ClC₆H₄CHO, 115-16.degree. -- 1-(2-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 142-5.degree. (C₆H₆); 1-(2-chlorobenzyl)-2-[1-methyl-4-piperazinyl], m. 142-3.degree. (C₆H₆); 1-(2-chlorobenzyl)-2-[N-ethyl-N-(2-hydroxyethyl)amino], m. 97-8.degree. (from C₆H₆-C₆H₁₂). Prepd. from o-FC₆H₄CHO, 87-9.degree., -- 69-74.degree. (from C₆H₆-C₆H₁₂); 2-[1-(2-hydroxyethyl)-4-piperazinyl], 148-9.degree. (C₆H₆). Prepd. from 2,4-Cl₂C₆H₃CHO, 100-1.degree., -- 118-22.degree. (from 1-(2-dichlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], 173-4.degree. (C₆H₆). Prepd. from 2,6-Cl₂C₆H₃CHO, 107-9.degree., 79-86.degree., 138.degree.: 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 105-6.degree. (-), 1-(2,6-dichlorobenzyl)-2-[1-methyl-4-piperazinyl], HCl salt m. 227-8.degree. (iso-PrOH). Prepd. from PhCHO, 57-61.degree., 79-80.degree., 111.degree.: 1-benzyl-2-[1-methyl-4-piperazinyl], m. 121-2.degree. (EtOAc), 1-benzyl-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 138-9.degree. (C₆H₆). Prepd. from p-MeOC₆H₄CHO, 116-18.degree., 1.degree., 72.degree.: 1-(4-methoxybenzyl)-2-[2-(2-hydroxyethyl)-4-piperazinyl], m. 84-6.degree. (petr. ether-C₆H₆). Prepd. from o-MeOC₆H₄CHO, 67-9.degree. (CH₂Cl₂), 155-7.degree., 172-5.degree.: 1-(2-hydroxy)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 217-18.degree. (EtOH). Prepd. from o-MeOC₆H₄CHO, 99-101.degree., 66-7.degree., 108-10.degree.: 1-(2-methoxybenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 90-1.degree. (EtOAc), 1-(2-methoxybenzyl)-2-[1-methyl-4-piperazinyl], m. 143-4.degree. (EtOAc). Prepd. from o-MeOC₆H₄CHO, 102-3.degree., 74-8.degree., 78-9.degree.: 1-(2-methylbenzyl)-2-[1-methyl-4-piperazinyl], m. 128-30.degree. (C₆H₁₂). Prepd. from 4-pyridinecarboxaldehyde, 121-2.degree., -- 233-41.degree.: 1-(4-pyridylmethyl)-2-[1-methyl-4-piperazinyl], 167-9.degree. (dioxane). Prepd. from 2-pyridinecarboxaldehyde, --, 1-(2-pyridylmethyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 156-9.degree. (dioxane). A mixt. of 15 g. o-ClC₆H₄NO₂ (X), 6 g. 4-FC₆H₄NH₂, and 15 g. NaOAc is heated 10 hrs. at 200-100.degree. and cooled, dil. HCl added, and the unused X₂ steam-distd. The mixt. is cooled to give 6 g. 4'-fluoro-2-nitrodiphenylamine (XXI), m. 82-3.degree. (aq. EtOH). Redn. of 25 g. XXI in 100 ml. dioxane with H in the presence of 1 g. Raney Ni at ambient temp. and 115 atm. yields 22 g. 4'-fluoro-2-aminodiphenylamine, m. 64-5.degree., which is condensed with IX.HCl to give 26 g. 1-(4-fluorophenyl)-2-chloromethylbenzimidazole (XXII), m. 110-11.degree.. A mixt. of 9 g. XXII with VI yields 6 g. 1-(4-fluorophenyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 115-16.degree. (EtOAc). XXII with V yields 1-(4-fluorophenyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 131-2.degree. (EtOAc). 1-(4-chlorophenyl)-2-chloromethylbenzimidazole (XXIII), m. 119-21.degree., is prepd. by the method used to obtain XXII. The reaction of XXIII with VI yields 1-(4-chlorophenyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 156-8.degree.; with V gives 1-(4-chlorophenyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 167-9.degree. (EtOAc). Similarly, XIV with V gives 901 1-[2-(4-chlorophenyl)ethyl]-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 122-3.degree. (C₆H₁₂). A mixt. of

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11.9 g. alpha.-(4-chlorophenyl)ethylamine, 11.3 g. o-ClC₆H₄NO₂, and 9.8 g. K₂CO₃ is heated at 170-80.degree. 5 hrs., cooled, and mixed with Et₂O and H₂O. The Et₂O phase is worked up to yield N-(2-nitrophenyl)-N-[alpha.-(4-chlorophenyl)ethyl]amine, m. 56-7.degree. (iso-PrOH), and this compd. is reduced with H in the presence of Raney Ni to give N-(2-aminophenyl)-N-[alpha.-(4-chlorophenyl)ethyl]amine (XXIV). The reaction of XXIV with IX.HCl yields 1-[alpha.-(4-chlorophenyl)ethyl]-2-chloromethylbenzimidazole which is allowed to react with VI to give 1-[alpha.-(4-chlorophenyl)ethyl]-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 149-50.degree.. A soln. of 10.8 g. XVI and 8.14 g. 4-nitrobenzyl chloride in 150 ml. EtOH is refluxed 2 hrs. and evapd. to dryness in vacuo and the residue triturated with H₂O and dried to give 10.4 g. N-(4-nitrobenzyl)-o-phenylenediamine (XXV), m. 136-9.degree.. The redn. of XXV with H in the presence of Raney Ni yields a product which allowed to react with IX.HCl gives 1-(4-nitrobenzyl)-2-chloromethylbenzimidazole (XXVI) and then with V to give 601 1-(4-nitrobenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 159-61.degree. (benzene). Similarly, 2-nitrobenzyl chloride is converted to 1-(2-nitrobenzyl)-2-chloromethylbenzimidazole, m. 158-60.degree. and reaction with V yields 621 1-(2-nitrobenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 182-3.degree. (MeOH). A mixt. of 23.3 g. N-(4-chlorobenzyl)-o-phenylenediamine, 16.3 g. alpha.-chloropropionic acid, and 100 ml. 4N HCl is heated under N 3 hrs. and cooled and the ppt. isolated and treated with VI to give 10 g. 1-(4-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole-HCl, m. 193-5.degree.. Likewise, X and V give 831 1-(4-chlorobenzyl)-2-[1-methyl-4-piperazinyl]methyl-5-chlorobenzimidazole, m. 160-1.degree. (C₆H₆ petr. ether). To a suspension of 16.1 g. p-toluenesulfon-2-nitro-4-methoxyaniline in 12.5 ml. 4N NaOH is added 6.45 g. p-ClC₆H₄CH₂Cl, the mixt. stirred and refluxed 4 hrs., 9.66 g. addnl. p-ClC₆H₄CH₂Cl added, the reflux continued 45 min., and 2.7 ml. 35% NaOH added. After a total reflux time of 8.5 hrs., the cool mixt. is extd. with Et₂O and worked up to give 15 g. N-(4-chlorobenzyl)-N-(2-nitro-4-methoxyphenyl)-p-toluenesulfonamide (XXVII), m. 122-3.degree.. Hydrolysis of 30 g. XXVII in 440 ml. Et₂OEt and 28.2 ml. concd. H₂SO₄ on H₂O bath (93.degree.) 1.5 hrs. yields 12.5 g. red N-(4-chlorobenzyl)-N-(2-nitro-4-methoxyphenyl)amine, m. 129-30.degree. (MeOH), which is reduced with H and Raney Ni to give N-(4-chlorobenzyl)-N-(2-amino-4-methoxyphenyl)amine, (XXVIII), m. 92-3.degree.. A mixt. of 13 g. XXVIII and IX yields 13 g. 1-(4-chlorobenzyl)-2-chloromethyl-5-methoxybenzimidazole (XXIX), m. 122-3.degree.. An 80% yield of 1-(4-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methyl-4-methoxybenzimidazole-H₂O, m. 106-10.degree. (C₆H₆), results from the reaction of XXIX with VI. XXIX with V yields 1-(4-chlorobenzyl)-2-[1-methyl-4-piperazinyl]methyl-5-methoxybenzimidazole, m. 175.degree., and XXIX with III leads to 1-(4-chlorobenzyl)-2-[ethyl(2-hydroxyethyl)amino]methyl-5-methoxybenzimidazole, m. 157-9.degree.. A suspension of 18 g. 1-(2-hydroxybenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 243-5.degree. (prepd. by described methods), in 150 ml. Ac₂O is stirred 5 hrs. at room temp. The soln. is evapd. in vacuo, ice H₂O added, neutralized with NaHCO₃ soln., and after work up yields 18 g. 1-(2-acetoxybenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 145-7.degree. (CSH₁₂CH₆). Similarly prepd. are 1-(2-acetoxybenzyl)-2-[1-(2-acetoxybenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 145-7.degree. (CSH₁₂CH₆), 1-(2-acetoxybenzyl)-2-[1-(2-acetoxyethyl)-4-piperazinyl]methylbenzimidazole, m. 101-2.degree., and 1-(4-chlorobenzyl)-2-[1-(2-acetoxyethyl)-4-piperazinyl]methylbenzimidazole, m. 78-80.degree.. A mixt. of 10 g. N-(4-chlorobenzyl)-o-phenylenediamine (XXX), and 4.6 g. glycolic acid is heated to 100.degree. and after most

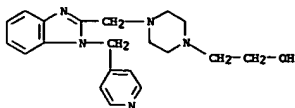
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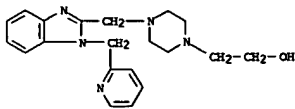
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 H₂O is eliminated, the temp. is raised to 135.degree. and heated 1 hr. After cooling, the residue is dissolved in EtOH, the soln. heated, and H₂O added followed by the addn. of NaHCO₃ soln. to yield 10 g. 1-(4-chlorobenzyl)-2-hydroxymethylbenzimidazole (XXXI), m. 131-2.degree. (aq. EtOH). XXXI is converted with SOCl₂ to its chloro deriv. which is allowed to react with VI to give 1-(4-chlorobenzyl)-2-[[1-(2-hydroxyethyl)piperazinyl]methyl]benzimidazole, m. 145.degree.. XXX and IX yield 58% XXXI which is treated with V to give 73% 1-(4-chlorobenzyl)-2-[[1-methyl-4-piperazinyl]methyl]benzimidazole, m. 99-100.degree. A mixt. of 67.8 g. Me .gamma.-bromobutyrate, 87.05 g. morpholine, and 392 ml. PhMe is refluxed 3.5 hrs. After work-up and hydrolysis of the product, .gamma.-morpholinobutyric acid-H₂O, (XXXII), m. 73-4.degree., is isolated. A mixt. of 10.5 g. XXXII, 11.6 g. XXX, 12.7 g. H₂O, 3.2 ml. EtOH, 2.4 g. HCl (concd.) and 2.4 g. H₃PO₄ (concd.) is heated to 135-40.degree. 2 hrs. Work-up yields 9.1 g. 1-(4-chlorobenzyl)-2-[[3-(4-morpholinyl)propyl]benzimidazole, m. 118-19.degree.. HCl salt m. 171-3.degree.. By methods described above is also prepd. 1-benzyl-2-[2-(2-hydroxyethoxy)-ethylamino]methylbenzimidazole-HCl, m. 174-5.degree.. Pharmacol. tests in animals are presented for a no. of the compds. prepd.

IT 7187-09-9, 1-Piperazineethanol, 4-[[1-(4-pyridylmethyl)-2-benzimidazolyl]methyl]- 7187-10-2, 1-Piperazineethanol, 4-[[1-(2-pyridylmethyl)-2-benzimidazolyl]methyl]- (prepn. of)

RN 7187-09-9 CAPLUS
 CN 1-Piperazineethanol, 4-[[1-(4-pyridylmethyl)-2-benzimidazolyl]methyl]- (7CI, 8CI) (CA INDEX NAME)



RN 7187-10-2 CAPLUS
 CN 1-Piperazineethanol, 4-[[1-(2-pyridylmethyl)-2-benzimidazolyl]methyl]- (7CI, 8CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

210.32

359.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-29.95

-29.95

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